10/546,132>11/02/2007

=> d his

```
     (FILE 'HOME' ENTERED AT 15:52:41 ON 11 FEB 2007)

     FILE 'REGISTRY' ENTERED AT 15:52:46 ON 11 FEB 2007
L1             STRUCTURE UPLOADED

     FILE 'STNGUIDE' ENTERED AT 15:54:02 ON 11 FEB 2007

     FILE 'REGISTRY' ENTERED AT 16:02:10 ON 11 FEB 2007
L2             STRUCTURE UPLOADED

     FILE 'STNGUIDE' ENTERED AT 16:02:51 ON 11 FEB 2007

     FILE 'REGISTRY' ENTERED AT 16:06:16 ON 11 FEB 2007
L3             STRUCTURE UPLOADED

     FILE 'STNGUIDE' ENTERED AT 16:06:49 ON 11 FEB 2007

     FILE 'REGISTRY' ENTERED AT 16:09:08 ON 11 FEB 2007
L4             STRUCTURE UPLOADED
L5          50 S L4 SSS SAM

     FILE 'REGISTRY' ENTERED AT 16:13:43 ON 11 FEB 2007
L6             STRUCTURE UPLOADED
L7           0 S L6 SSS SAM

     FILE 'STNGUIDE' ENTERED AT 16:14:20 ON 11 FEB 2007

     FILE 'REGISTRY' ENTERED AT 16:16:15 ON 11 FEB 2007
L8             STRUCTURE UPLOADED
L9           3 S L8 SSS SAM
L10         87 S L8 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 16:17:08 ON 11 FEB 2007
L11         24 S L10

     FILE 'STNGUIDE' ENTERED AT 16:17:50 ON 11 FEB 2007
```

10/546,132>11/02/2007

L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l8 sss sam
SAMPLE SEARCH INITIATED 16:16:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2892 TO ITERATE

69.2% PROCESSED 2000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

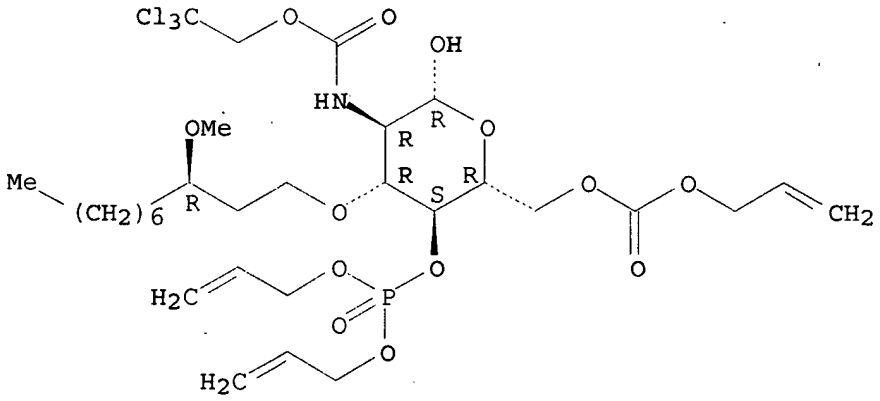
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 54615 TO 61065
PROJECTED ANSWERS: 3 TO 210

L9 3 SEA SSS SAM L8

=> d scan

L9 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN β-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI)
MF C30 H49 Cl3 N O13 P

Absolute stereochemistry.



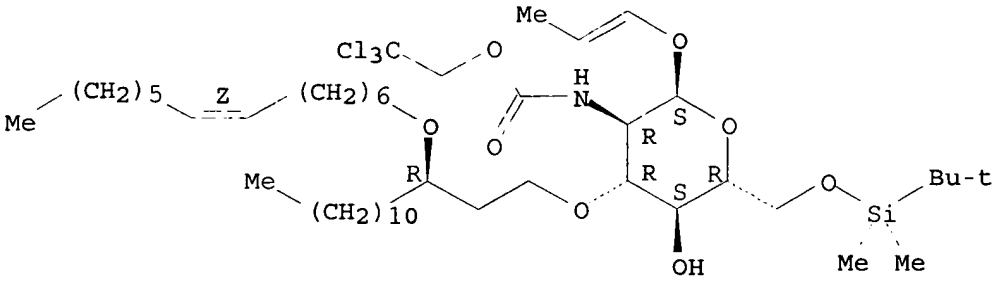
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L9 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-

dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI)
MF C46 H86 Cl3 N O8 Si

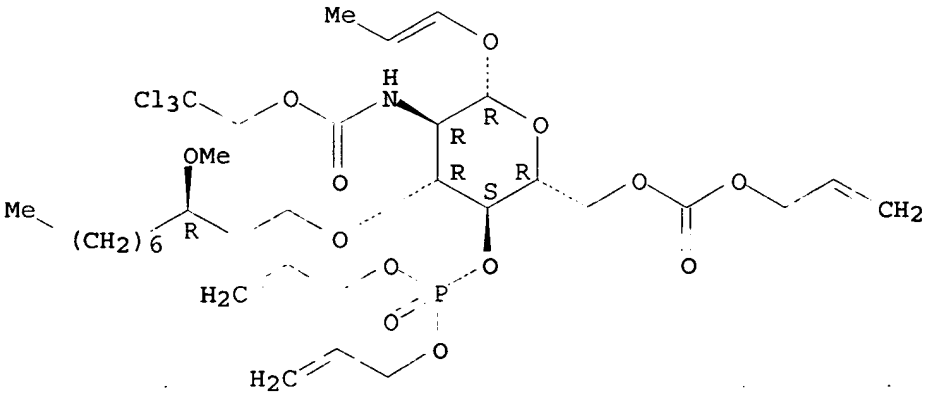
Absolute stereochemistry.
Double bond geometry as described by E or Z.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI)
MF C33 H53 Cl3 N O13 P

Absolute stereochemistry.
Double bond geometry unknown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l8 sss full
FULL SEARCH INITIATED 16:17:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 56991 TO ITERATE

100.0% PROCESSED 56991 ITERATIONS 87 ANSWERS
SEARCH TIME: 00.00.01

L10 87 SEA SSS FUL L8

=> fil hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 179.78

FILE 'HCAPLUS' ENTERED AT 16:17:08 ON 11 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 11 Feb 2007 VOL 146 ISS 8
FILE LAST UPDATED: 9 Feb 2007 (20070209/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

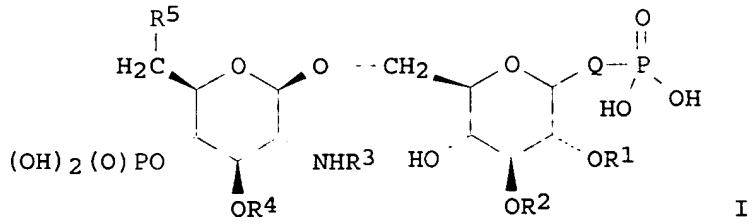
=> s l10
L11 24 L10

=> d l11 ibib abs hitstr 1-24

L11 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:33082 HCAPLUS
DOCUMENT NUMBER: 144:101029
TITLE: Glucose lipid A analogs with macrophage activity-inhibiting action for treatment of inflammatory and autoimmune diseases
INVENTOR(S): Shiozaki, Masao; Shimozato, Ryuichi; Watanabe, Yukiko; Mochizuki, Takashi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 115 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006008539	A	20060112	JP 2004-184346	20040623
PRIORITY APPLN. INFO.:			JP 2004-184346	20040623

OTHER SOURCE(S): MARPAT 144:101029
GI



I

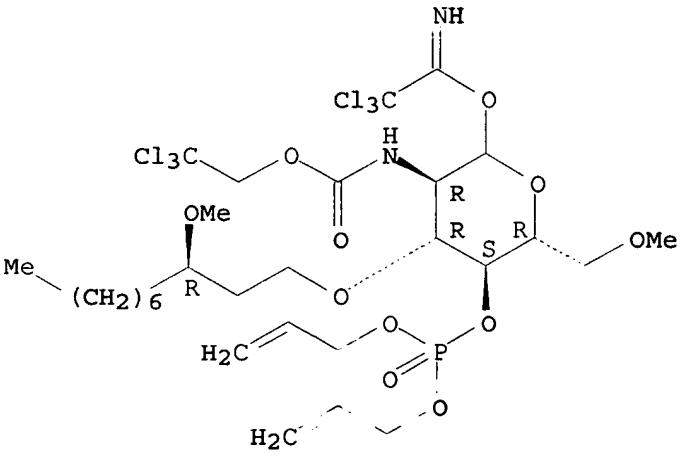
AB Glucose lipid A analogs (I; Q = O, alkylene, etc., R1, R2, R = H, (substituted)alkyl, alkenyl, alkanoyl, etc.; R3 = (substituted)alkanoyl, alkenoyl, alkynol; R5 = H, halogen, OH, etc.) with macrophage activity-inhibiting and immunosuppressant actions are claimed for treatment of inflammatory and autoimmune diseases, complications after coronary artery bypass surgery, and septicemia. I were prepared, and their effects on TNF-α in human blood and lethality in mice after LPS injections were tested.

IT 185954-85-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 185954-85-2 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

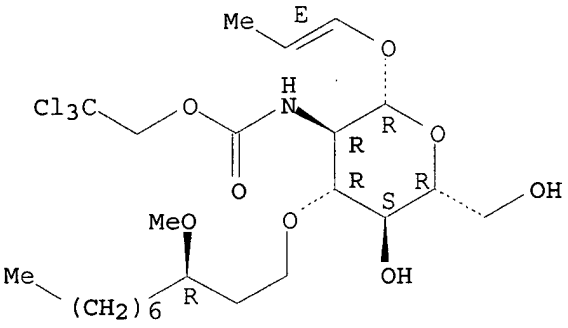


IT 721456-24-2P 721456-25-3P 721456-26-4P
721456-27-5P 721456-30-0P 721456-31-1P
721456-32-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
'preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 721456-24-2 HCAPLUS

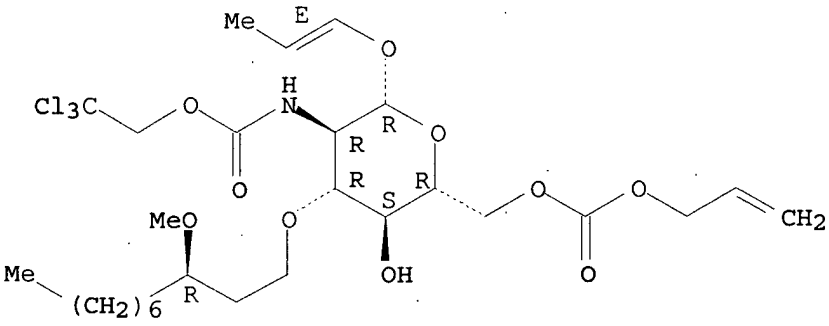
CN β-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



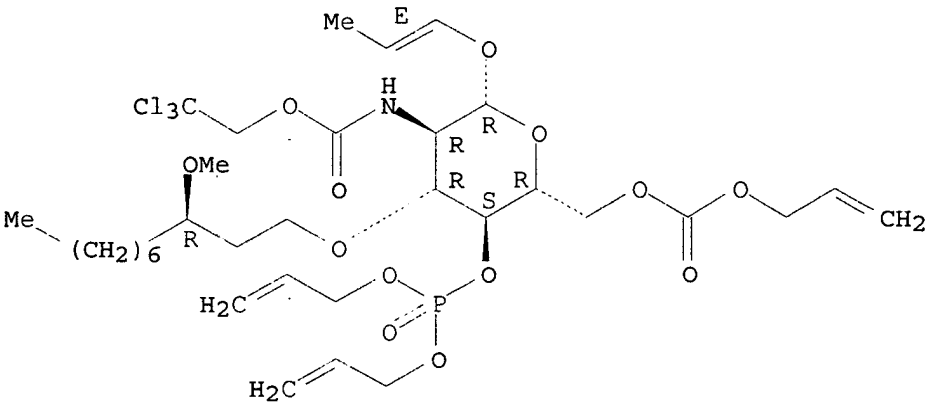
RN 721456-25-3 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-26-4 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

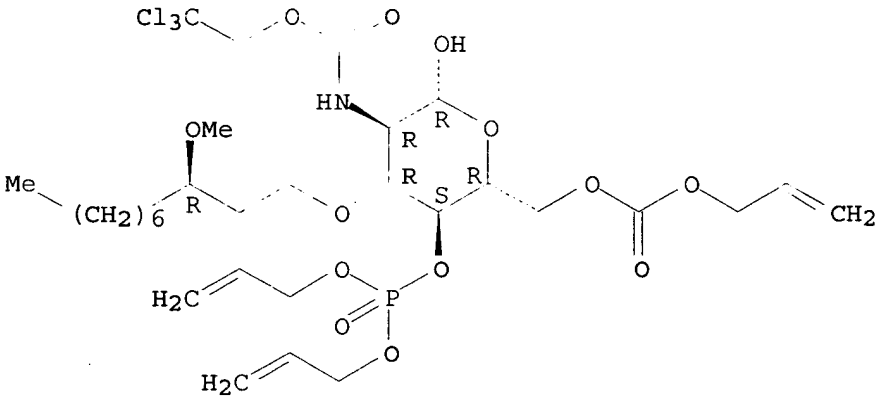
Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-27-5 HCAPLUS

CN β-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

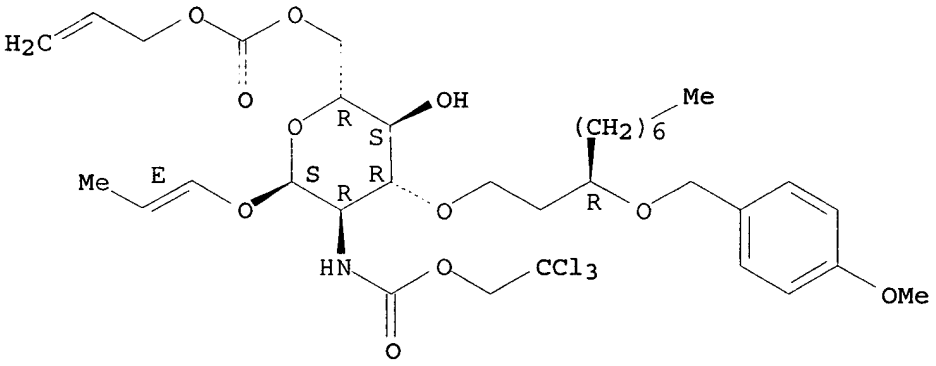
Absolute stereochemistry.



RN 721456-30-0 HCAPLUS

CN α-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

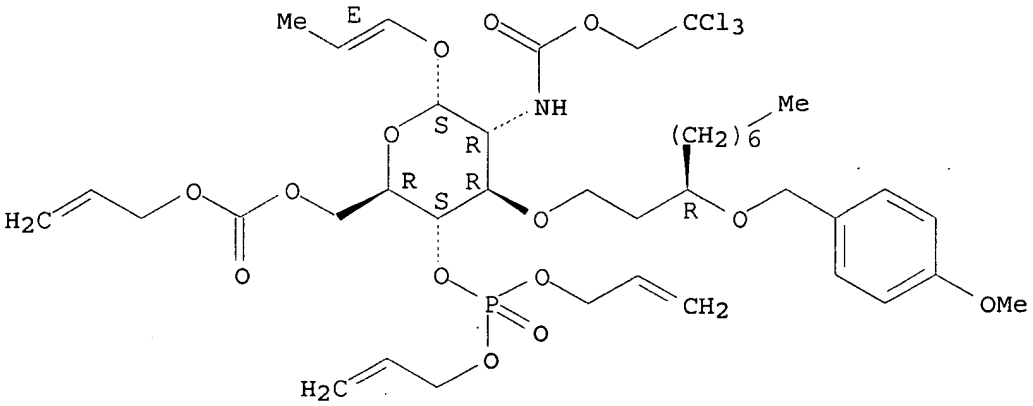
Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-31-1 HCAPLUS

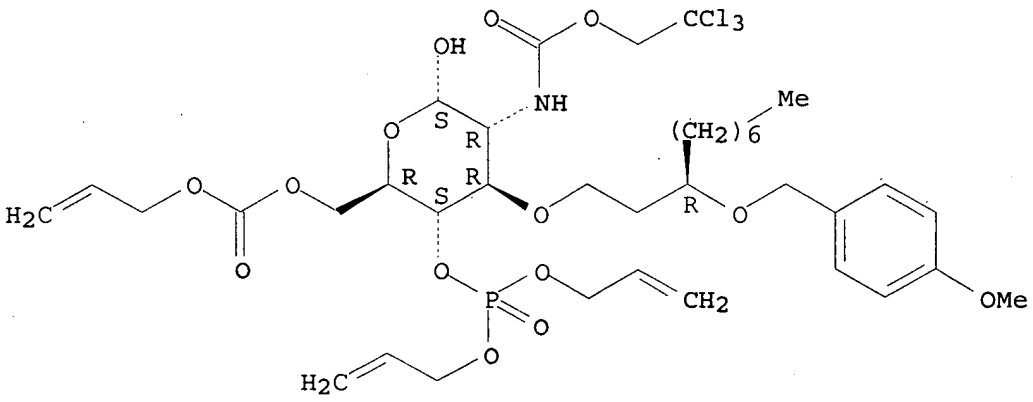
CN α-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-32-2 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



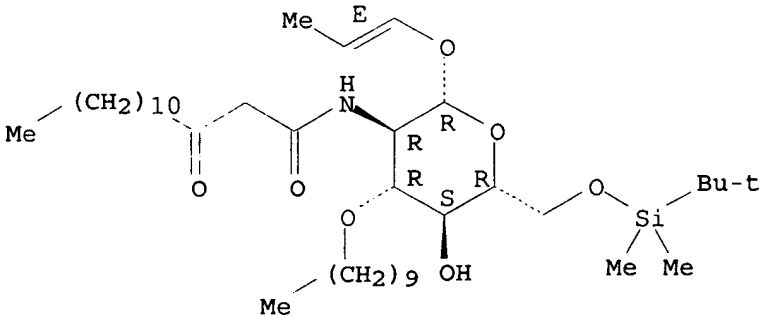
L11 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1299295 HCAPLUS
DOCUMENT NUMBER: 144:171174
TITLE: Syntheses of glucose-containing E5564 analogs and their LPS-antagonistic activities
AUTHOR(S): Shiozaki, Masao; Doi, Hiromi; Tanaka, Daisuke; Shimozato, Takaichi; Kurakata, Shin-ichi
CORPORATE SOURCE: Chemistry Department, Chemtech Labo, Inc., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140-8710, Japan
SOURCE: Tetrahedron (2005), Volume Date 2006, 62(1), 205-225
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:171174
AB Lipid A analogs containing a glucose moiety on their non-reducing end were synthesized, and their LPS-antagonistic activities were measured. The inhibitory activities (IC50) on LPS-induced TNFα production of title aminodeoxy disaccharides toward human whole blood cells were 0.46-1.11 nM. Inhibitory doses (ID50) of these compds. on TNFα production induced by co-injection of galactosamine and LPS in C3H/HeN mice were measured. The ID50 values of these compds. were 0.20-1.08 and <0.2 mg/kg. Moreover,

C3H/HeN mice preinjected with compds. were protected from lethality induced by co-injection of galactosamine and LPS. Out of eight mice preinjected with 1 mg/kg of title compds. five-eight mice were protected.

IT 859508-28-4P 859508-29-5P 859508-30-8P
859508-31-9P 859508-41-1P 859508-42-2P
859508-52-4P 859508-58-0P 859508-59-1P
874472-48-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses of glucose-containing E5564 analogs and their LPS-antagonistic activities)

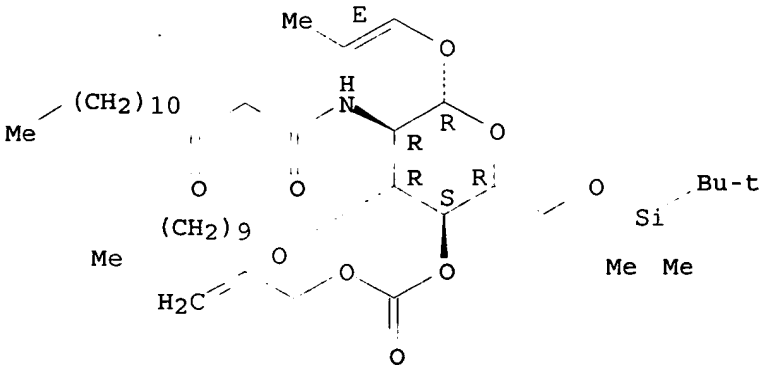
RN 859508-28-4 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



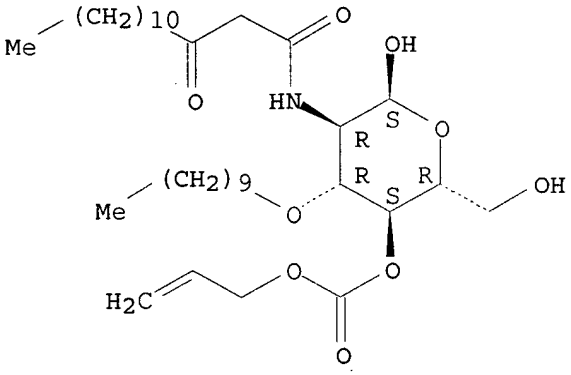
RN 859508-29-5 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 859508-30-8 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

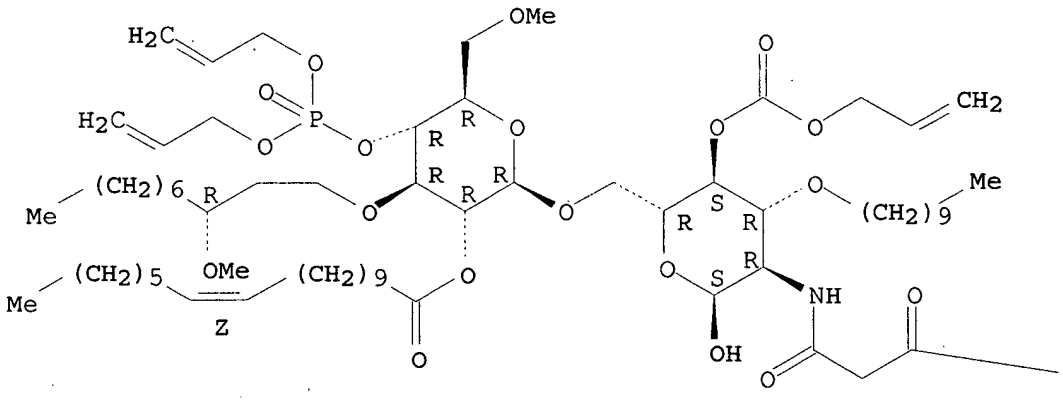
Absolute stereochemistry.



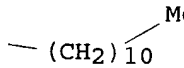
RN 859508-31-9 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-[(11Z)-1-oxo-11-octadecenyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

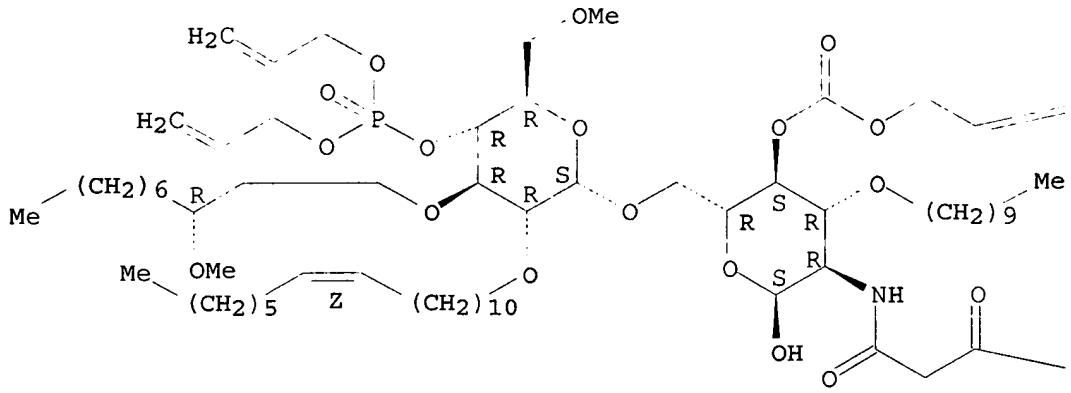


RN 859508-41-1 HCAPLUS

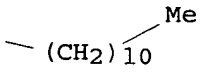
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl-α-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



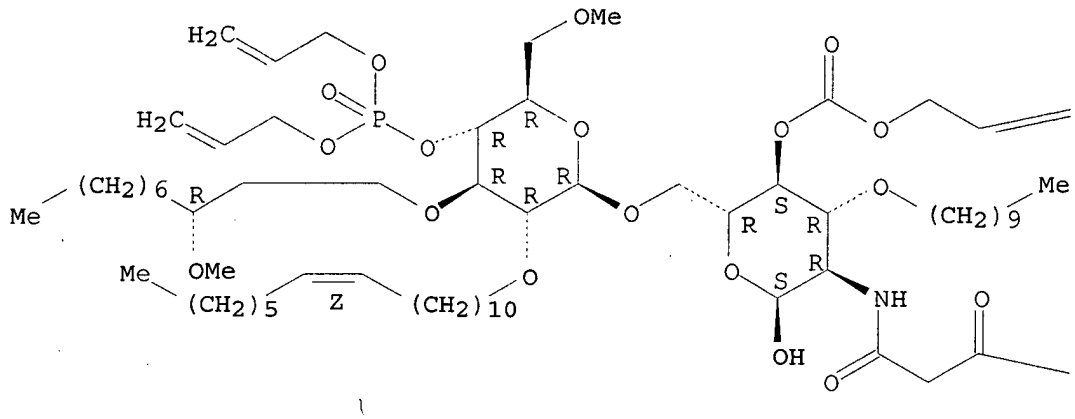
PAGE 1-B



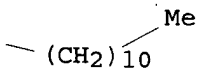
RN 859508-42-2 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



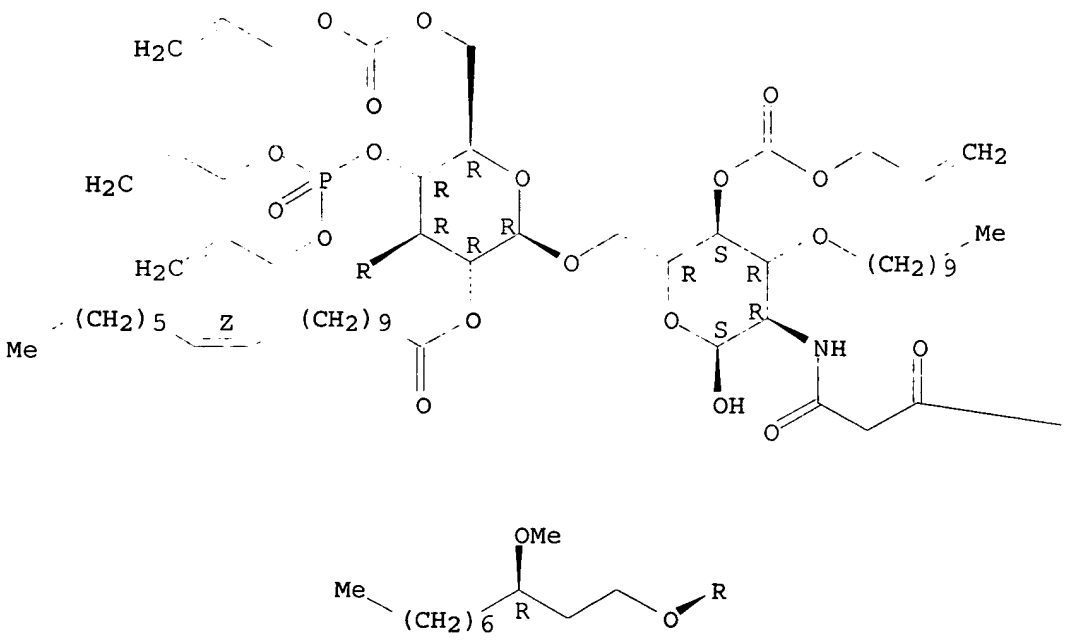
PAGE 1-B



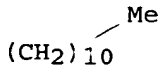
RN 859508-52-4 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-1-oxo-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

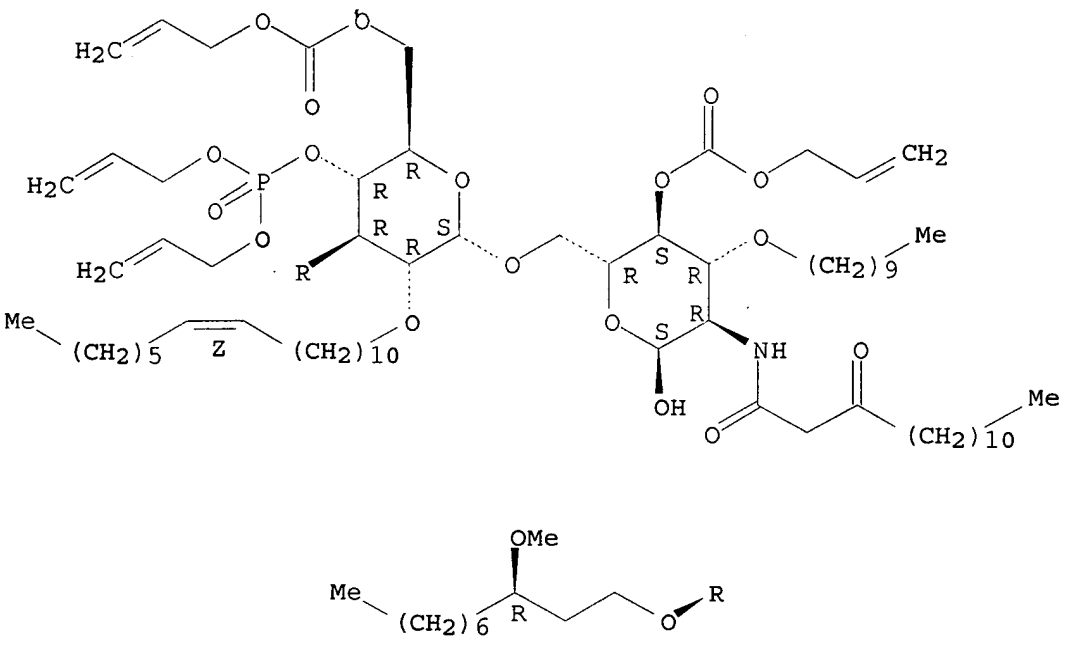


PAGE 1-B



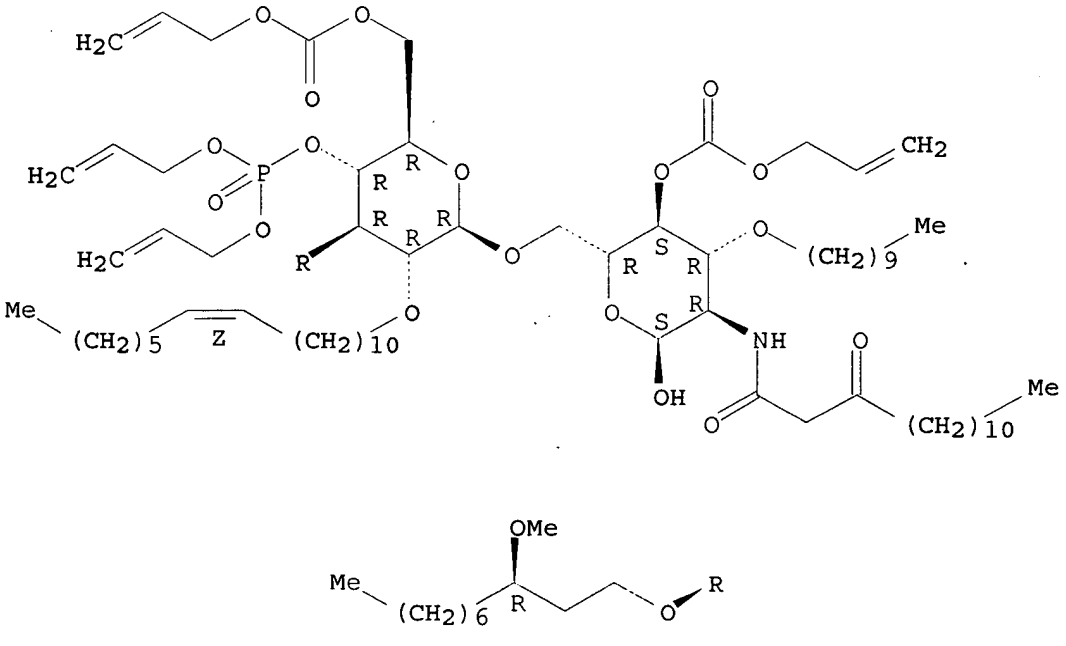
RN 859508-58-0 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]-α-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 859508-59-1 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

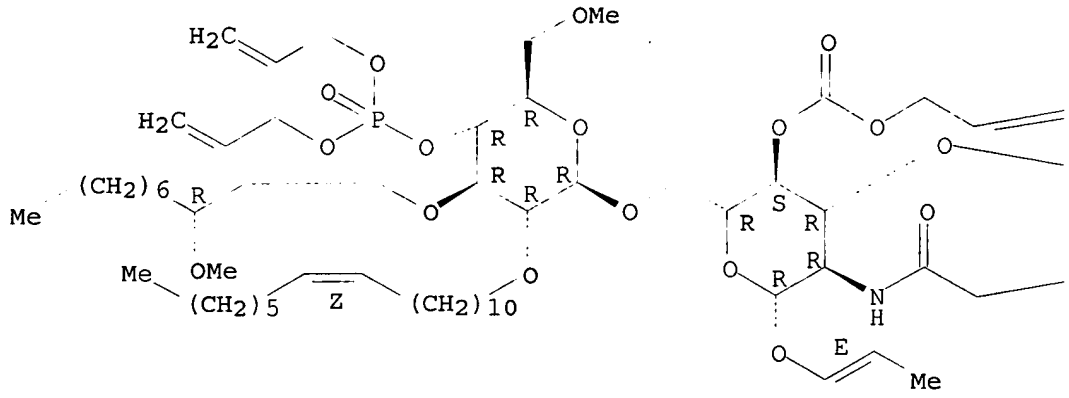


RN 874472-48-7 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-

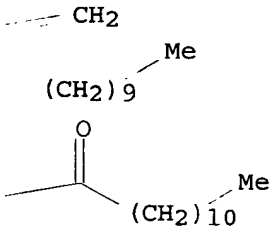
dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

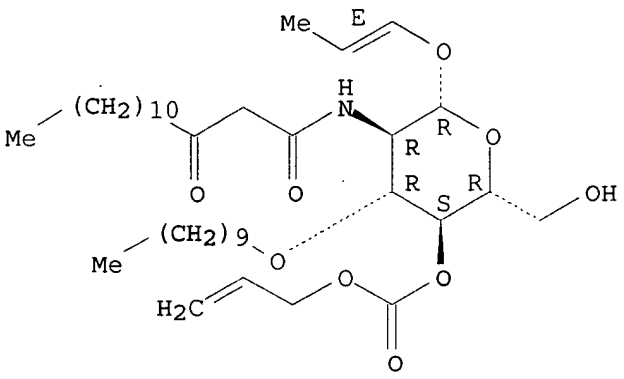


PAGE 1-B



IT 874472-41-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(syntheses of glucose-containing E5564 analogs and their LPS-antagonistic activities)
RN 874472-41-0 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



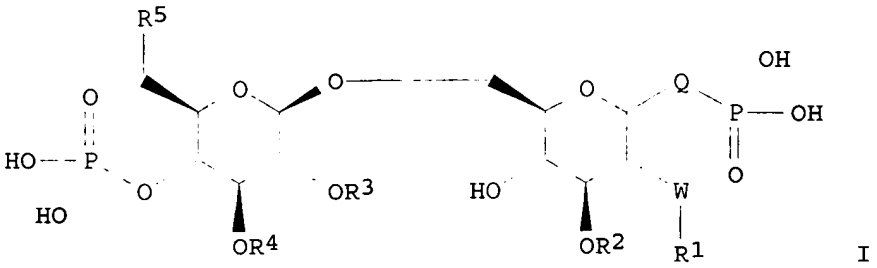
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:638895 HCAPLUS
DOCUMENT NUMBER: 143:153644
TITLE: preparation of levulose glucoselipid A derivatives as TNFα production inhibitors
INVENTOR(S): Shiozaki, Masao; Shimozato, Takaichi
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066193	A1	20050721	WO 2005-JP434	20050107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2552218	A1	20050721	CA 2005-2552218	20050107
JP 2005220130	A	20050818	JP 2005-2028	20050107
EP 1702926	A1	20060920	EP 2005-703673	20050107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

PRIORITY APPLN. INFO.: JP 2004-2902 A 20040108
WO 2005-JP434 W 20050107

OTHER SOURCE(S): MARPAT 143:153644
GI



I

AB Title compds. I [Q = O, etc.; W = O, NH; R1 = (un)substituted alkanoyl, etc. with the proviso that if W = NH; R1 (with the proviso that if W = O), R2, R3, R4 = H, (un)sunstituted alkyl, etc.; R5 = H, halo, etc.] were prepared For example, phosphorylation of 4-O-(allyloxycarbonyl)-3-O-decyl-2-deoxy-6-O-[4-O-diallylphosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-O-[(Z)-11-octadecenoyl]-β-D-glucopyranosyl]-2-(3-oxotetradecanoylamino)-α-D-glucopyranoside, e.g., prepared from 1,2:5,6-di-O-isopropylidene-α-D-glucofuranose in 15 steps, with diallyl diisopropylphosphoramidate followed by deallylation using Pd(PPh3)4 afforded phosphono 3-O-decyl-2-deoxy-6-O-[3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-O-[(Z)-11-octadecenoyl]-4-O-phosphono-β-D-glucopyranosyl]-2-(3-oxotetradecanoylamino)-α-D-glucopyranoside (II). In TNFα production inhibition assays, the IC50 value of compound II was 0.49 nM. Compds. I are claimed useful for the treatment of inflammation, septicemia, etc.

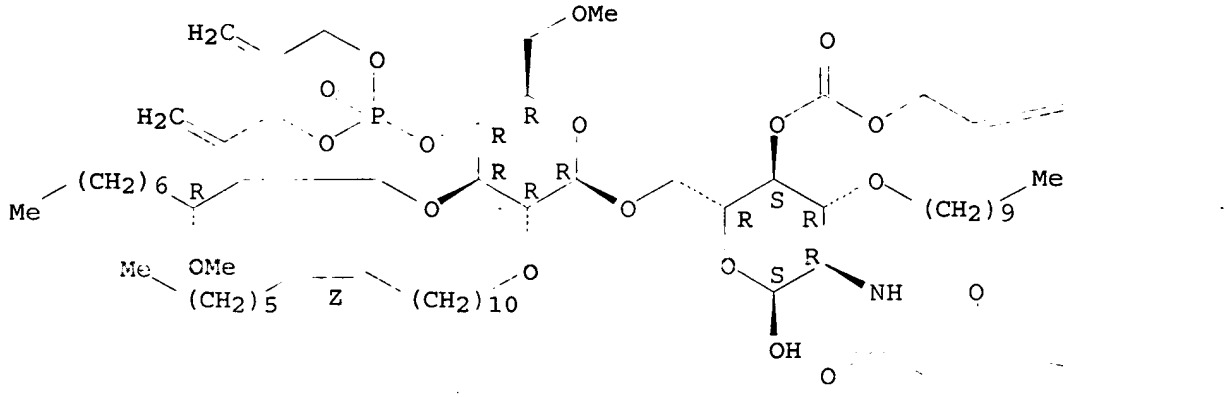
IT 859508-42-2P 859508-59-1P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of levulose glucoselipid A derivs. as TNFα production inhibitors for treatment of inflammation, septicemia, etc.)

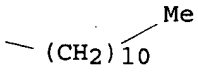
RN 859508-42-2 HCAPLUS

CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

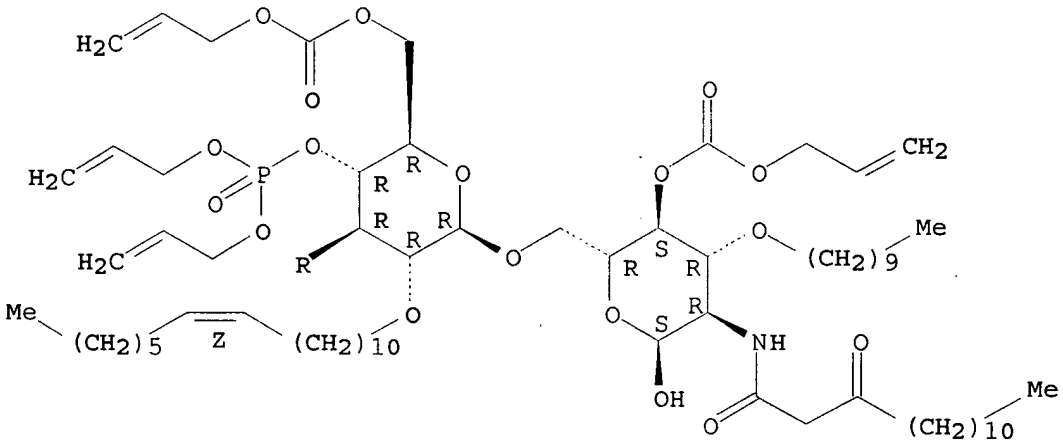
PAGE 1-A

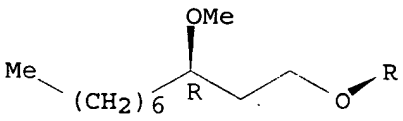




RN 859508-59-1 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

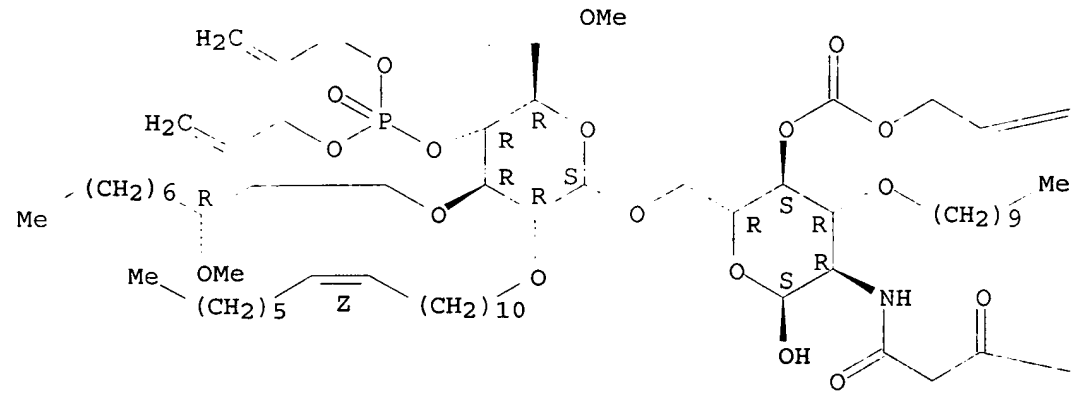




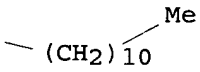
IT 859508-41-1P 859508-58-0P
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation of levulose glucoselipid A derivs. as TNFα production inhibitors for treatment of inflammation, septicemia, etc.)
RN 859508-41-1 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl-α-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

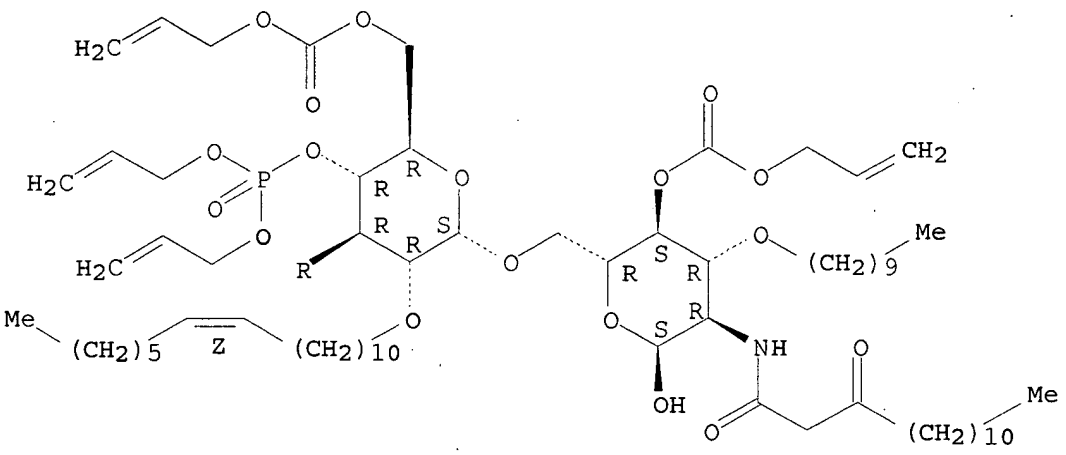


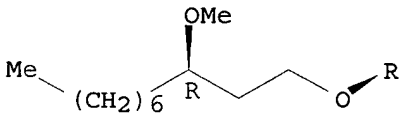
PAGE 1-B



RN 859508-58-0 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]-α-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

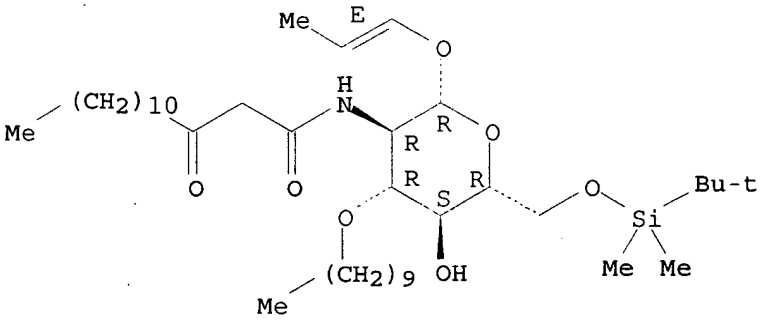




IT 859508-28-4P 859508-29-5P 859508-30-8P
859508-31-9P 859508-52-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of levulose glucoselipid A derivs. as TNFα production inhibitors for treatment of inflammation, septicemia, etc.)

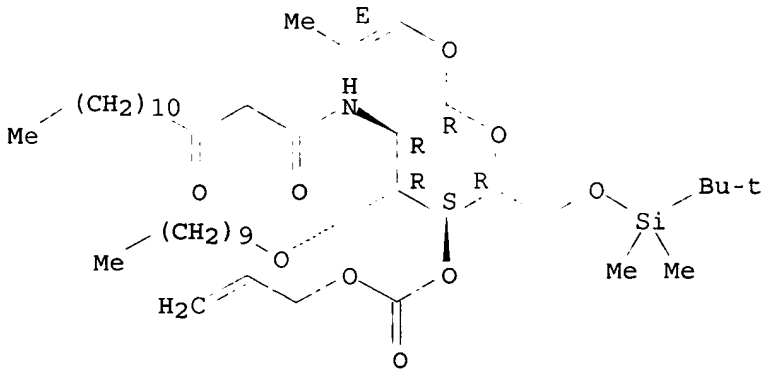
RN 859508-28-4 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



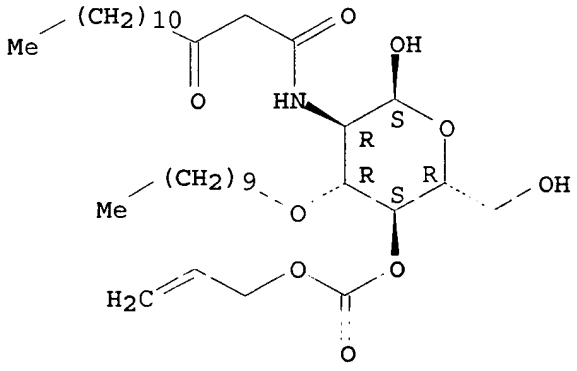
RN 859508-29-5 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



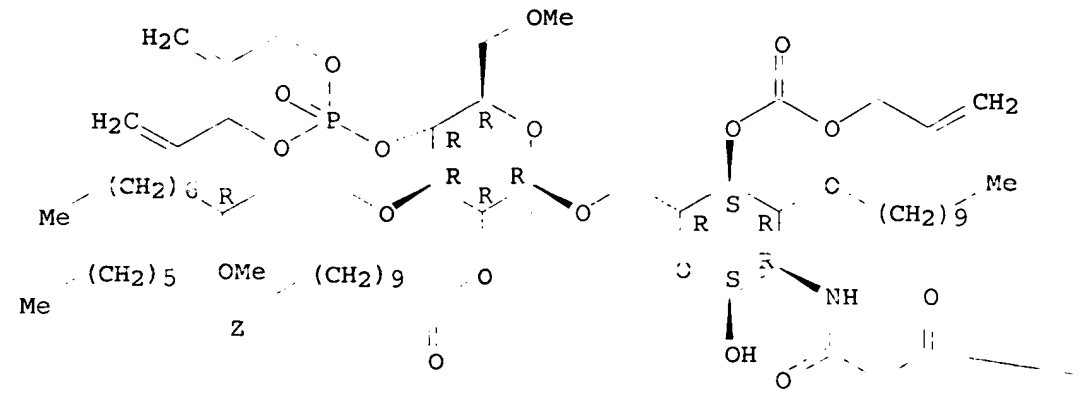
RN 859508-30-8 HCAPLUS
CN α-D-Glucopyranose, 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

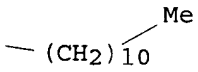


RN 859508-31-9 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-[(11Z)-1-oxo-11-octadecenyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



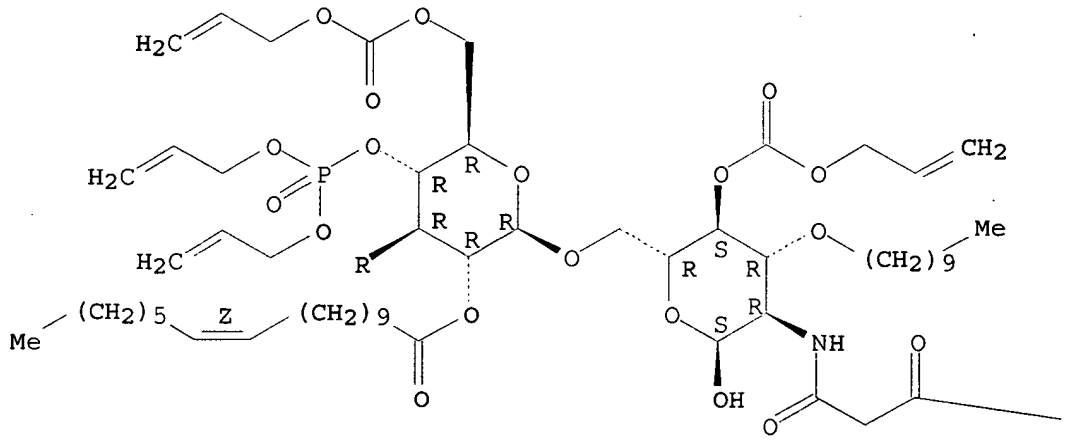
PAGE 1-B

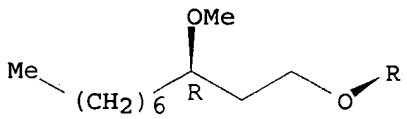


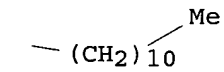
RN 859508-52-4 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-1-oxo-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



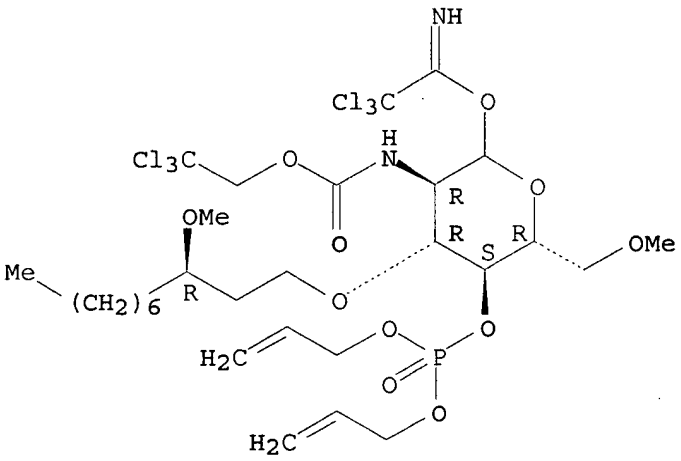




REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:574972 HCAPLUS
DOCUMENT NUMBER: 143:230114
TITLE: Syntheses of glucose-containing lipid A analogs and their LPS-antagonistic activities
AUTHOR(S): Shiozaki, Masao; Doi, Hiromi; Tanaka, Daisuke; Shimozato, Takaichi; Kurakata, Shin-ichi
CORPORATE SOURCE: Chemistry Department, Chemtech Labo., Inc., Tokyo, 140-8710, Japan
SOURCE: Bulletin of the Chemical Society of Japan (2005), 78(6), 1091-1104
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:230114
AB Three anomeric pairs of lipid A-type disaccharides containing a glucose on their reducing end were synthesized and their LPS-antagonistic activities were measured. The inhibitory activities (IC50) on the LPS-induced TNFα production of title compds. toward human whole blood cells were 0.35-7.70 nM.
IT 185954-85-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(syntheses of glucose-containing lipid A analogs and their LPS-antagonistic activities)
RN 185954-85-2 HCAPLUS
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:378813 HCAPLUS
DOCUMENT NUMBER: 143:78381
TITLE: Synthesis of lipid A analogues containing glucose instead of glucosamine and their LPS-antagonistic activities
AUTHOR(S): Shiozaki, Masao; Watanabe, Yukiko; Iwano, Yuji; Kaneko, Toshio; Doi, Hiromi; Tanaka, Daisuke; Shimozato, Takaichi; Kurakata, Shin-Ichi
CORPORATE SOURCE: Chemistry Department, Chemtech Labo Inc., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140-8710, Japan
SOURCE: Tetrahedron (2005), 61(21), 5101-5122
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:78381
GI

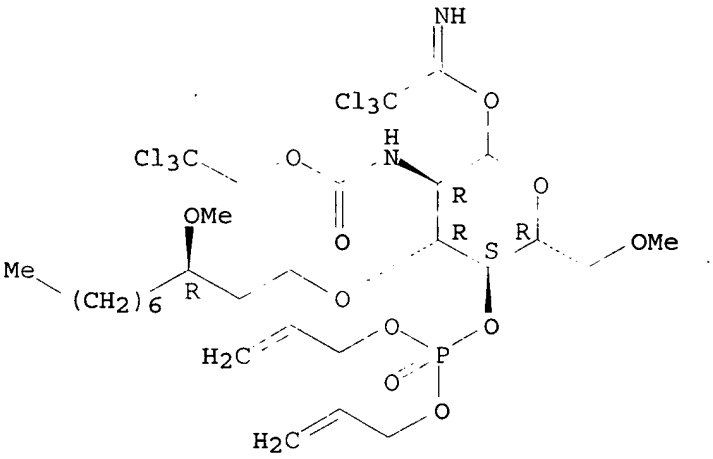
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipid A analogs containing glucose in substitution for glucosamine on the reducing end were synthesized, and the inhibitory activities on LPS-induced TNFα production (LPS-antagonistic activity) in vitro using human whole blood cells were measured. The IC50 value (nM) of the prepared compds., e.g., I, was 2.7. And also inhibitory activities (ID50) on TNFα production toward galactosamine loaded C3H/HeN mice in vivo were measured. The value for I was 0.29 mg/kg, resp.

IT 185954-85-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lipid A analogs containing glucose instead of glucosamine and their LPS-antagonistic activities)

RN 185954-85-2 HCAPLUS
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

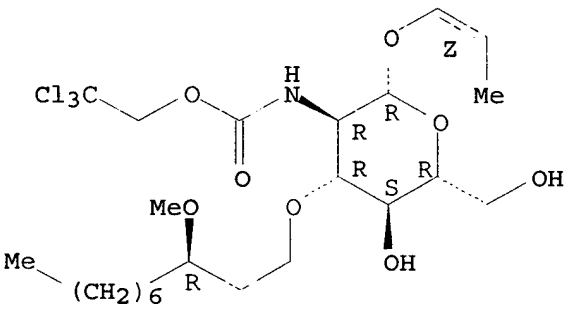


IT 855181-00-9P 855181-04-3P 855181-08-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lipid A analogs containing glucose instead of glucosamine and their LPS-antagonistic activities)

RN 855181-00-9 HCAPLUS

CN β-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

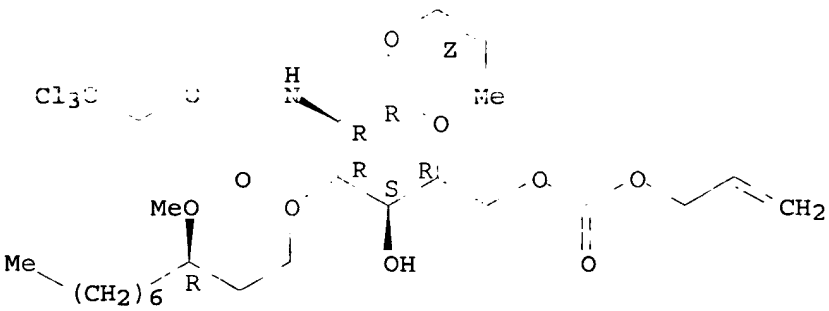
Absolute stereochemistry.
Double bond geometry as shown.



RN 855181-04-3 HCAPLUS

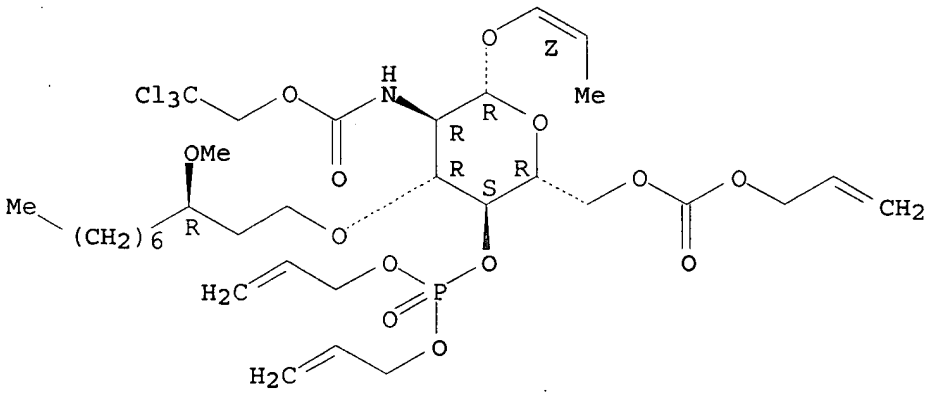
CN β-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 855181-08-7 HCAPLUS
CN β-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:718552 HCAPLUS
DOCUMENT NUMBER: 141:225771
TITLE: Reagents and methods for preparing lipopolysaccharides antagonist B1287 and stereoisomers thereof for treatment of various forms of septic shock
INVENTOR(S): Fan, Rulin
PATENT ASSIGNEE(S): Eisai Co, Ltd., Japan
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004074303	A2	20040902	WO 2004-US4921		20040218
WO 2004074303	A3	20041229			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
JP 2006518394	T	20060810	JP 2006-503710		20040218
US 2006160999	A1	20060720	US 2005-546132		20051212
PRIORITY APPLN. INFO.:			US 2003-448839P	P	20030220
			WO 2004-US4921	W	20040218

OTHER SOURCE(S): CASREACT 141:225771; MARPAT 141:225771
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

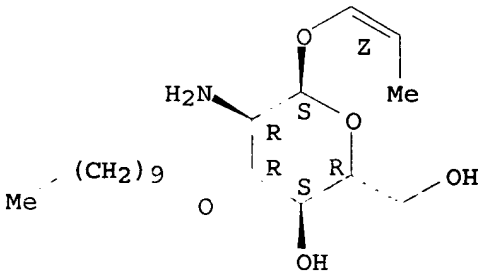
AB The present invention provides methods for preparing lipopolysaccharides (LPS) antagonist lipo-disaccharide B1287 and stereoisomers thereof, which compds. are useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock (no biol. data). Also provided are synthetic intermediates useful for implementing the inventive methods. Thus, lipo-disaccharide B1287 I was prepared for treatment of various forms of septic shock.

IT 185955-22-0P 185955-28-6P 185955-29-7P
185955-32-2P 748165-17-5P 748165-18-6P
748165-20-0P 748165-23-3P 748165-24-4P
748165-25-5P 748165-26-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reagents and methods for preparing lipopolysaccharides antagonist b and stereoisomers thereof for treatment of various forms of septic shock)

RN 185955-22-0 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

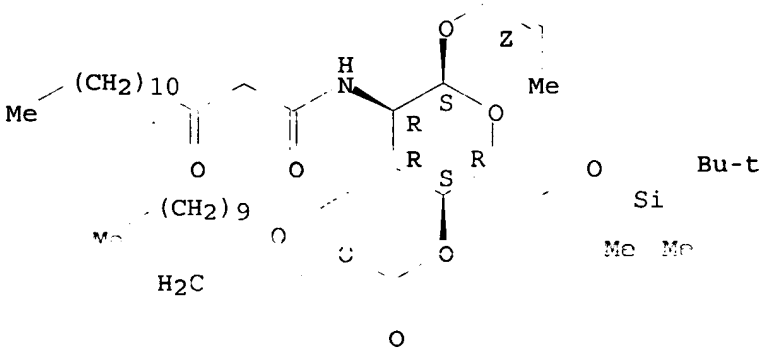
Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-28-6 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

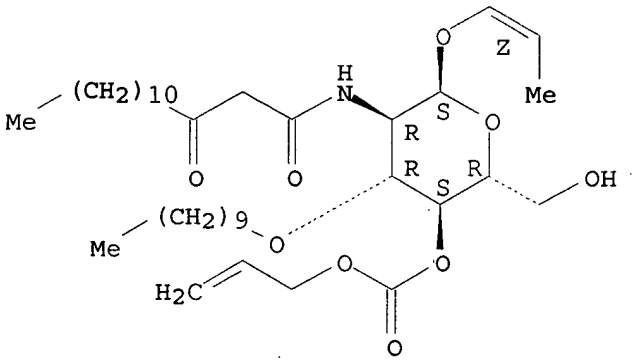


RN 185955-29-7 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-

dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

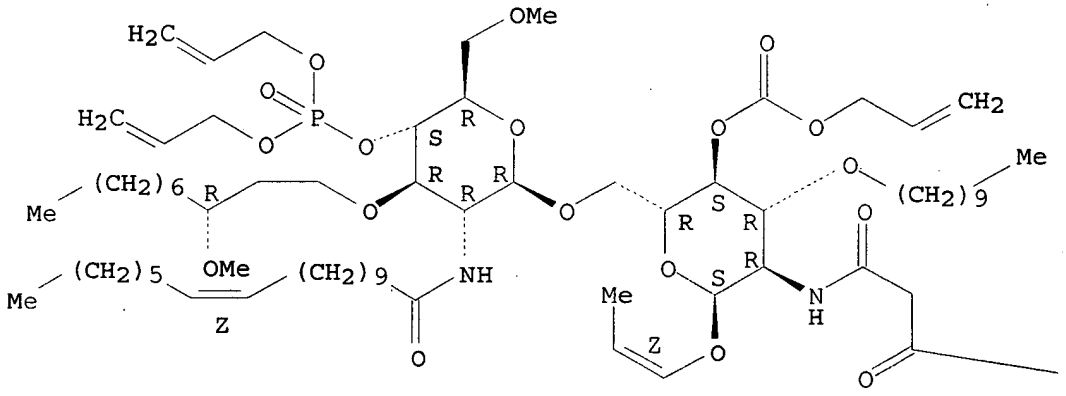
Absolute stereochemistry.
Double bond geometry as shown.

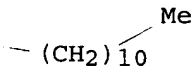


RN 185955-32-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

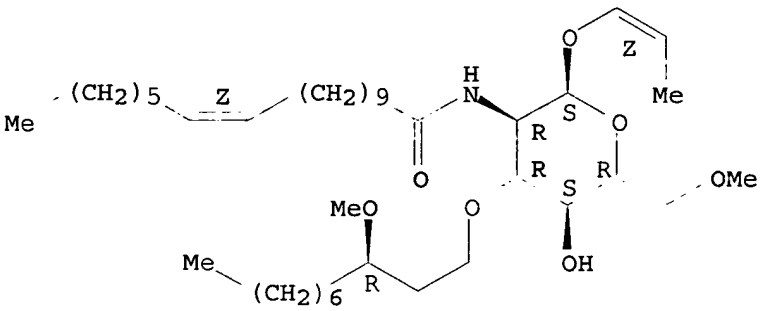
PAGE 1-A





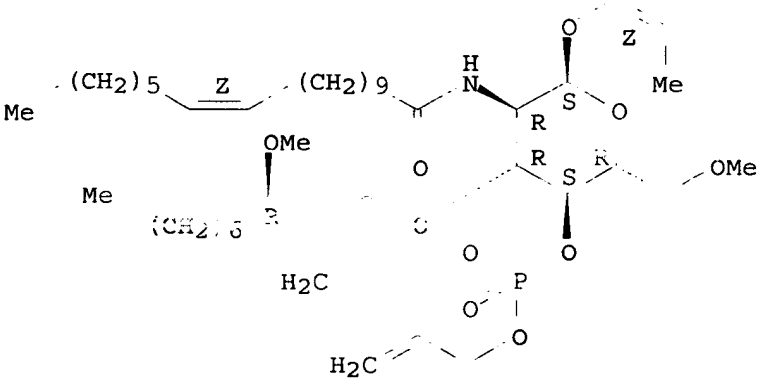
RN 748165-17-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



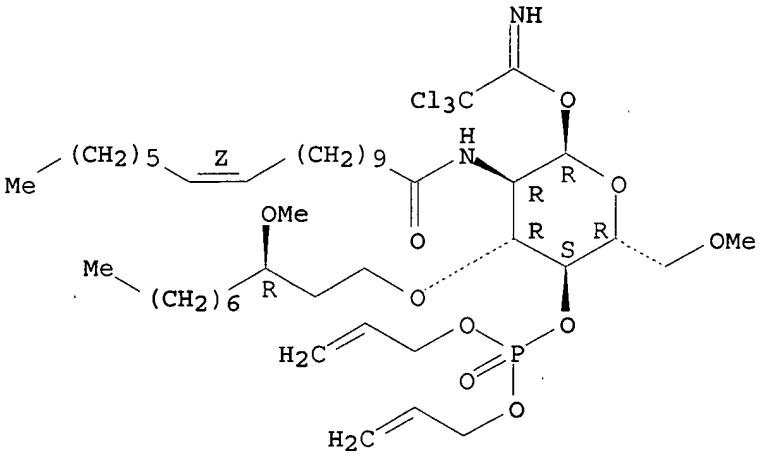
RN 748165-18-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



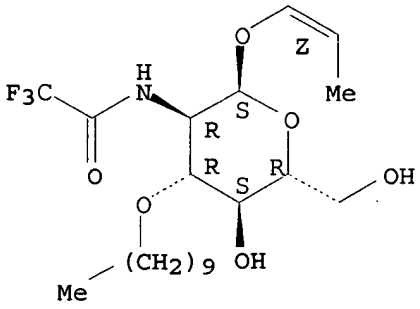
RN 748165-20-0 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



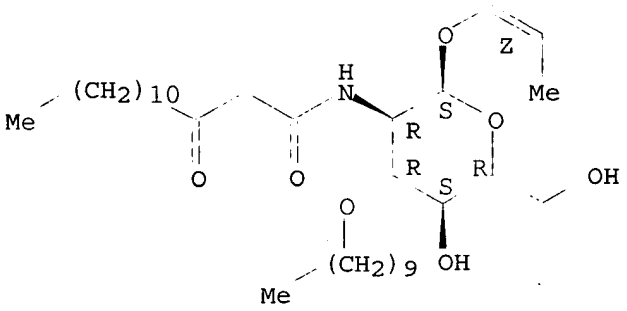
RN 748165-23-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



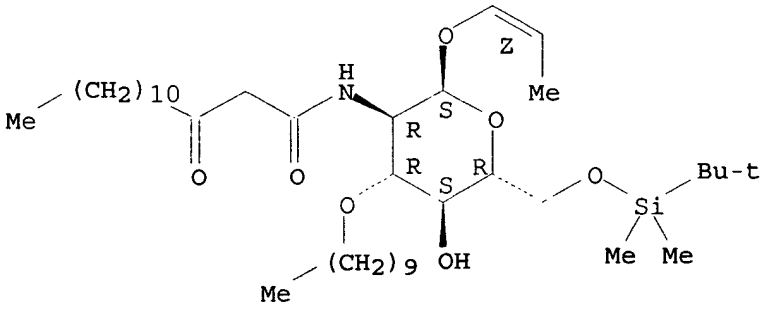
RN 748165-24-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 748165-25-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

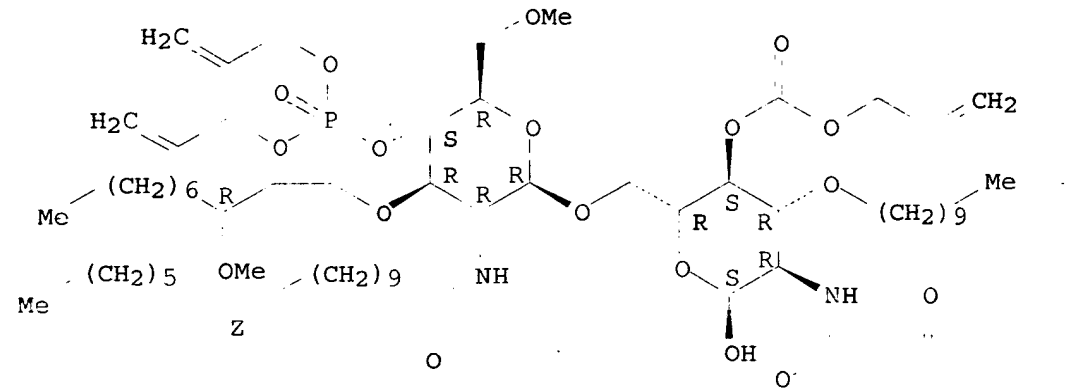
Absolute stereochemistry.
Double bond geometry as shown.

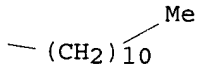


RN 748165-26-6 HCAPLUS
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

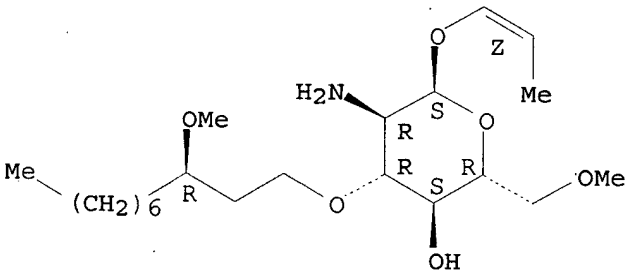
PAGE 1-A





IT 185955-17-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reagents and methods for preparing lipopolysaccharides antagonist b and stereoisomers thereof for treatment of various forms of septic shock)
RN 185955-17-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L11 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:566631 HCAPLUS
DOCUMENT NUMBER: 141:123863
TITLE: Preparation of lipid A analogs having glucose as the right-side sugar
INVENTOR(S): Shiozaki, Masao; Shimozato, Takaichi
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; Watanabe, Yukiko; Mochizuki, Takashi
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

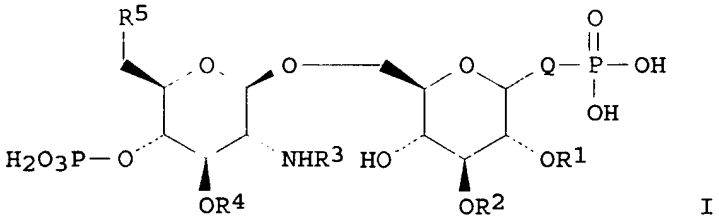
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058788	A1	20040715	WO 2003-JP16483	20031222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2004217630	A	20040805	JP 2003-421912		20031219
AU 2003289493	A1	20040722	AU 2003-289493		20031222
PRIORITY APPLN. INFO.:			JP 2002-372336	A	20021224
			WO 2003-JP16483	W	20031222

OTHER SOURCE(S): MARPAT 141:123863
GI



I

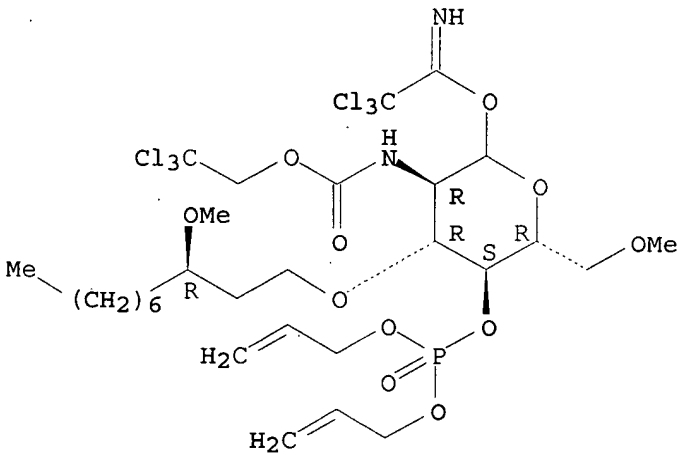
AB Compds. represented by the general formula (I) or pharmacol. acceptable salts thereof [wherein Q is oxygen, C1-3 alkylene, -O-Alk-, or -O-Alk-O- (wherein Alk is C1-3 alkylene); R1, R2, and R4 are each independently hydrogen, or each optionally substituted C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl; R3 is each optionally substituted C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl; and R5 is hydrogen, halogeno, hydroxyl, or each optionally oxo-substituted C1-6 alkoxy, C2-6 alkenyloxy, or C2-6 alkynyloxy] are prepared These compds. possess excellent macrophage activation-inhibitory activity and are useful as preventives or remedies for inflammations, autoimmune diseases, and septicemia or as immunosuppressants and improvers after coronary artery bypass surgery. Thus, glycosidation of 2-deoxy-4-O-diallylphosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxycarbonyl)amino]-D-glucopyranosyl trichloroacetimidate with 2-[(diallylphosphono)oxy]ethyl 3-O-dodecyl-2-O-[(R)-3-hydroxytetradecyl]-α-D-glucopyranoside in the presence of trimethylsilyl triflate, AgOTf, and mol. sieve 4A in CH_2Cl_2 at room temperature overnight gave 2-[(diallylphosphono)oxy]ethyl 6-O-[2-deoxy-4-O-diallylphosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxycarbonyl)amino]-β-D-glucopyranosyl]-3-O-dodecyl-2-O-[(R)-3-hydroxytetradecyl]-α-D-glucopyranoside which was treated with Zn powder in a solution of AcOH in THF at room temperature for 4 h, amidated with cis-vaccenoyl chloride at room temperature for 2 h, and then underwent deprotection of allyl group by treatment with HCO_2H in the presence of $Pd(PPh_3)_4$ and Et_3N in th at 54° for 20 h to give, after purification using a DEAE cellulose column, 2-(phosphonooxy)ethyl 6-O-[2-deoxy-4-O-phosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-[(Z)-11-octadecenoylamino]-β-D-glucopyranosyl]-3-O-dodecyl-2-O-[(R)-3-hydroxytetradecyl]-α-D-glucopyranoside (II). II in vitro inhibited the production of TNF-α in human whole blood after stimulation by lipopolysaccharide with IC50 of 0.019 nM.

IT 185954-85-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 185954-85-2 HCAPLUS
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-

trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

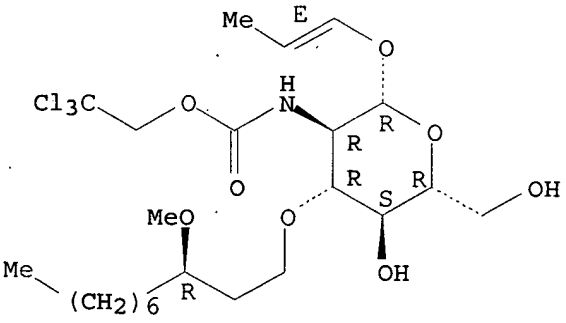


IT 721456-24-2P 721456-25-3P 721456-26-4P
721456-27-5P 721456-30-0P 721456-31-1P
721456-32-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 721456-24-2 HCAPLUS

CN β-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

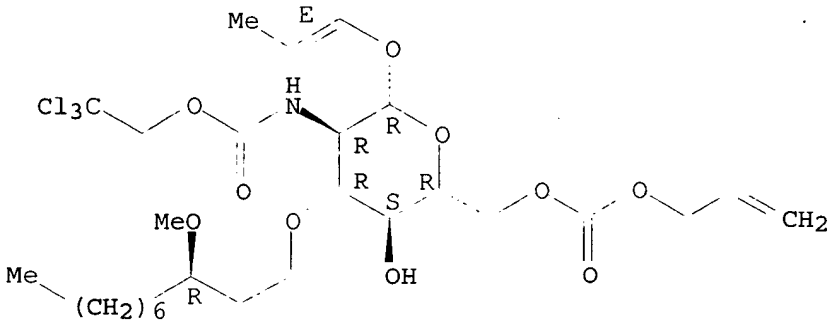
Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-25-3 HCAPLUS

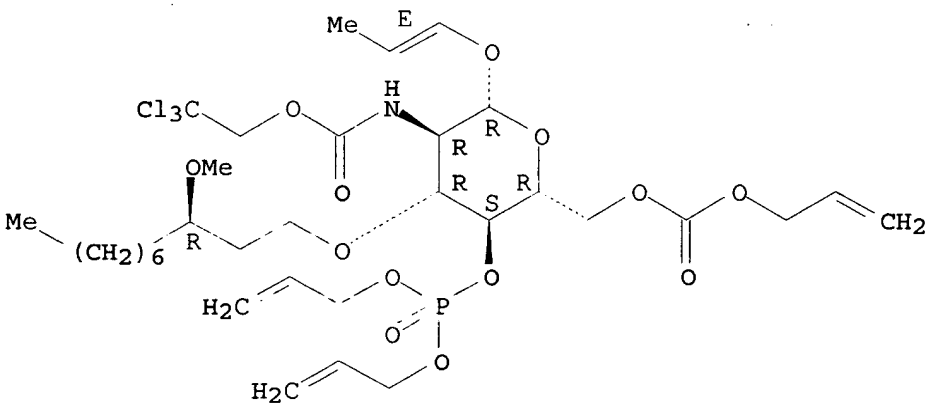
CN β-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



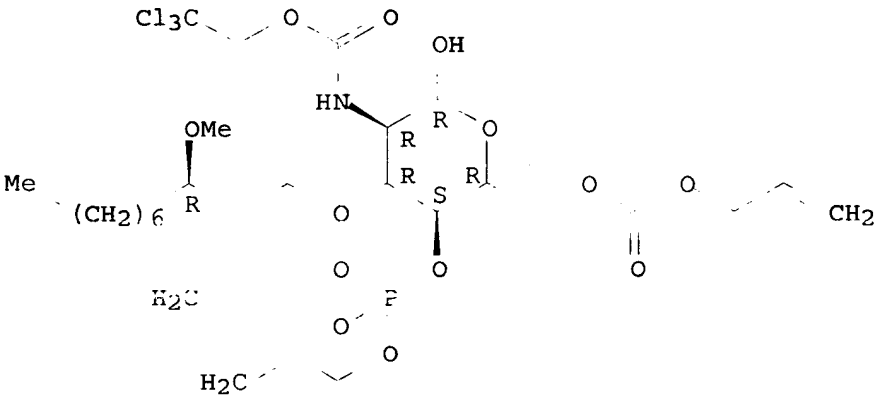
RN 721456-26-4 HCAPLUS
CN β-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-27-5 HCAPLUS
CN β-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

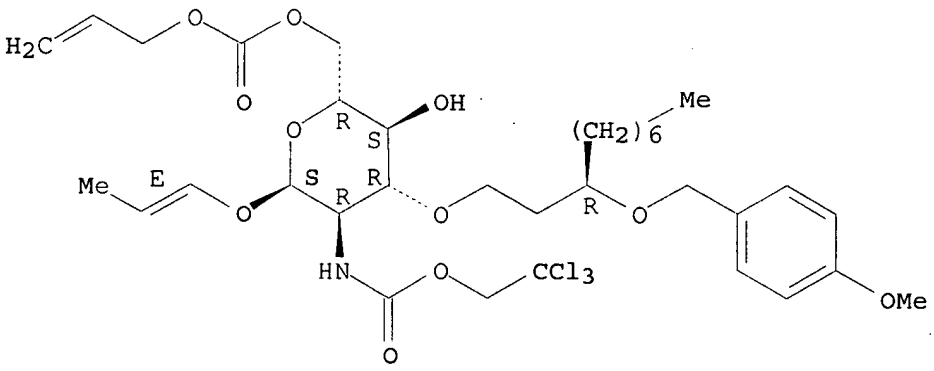
Absolute stereochemistry.



RN 721456-30-0 HCAPLUS
CN α-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-

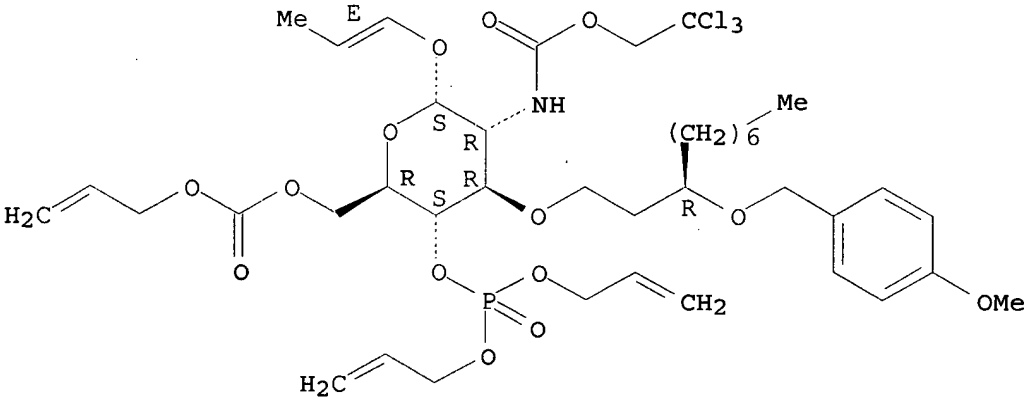
methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



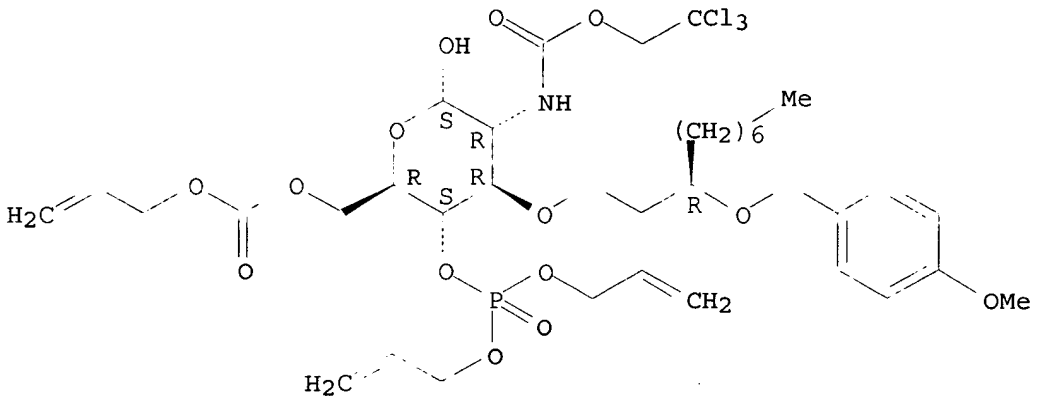
RN 721456-31-1 HCAPLUS
CN α-D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 721456-32-2 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

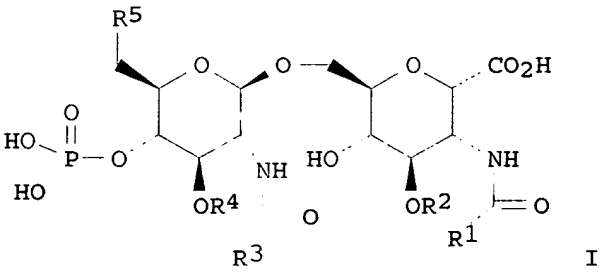
Absolute stereochemistry.



L11 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:411558 HCAPLUS
DOCUMENT NUMBER: 140:400064
TITLE: Pharmaceuticals containing lipid A analogs as macrophage activation inhibitors and their uses
INVENTOR(S): Watanabe, Yukiko; Shiozaki, Masao
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 164 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004143046	A	20040520	JP 2002-306516	20021022

PRIORITY APPLN. INFO.: JP 2002-306516 20021022
OTHER SOURCE(S): MARPAT 140:400064
GI



I

AB Pharmaceuticals, useful as macrophage activation inhibitors, inflammation inhibitors, drugs for autoimmune diseases, immunosuppressants, and drugs for septicemia, contain lipid A analogs I (one of R1 and R3 = C9-15 alkyl and the other = H, C1-6 alkyl; (i) one of R2 and R4 = C10-16 alkoxy-C10-16 alkyl and the other = C10-16 alkenyl, (ii) one of R2 and R4 = C10-16 alkoxy-C10-16 alkenyl and the other = C10-16 alkyl, or (iii) one of R2 and R4 = C10-16 alkenyloxy-C10-16 alkyl and the other = C10-16 alkyl; R5 = OH, C1-6 alkoxy, halo, wherein alkyl, alkenyl, alkoxy, and alkenyloxy may be substituted with oxo, halo, OH, or C1-6 alkoxy; except a case where R2 and R4 are substituted with oxo) or their pharmaceutically-acceptable esters or salts. 2,6-Anhydro-3-deoxy-7-O-[2-deoxy-2-formamido-6-O-methyl-4-O-

phosphono-3-O-[(R)-3-[(Z)-7-tetradecenyloxy]tetradecyl]-β-D-glucopyranosyl]-4-O-dodecyl-3-(3-oxo-tetradecanamido)-D-glycero-D-ido-heptonic acid (preparation given) inhibited LPS-stimulated TNFα production by TPA-treated U937 cells at IC50 0.017 nM. Cytotoxicity of the compound was ≥5000 nM.

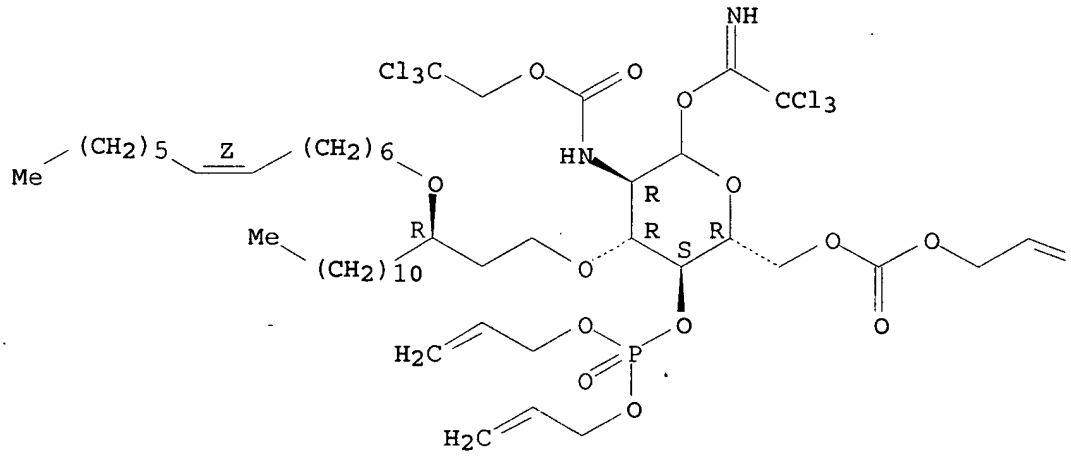
IT 366805-74-5P 475129-97-6P 475130-01-9P
475130-05-3P 475130-15-5P 475130-19-9P
475130-26-8P 475130-30-4P 475131-63-6P
475131-67-0P 475131-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lipid A analogs as macrophage activation inhibitors for treatment of inflammation, autoimmune diseases, septicemia, etc.)

RN 366805-74-5 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



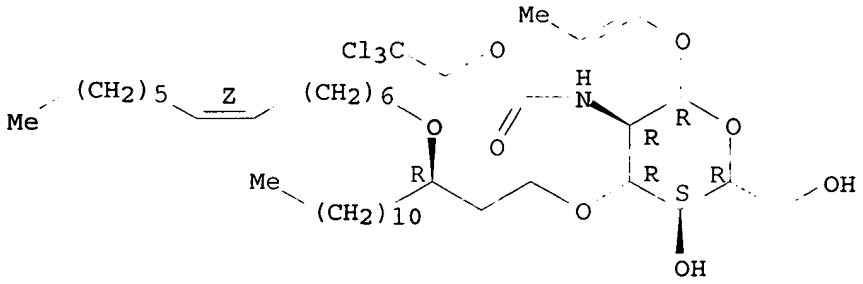
PAGE 1-B

$=CH_2$

RN 475129-97-6 HCAPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

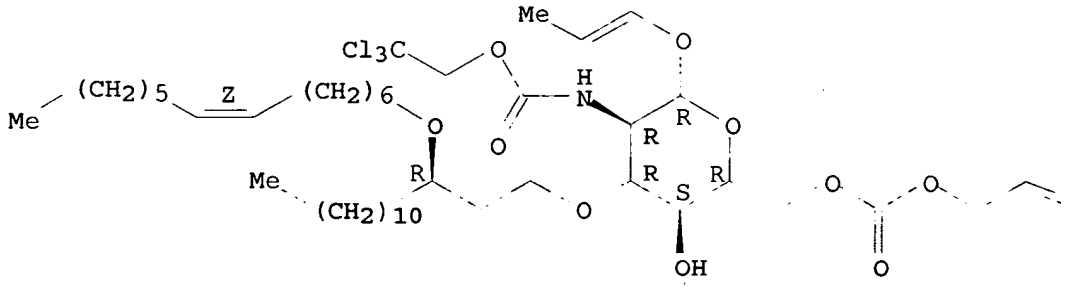
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475130-01-9 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



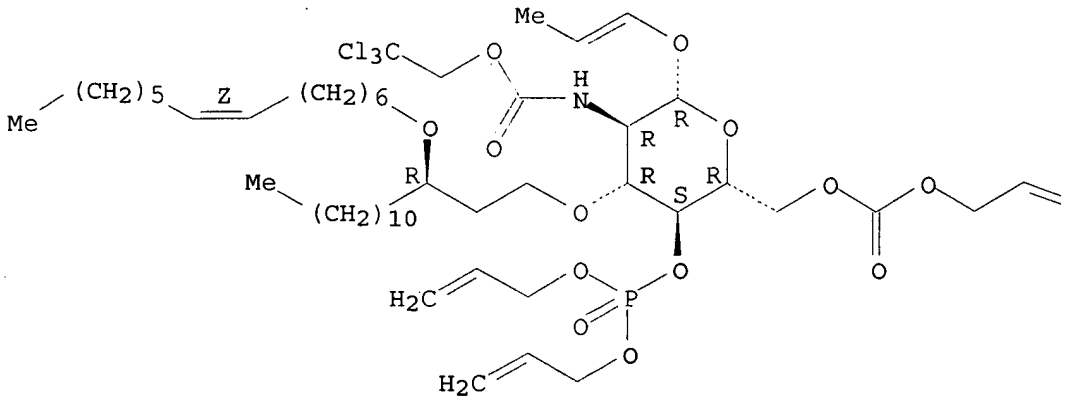
PAGE 1-B

CH_2

RN 475130-05-3 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

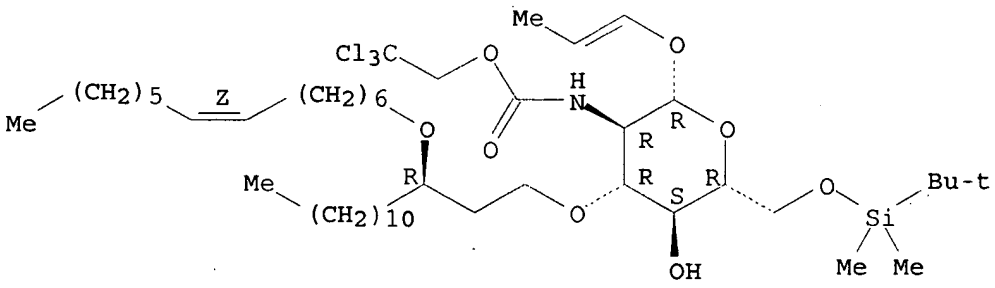
PAGE 1-A



PAGE 1-B

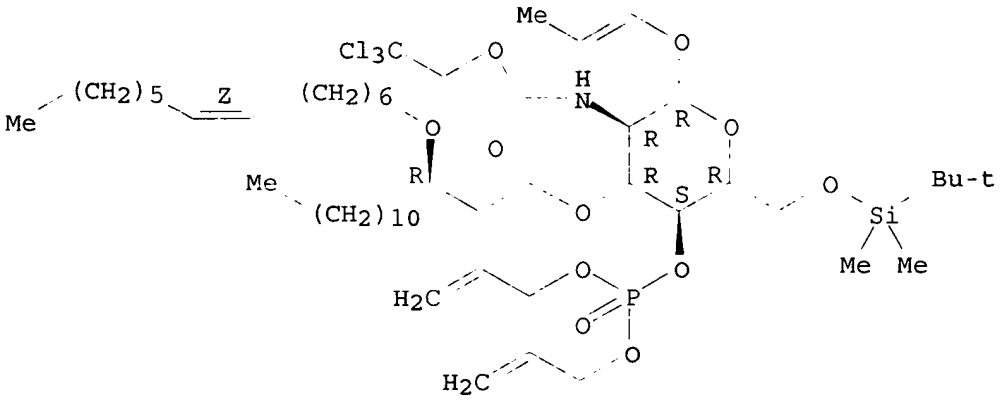
RN 475130-15-5 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



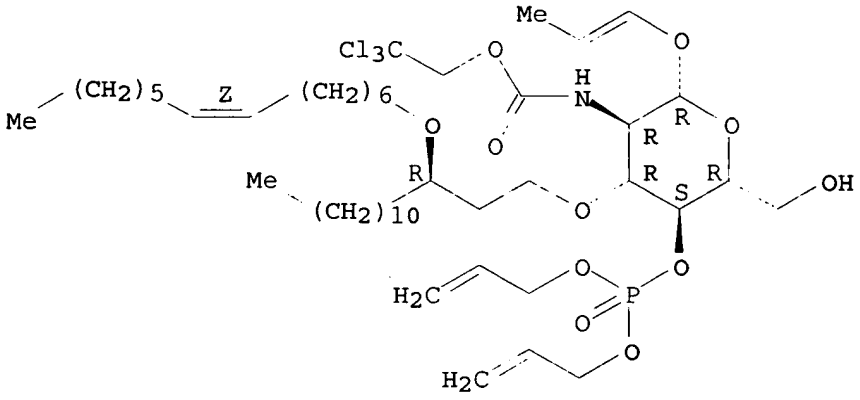
RN 475130-19-9 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



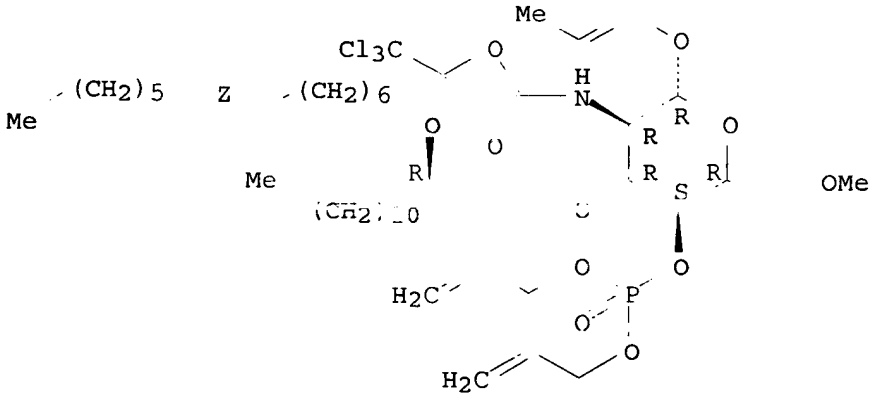
RN 475130-26-8 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



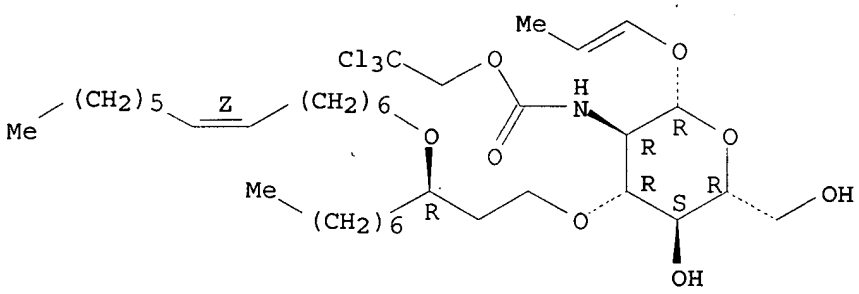
RN 475130-30-4 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475131-63-6 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

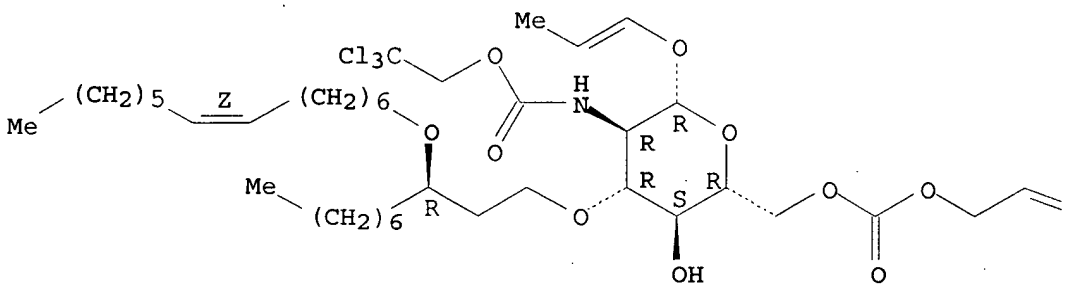
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475131-67-0 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A

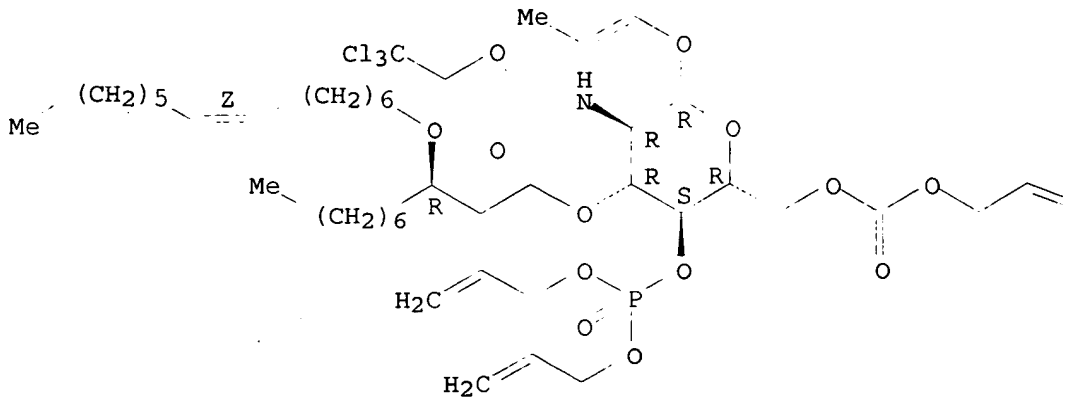


PAGE 1-B

RN 475131-72-7 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



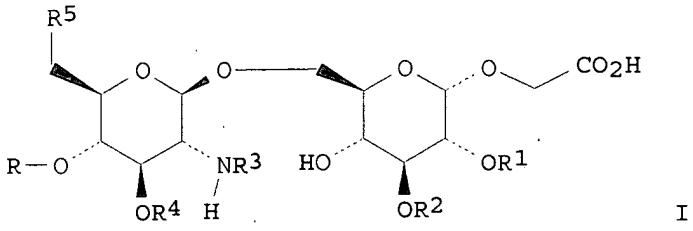
PAGE 1-B

L11 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1006997 HCAPLUS
DOCUMENT NUMBER: 140:59901
TITLE: Preparation of 1-carboxymethyl analogs of glucosyl lipid A as macrophage activation inhibitors
INVENTOR(S): Shiozaki, Masao; Mochizuki, Takashi; Watanabe, Yukiko; Shimozato, Takaichi
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106473	A1	20031224	WO 2003-JP7748	20030618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004075670	A	20040311	JP 2003-170264	20030616

AU 2003242470	A1	20031231	AU 2003-242470		20030618
PRIORITY APPLN. INFO.:			JP 2002-176511	A	20020618
			WO 2003-JP7748	W	20030618

OTHER SOURCE(S): MARPAT 140:59901
GI



I

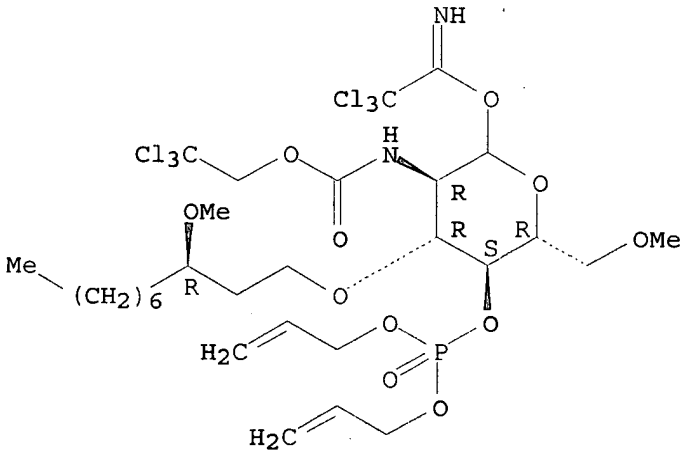
AB The title compds. I [R is (HO)2(O)P; R1 is hydrogen, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, or the like; R2 and R4 are each independently, hydrogen, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkanoyl, C3-20 alkenoyl, C3-20 alkynoyl, or the like; R3 is C1-20 alkanoyl, C3-20 alkenoyl, C3-20 alkynoyl, or the like; and R5 is hydrogen, halogeno, hydroxyl, C1-6 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, or the like] are prepared In an in vitro test using human blood and lipopolysaccharide, compds. of this invention showed IC50 values of 4.8 nM to 24 nM against TNFα production

IT 185954-85-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1-carboxymethyl analogs of glucosyl lipid A as macrophage activation inhibitors)

RN 185954-85-2 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

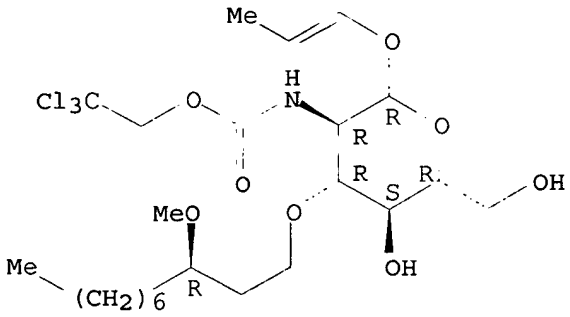
Absolute stereochemistry.



IT 637354-92-8P 637354-93-9P 637354-94-0P
637355-02-3P 637355-03-4P 637355-11-4P
637355-12-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-carboxymethyl analogs of glucosyl lipid A as macrophage activation inhibitors)

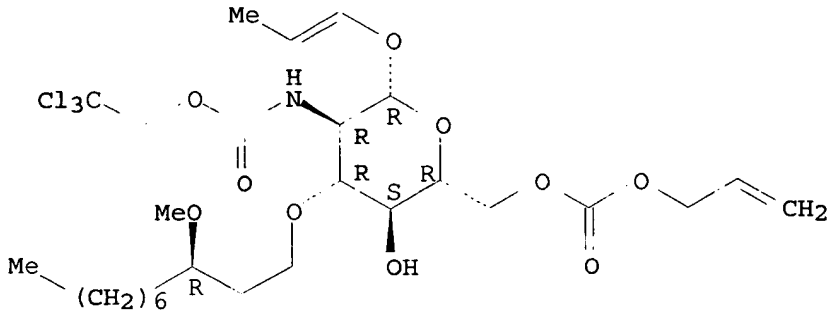
RN 637354-92-8 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



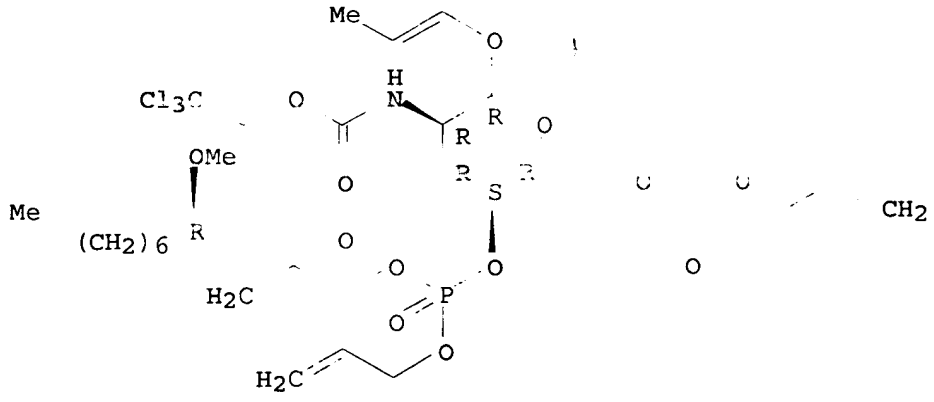
RN 637354-93-9 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



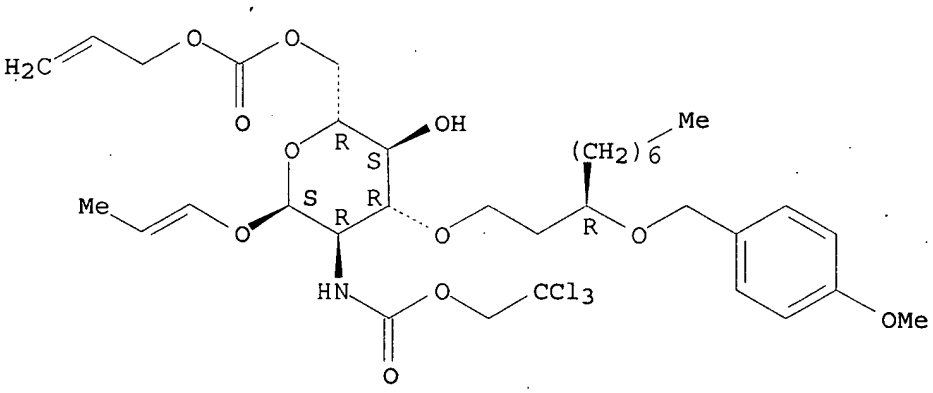
RN 637354-94-0 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



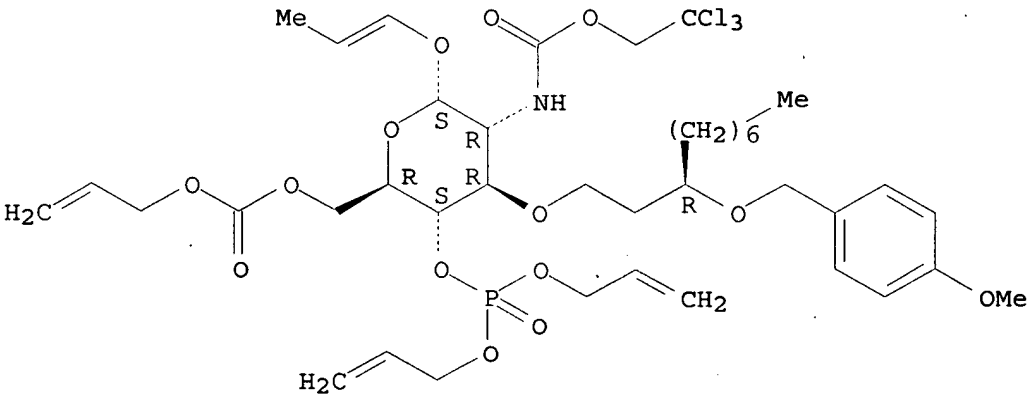
RN 637355-02-3 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



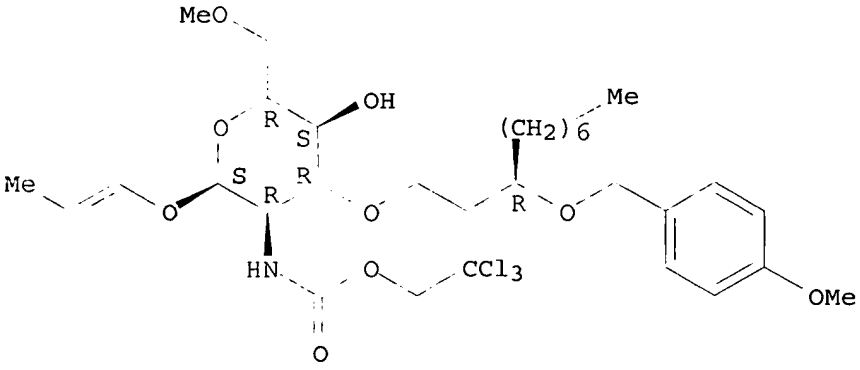
RN 637355-03-4 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



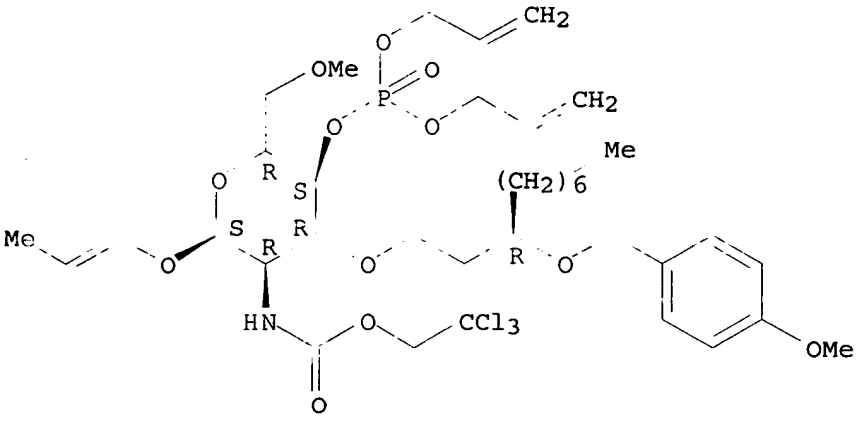
RN 637355-11-4 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 637355-12-5 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1005619 HCAPLUS
DOCUMENT NUMBER: 140:199546
TITLE: Synthesis of tetrahydropyran-2-carboxylic acid derivatives of lipid a containing an olefin in their chains and their LPS-antagonistic activities
AUTHOR(S): Watanabe, Yukiko; Shiozaki, Masao; Tanaka, Daisuke; Shimozato, Takaichi; Kanai, Saori; Kurakata, Shin-ichi
CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Tokyo, 140-8710, Japan
SOURCE: Bulletin of the Chemical Society of Japan (2003), 76(12), 2341-2352
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:199546
AB Four tetrahydropyran-2-carboxylic acid derivs. with 3-(tetradec-7-enyloxy)tetradecyl chains instead of 3-(tetradecanoyloxy)tetradecanoyl

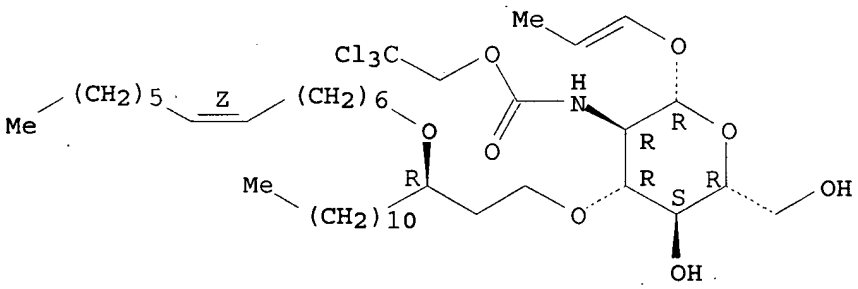
chains in lipid A were synthesized and their biol. activities toward human U937 cells, human whole blood cells and mouse peritoneal resident macrophages were measured. These compds. showed LPS-antagonistic activity toward these three kinds of cells. The IC50 values (nM) (1 M = 1 mol dm-3) of these four compds. toward human monoblastic U937 cells were between 0.017 and 2.2. However, the LPS-antagonistic activities (IC50 values) of these four compds. toward human whole blood cells were only in the range of 0.21 to 0.81 μM. The IC50 values (μM) toward mouse peritoneal resident macrophages were in the range of 0.49 to 2.49.

IT 475129-97-6P 475130-01-9P 475130-05-3P
475130-15-5P 475130-19-9P 475130-26-8P
475130-30-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and LPS-antagonistic activity of oligosaccharide tetrahydropyran-2-carboxylic acid derivs. of lipid A containing an olefin in the side chains)

RN 475129-97-6 HCAPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

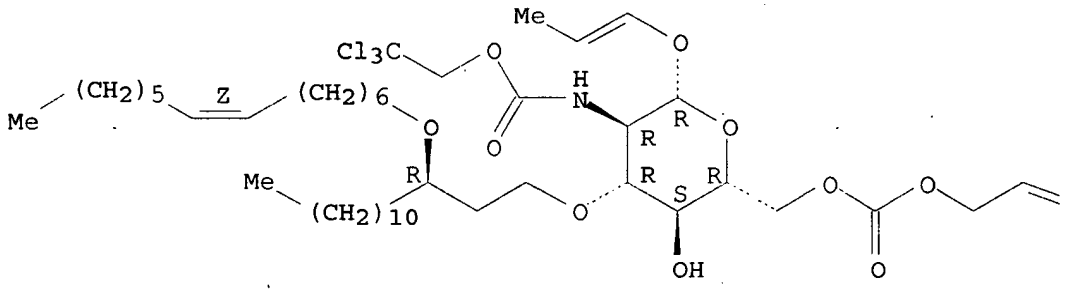


RN 475130-01-9 HCAPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



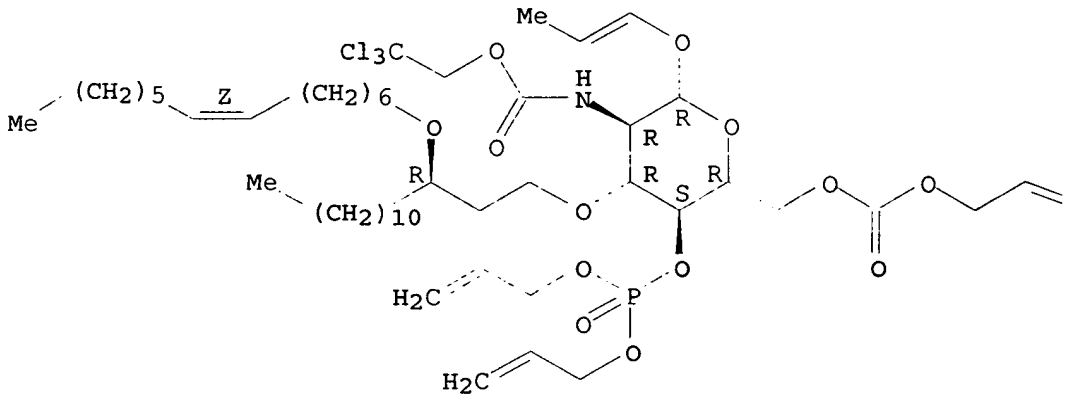
PAGE 1-B

$=CH_2$

RN 475130-05-3 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A

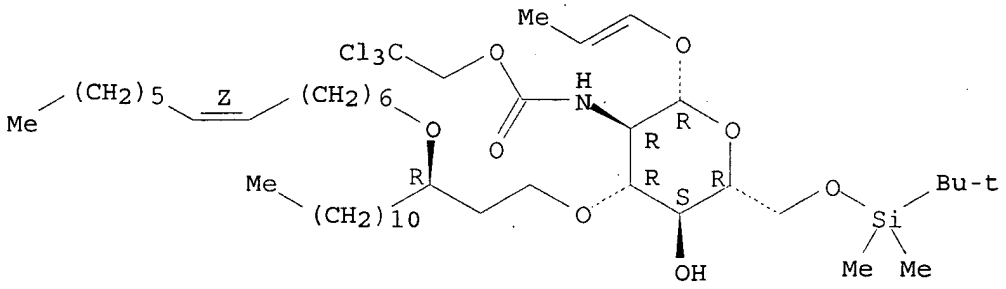


PAGE 1-B

$=CH_2$

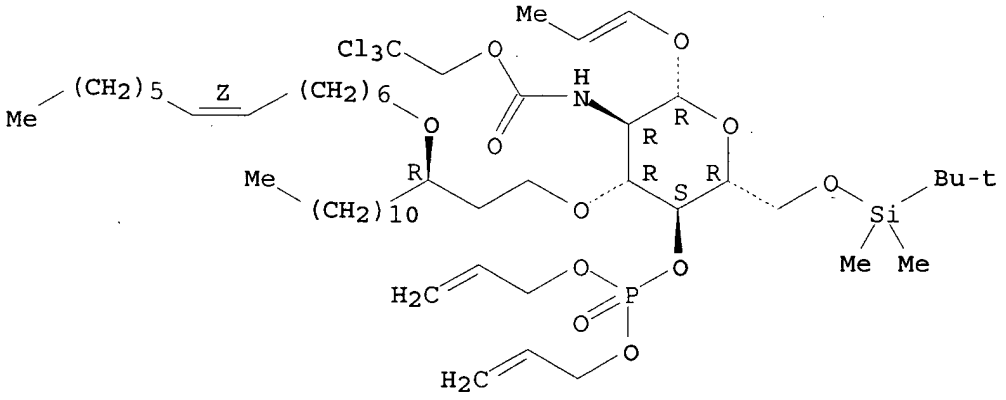
RN 475130-15-5 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



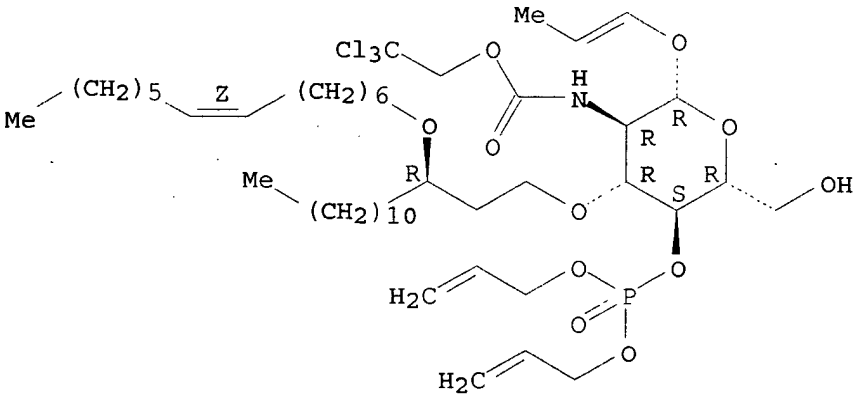
RN 475130-19-9 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475130-26-8 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

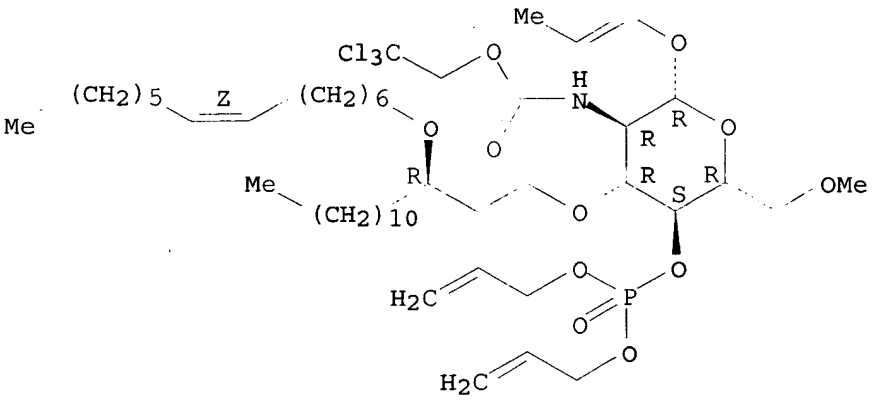
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475130-30-4 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-

7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

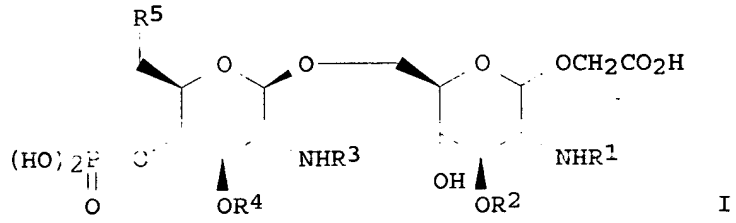


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:481792 HCAPLUS
DOCUMENT NUMBER: 139:47149
TITLE: 1-Carboxymethyl lipid A analogs as antiinflammatory and immunosuppressant agents
INVENTOR(S): Kazama, Yukiko; Shiozaki, Masao
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 98 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2003176229	A	20030624	JP 2002-276597		20020924
PRIORITY APPLN. INFO.:			JP 2001-307421	A	20011003

OTHER SOURCE(S): MARPAT 139:47149
GI

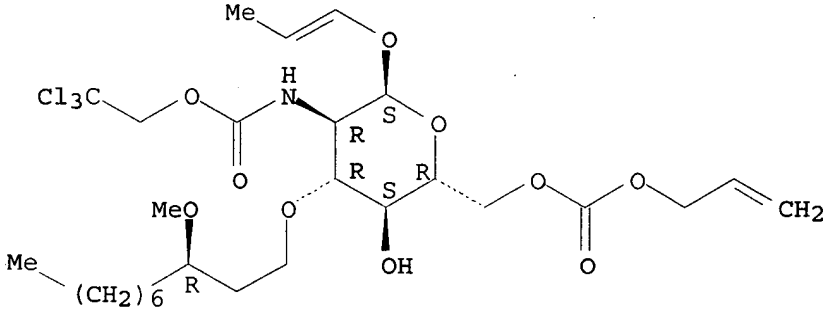


AB 1-Carboxymethyl lipid A analogs (I; R1, R3 = C1-C20 alkanoyl; R2, R4 = C1-C20 alkyl, alkanoyl; R5 = H, halogen, OH, alkoxy, etc.) and their pharmacol. acceptable salts and esters are claimed as antiinflammatory and immunosuppressant agents by inhibiting macrophage activity and are useful for treatment of autoimmune diseases and septicemia.

IT 366805-42-7P 366805-43-8P 366805-45-0P
366805-46-1P 366805-47-2P 366805-48-3P
366805-63-2P 366805-64-3P 366805-65-4P
366805-68-7P 366805-69-8P 366805-70-1P
366805-71-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(1-carboxymethyl lipid A analogs as antiinflammatory and immunosuppressant agents)

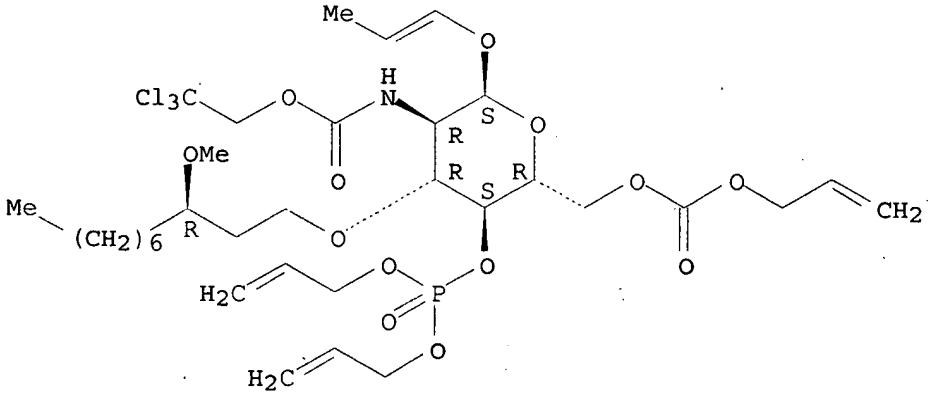
RN 366805-42-7 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



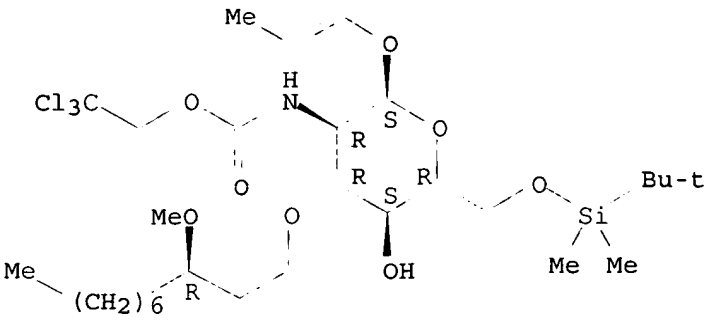
RN 366805-43-8 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



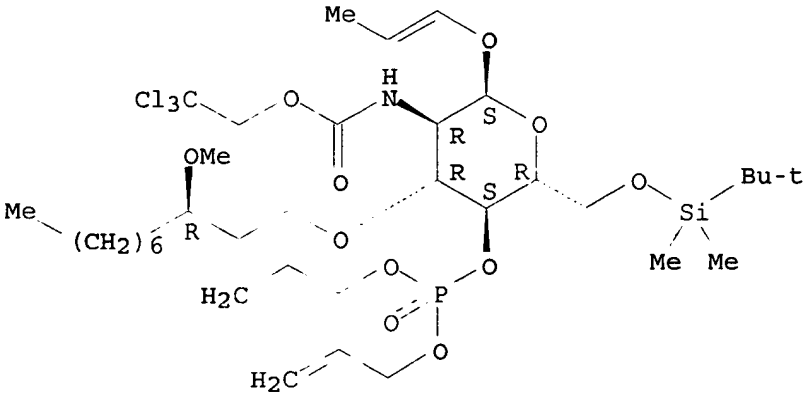
RN 366805-45-0 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



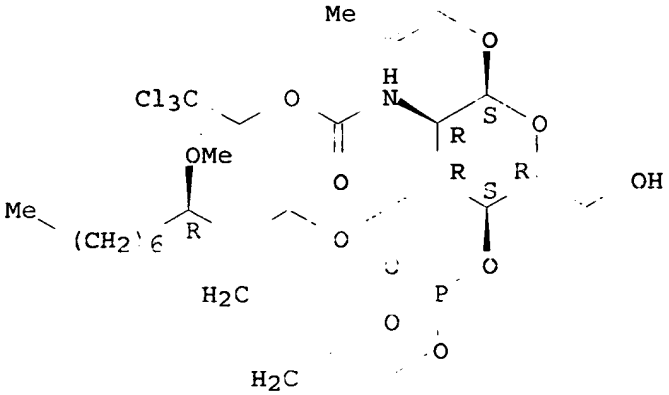
RN 366805-46-1 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 366805-47-2 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

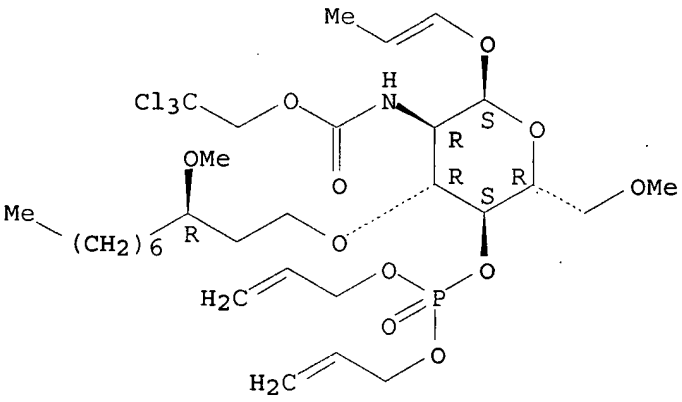
Absolute stereochemistry.
Double bond geometry unknown.



RN 366805-48-3 HCAPLUS

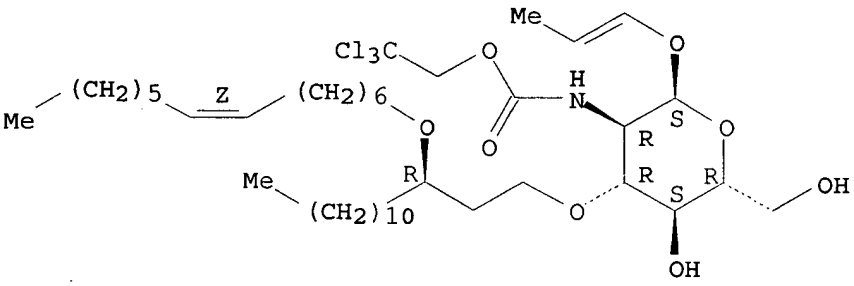
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 366805-63-2 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

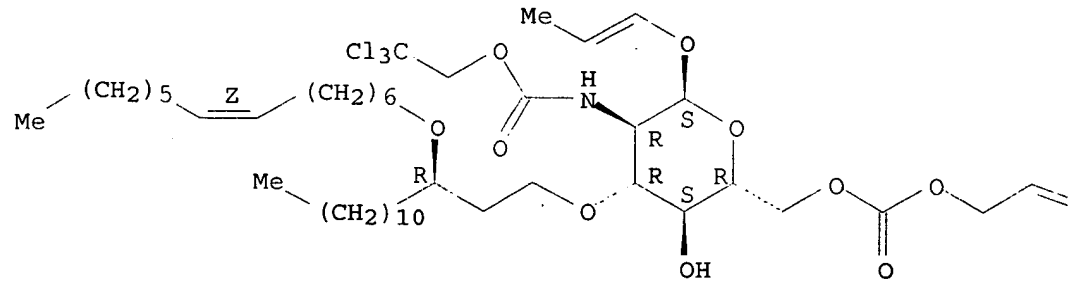
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-64-3 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



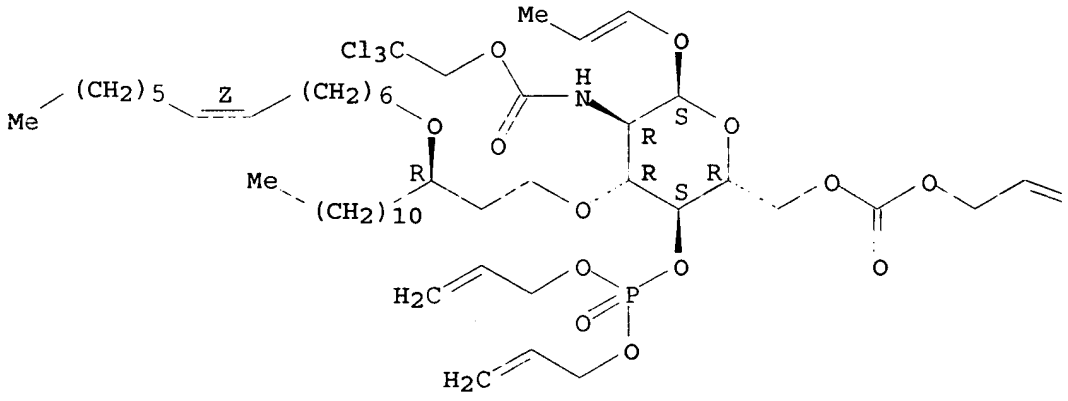
PAGE 1-B

CH_2

RN 366805-65-4 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A

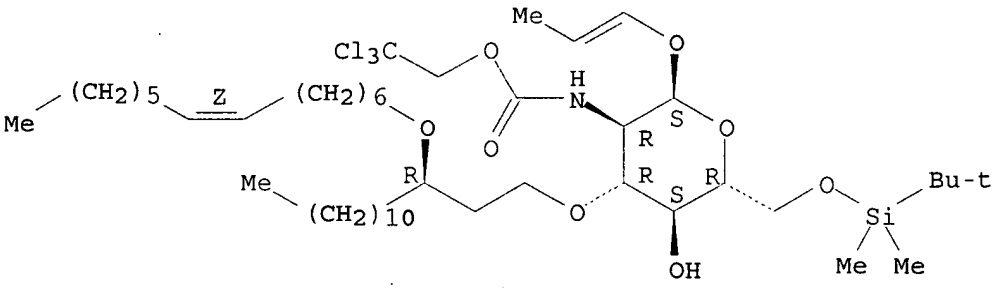


PAGE 1-B

CH_2

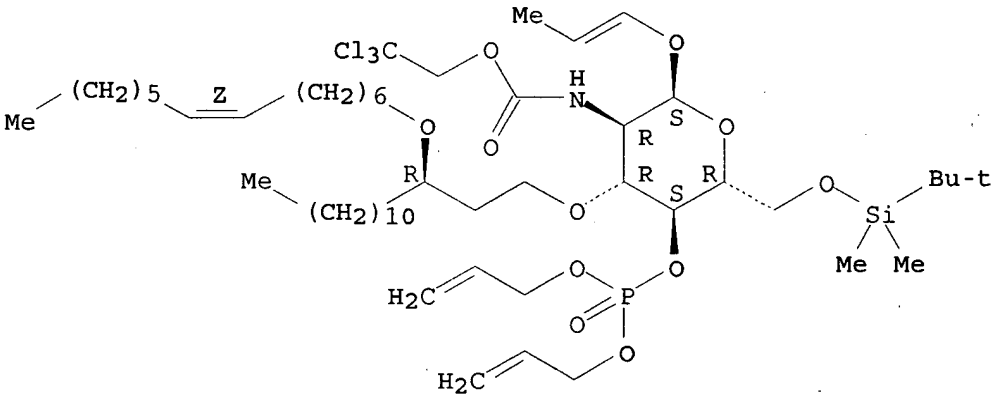
RN [illegible] HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



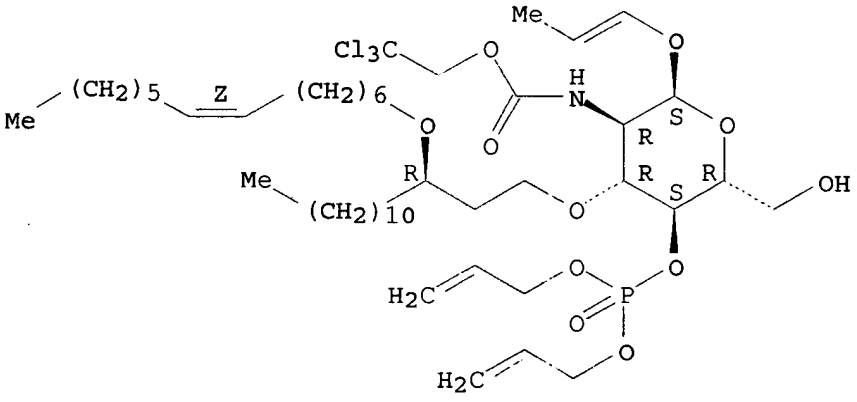
RN 366805-69-8 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-70-1 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

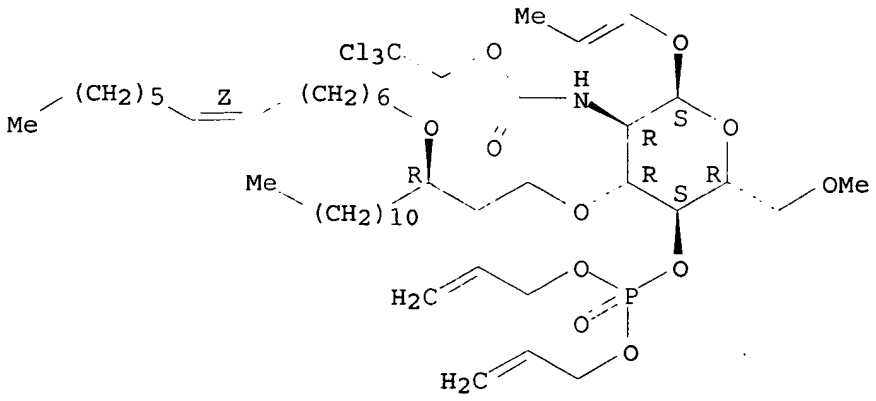
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-71-2 HCAPLUS

CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



L11 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:905701 HCAPLUS
DOCUMENT NUMBER: 138:1271
TITLE: Highly purified antiendotoxin compound
INVENTOR(S): Orr, John D.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002094019	A1	20021128	WO 2002-US16203		20020522
WO 2002094019	A9	20030327			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
JP 2004531552	T	20041014	JP 2002-590748		20020522
US 2004235786	A1	20041125	US 2004-478459		20040601
PRIORITY APPLN. INFO.:			US 2001-293012P	P	20010522
			WO 2002-US16203	W	20020522

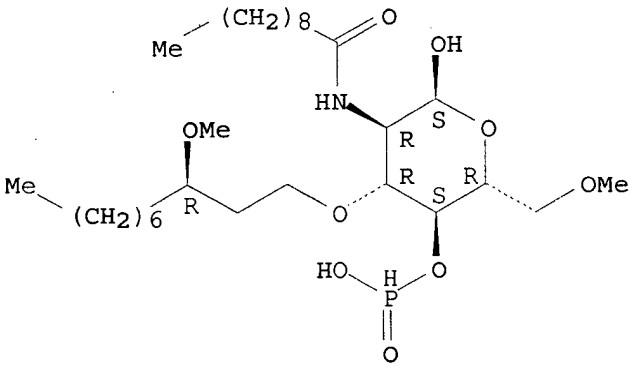
AB The invention provides compns. containing a highly purified antiendotoxin compound E5564 and methods of preparing and using such compns.

IT 476426-79-6P
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly purified antiendotoxin compound)

RN 476426-79-6 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-

[(1-oxodecyl)amino]-, 4-(hydrogen phosphonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

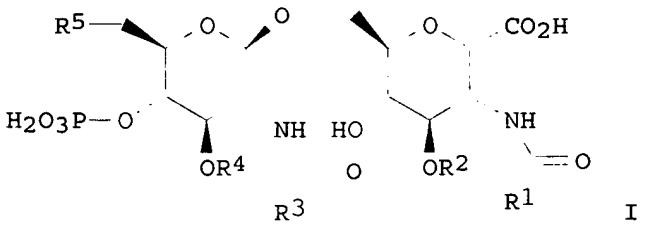


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849654 HCAPLUS
DOCUMENT NUMBER: 137:370316
TITLE: Preparation of lipid A 1-carboxylic acid derivatives as macrophage inhibitors
INVENTOR(S): Watanabe, Yukiko; Shiozaki, Masao
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088156	A1	20021107	WO 2002-JP4032	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003012685	A	20030115	JP 2002-121957	20020424
PRIORITY APPLN. INFO.:			JP 2001-129660 A	20010426

OTHER SOURCE(S): MARPAT 137:370316
GI



AB 2,6-Anhydro-7-O-(2-amino-2-deoxy-4-O-phosphono-β-D-glucopyranosyl)-3-amino-3-deoxy-D-glycero-D-ido-heptonic acid derivs. represented by the general formula (I) or pharmacol. acceptable esters or salts thereof [wherein one of R1 and R3 represents C9-15 alkyl and the other represents hydrogen or C1-6 alkyl; one of R2 and R4 represents C10-16 alkoxy-C9-15 alkyl, C10-16 alkoxy-C10-16 alkenyl, or C10-16 alkenyloxy-C10-16 alkyl and the other represents C10-16 alkyl; and R5 represents hydroxy, C1-6 alkoxy, or halogeno; wherein the above alkyl, alkenyl, alkoxy, or alkenyloxy is optionally substituted; provided that the alkyl, alkenyl, alkoxy, or alkenyloxy substituted by oxo is excluded in R2 and R4] are prepared These compds. have excellent macrophage inhibitory activity and are useful as anti-inflammatory agents, anti-autoimmune disease agents, immunosuppressants, or antiseptic agents. For example, 2,6-anhydro-3-deoxy-7-O-[2-deoxy-2-formamido-6-O-methyl-4-O-phosphono-3-O-[(R)-3-[(Z)-7-tetradecenyloxy]tetradecyl]-β-D-glucopyranosyl]-4-O-dodecyl-3-(3-oxotetradecanamido)-D-glycero-D-ido-heptonic acid showed IC50 of 0.017 nM for inhibiting the lipopolysaccharide-stimulated production of TNF-α in human monocyte cell U937 pretreated with TPA.

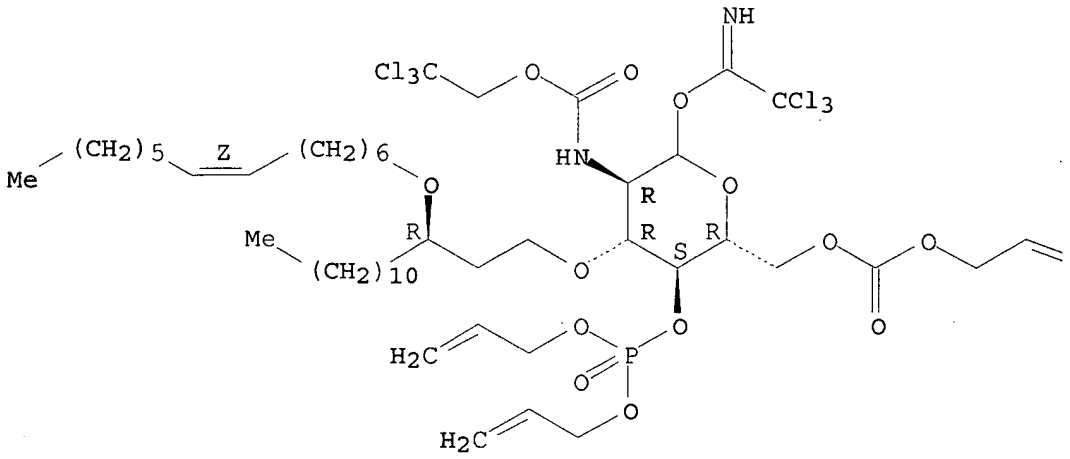
IT 366805-74-5P 475129-97-6P 475130-01-9P
475130-05-3P 475130-15-5P 475130-19-9P
475130-26-8P 475130-30-4P 475131-63-6P
475131-67-0P 475131-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lipid A-carboxylic acid derivs. having excellent macrophage inhibitory activity as anti-inflammatory agents, anti-autoimmune disease agents, immunosuppressants, or antiseptic agents)

RN 366805-74-5 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

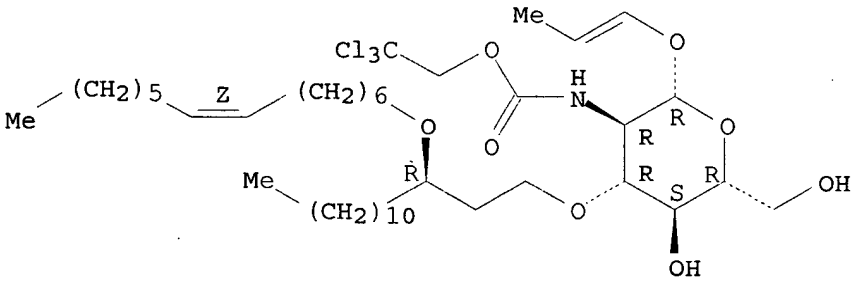
PAGE 1-A



PAGE 1-B

RN 475129-97-6 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

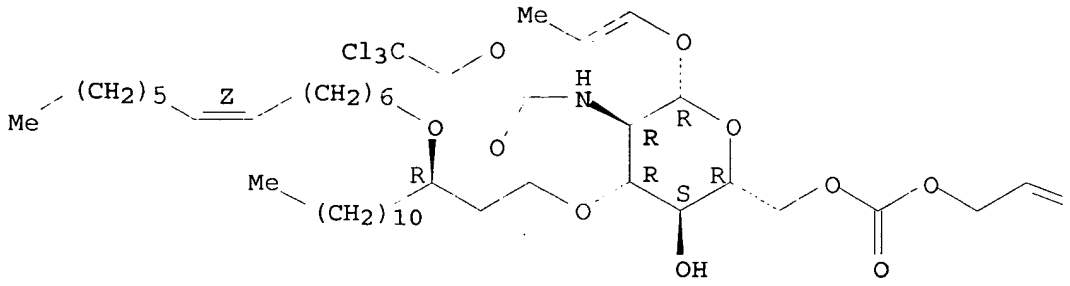


RN 475130-01-9 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A

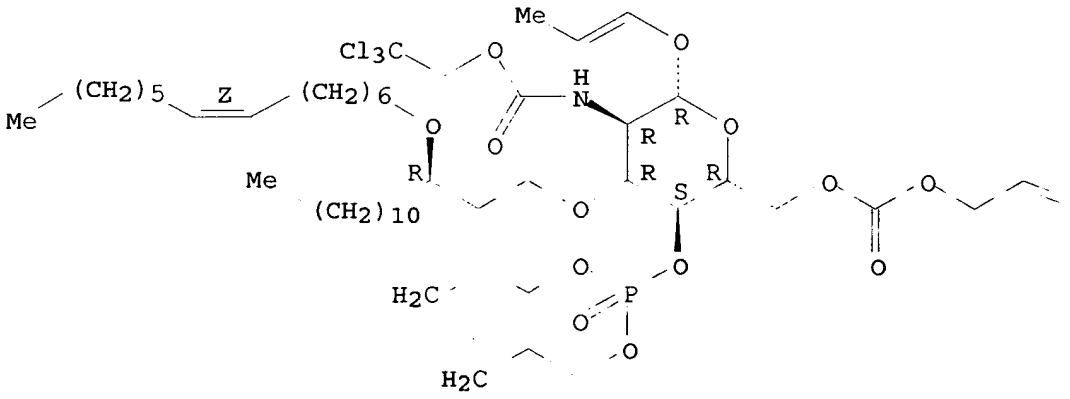


PAGE 1-B

RN 475130-05-3 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



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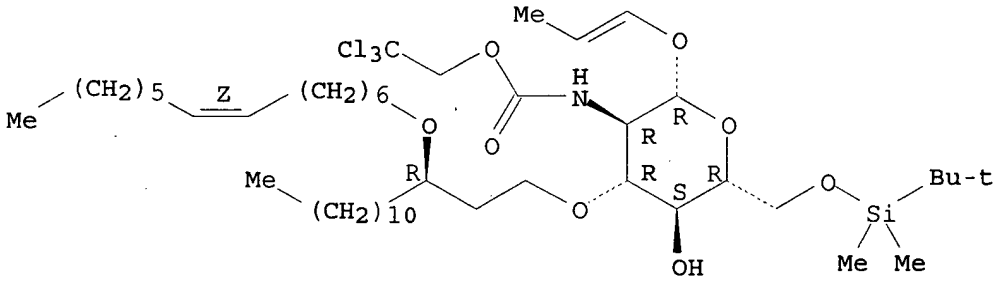
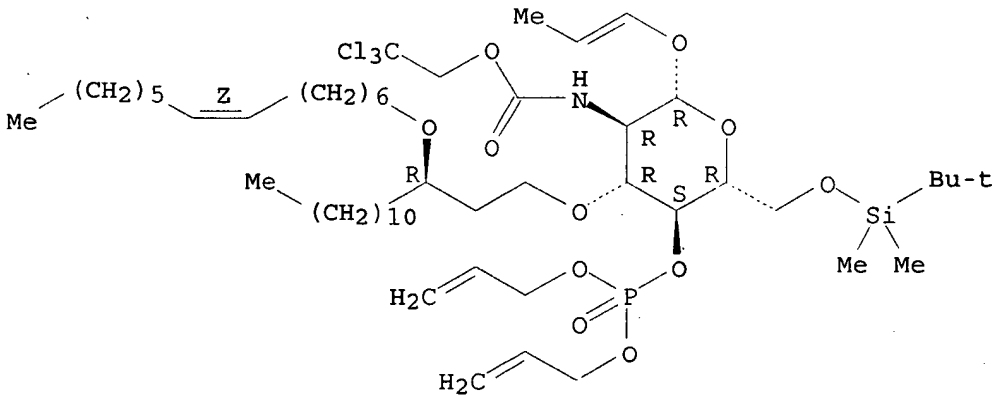
RN 475130-15-5 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



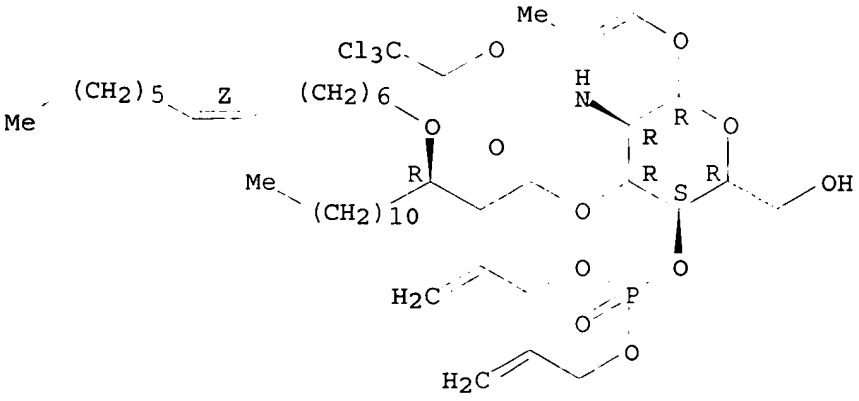
RN 475130-19-9 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



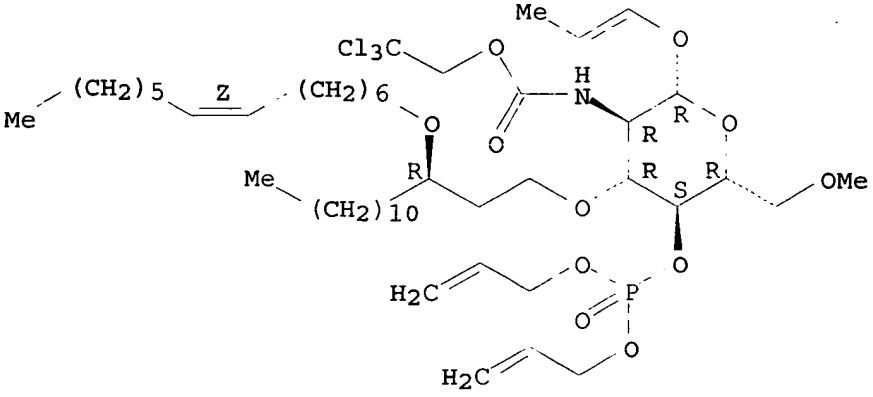
RN 475130-26-8 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



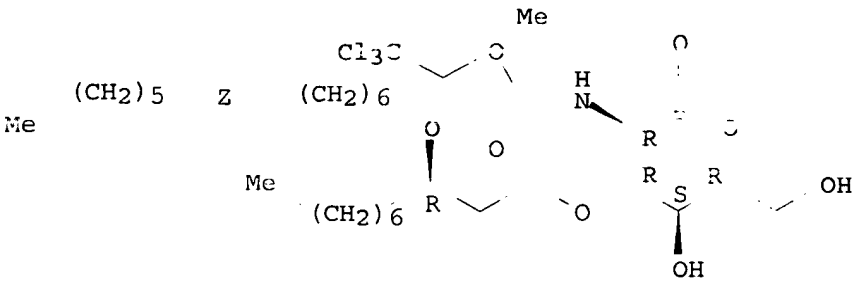
RN 475130-30-4 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475131-63-6 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

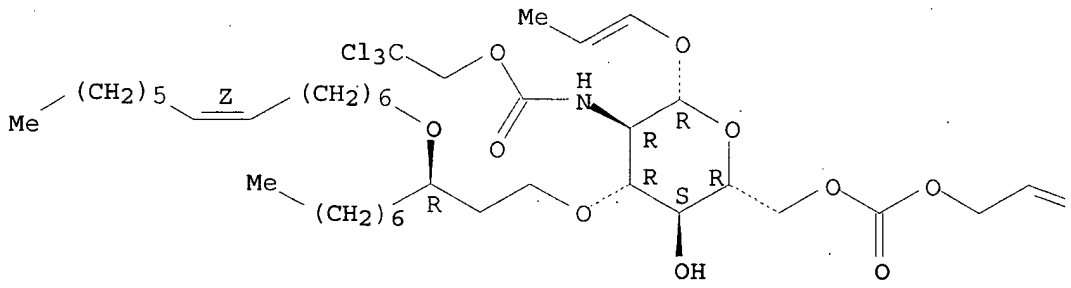
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 475131-67-0 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A

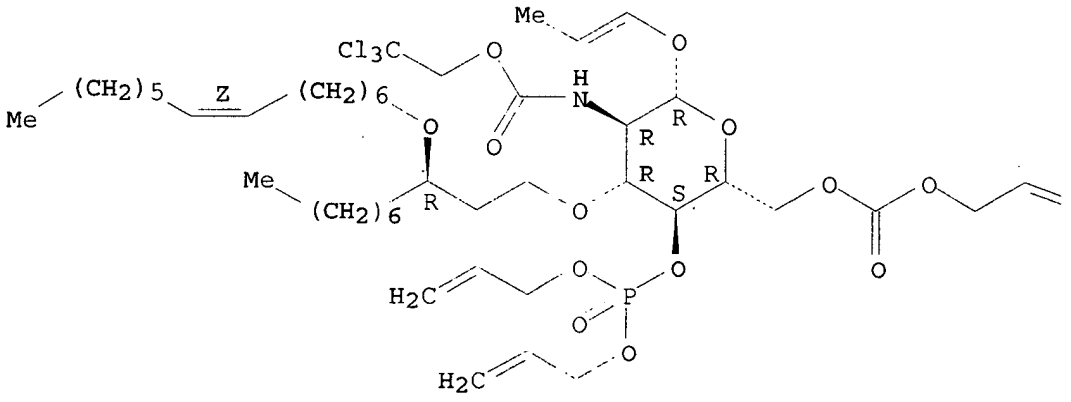


PAGE 1-B

RN 475131-72-7 HCAPLUS
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]decyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



$=CH_2$

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

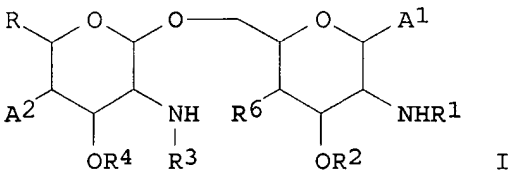
L11 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:516677 HCAPLUS
DOCUMENT NUMBER: 137:57599
TITLE: Prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of anti-endotoxin drugs
INVENTOR(S): Rossignol, Daniel P.; Vermeulen, Mary W.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 293,856.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6417172	B1	20020709	US 1999-449601	19991123
US 5750664	A	19980512	US 1995-461675	19950605
US 5935938	A	19990810	US 1996-658656	19960605
US 6184366	B1	20010206	US 1999-293856	19990416
CA 2392356	A1	20010531	CA 2000-2392356	20001122
WO 2001037843	A1	20010531	WO 2000-US32177	20001122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1248629	A1	20021016	EP 2000-980723	20001122
EP 1248629	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514862	T	20030422	JP 2001-539457	20001122
AT 287719	T	20050215	AT 2000-980723	20001122
ES 2237475	T3	20050801	ES 2000-980723	20001122
US 2003134805	A1	20030717	US 2002-167222	20020611
US 6683063	B2	20040127		
HK 1051490	A1	20050422	HK 2003-102773	20030416

PRIORITY APPLN. INFO.:

US 1995-461675	A2	19950605
US 1996-658656	A1	19960605
US 1999-293856	A2	19990416
US 1999-449601	A	19991123
WO 2000-US32177	W	20001122

OTHER SOURCE(S): MARPAT 137:57599
GI



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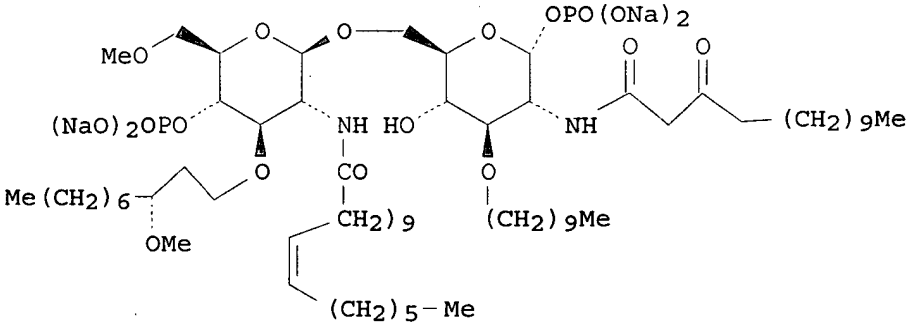


II

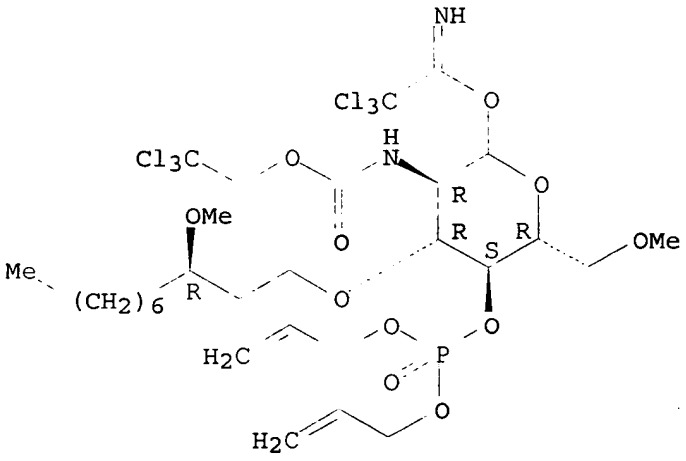
AB Disaccharide compds. I, wherein R is H, CH2OH, alkoxide; R1 is acyl; R2 is C5-C15 alkyl R3 is C5-C18 alkyl, acyl, R4 is C4-C20 alkyl, oxyalkyl; A1 and A2 are independently OH, phosphate, phosphonate, ester; were prepared for and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin. The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient anti-endotoxin compds. by inhalation. The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient anti-endotoxin compds. by inhalation. Thus, disaccharide lipid II was prepared and tested in mice and suppressed the production of TNF following administration of LPS.

IT 185954-85-2P 185955-17-3P 185955-18-4P
185955-19-5P 185955-22-0P 185955-26-4P
185955-28-6P 185955-29-7P 234088-12-1P
234088-13-2P 234088-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of anti-endotoxin drugs such as disaccharide lipid A analogs in relation to inhibition of cytokine production)

RN 185954-85-2 HCAPLUS

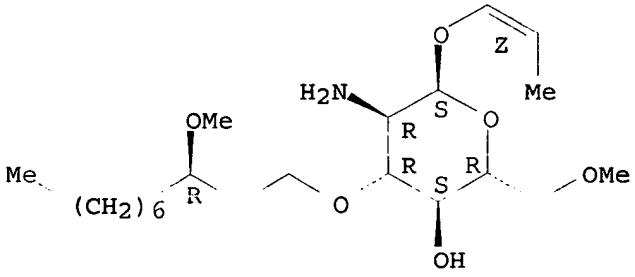
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



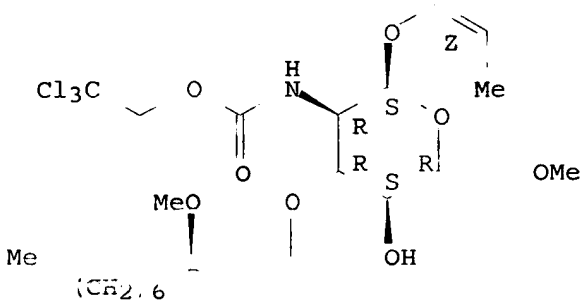
RN 185955-17-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



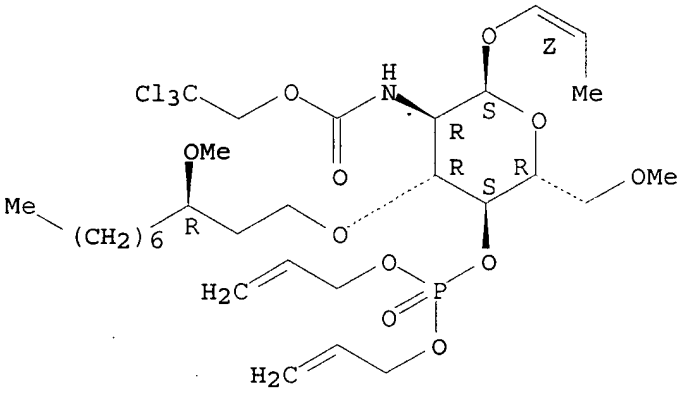
RN 185955-18-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



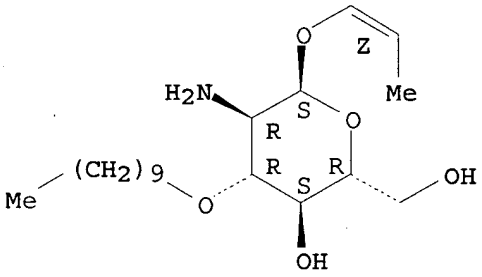
RN 185955-19-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



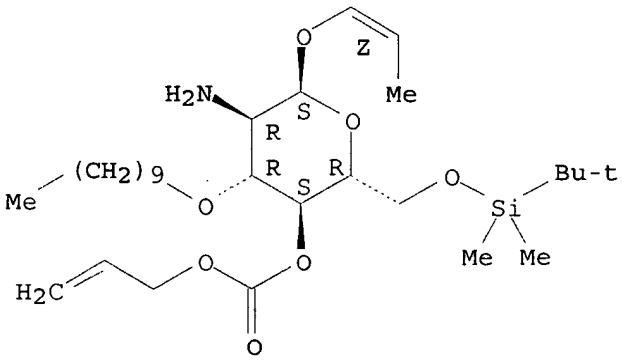
RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-26-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-
[(1,1-dimethylethyl)dimethylsilyl]-, 4-(2-propenyl carbonate) (9CI) (CA
INDEX NAME)

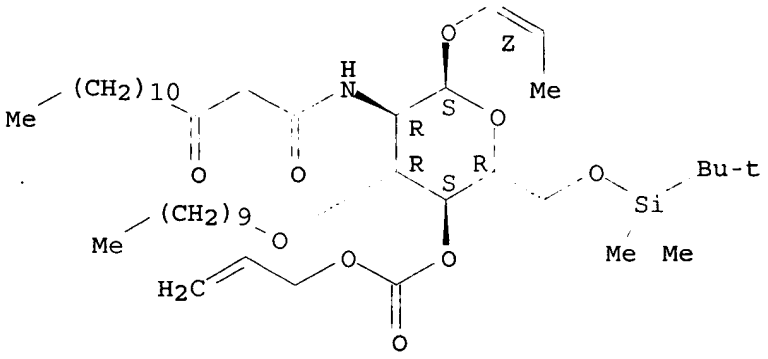
Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-28-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-

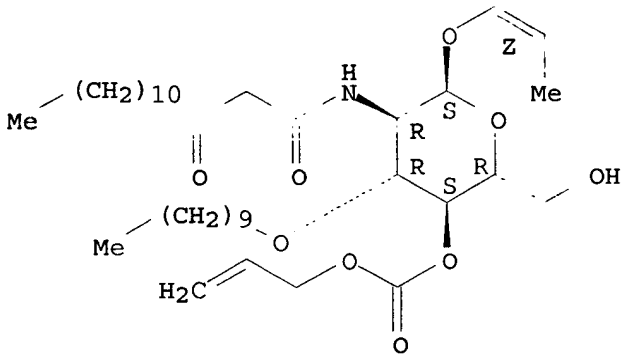
dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-,
4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-29-7 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

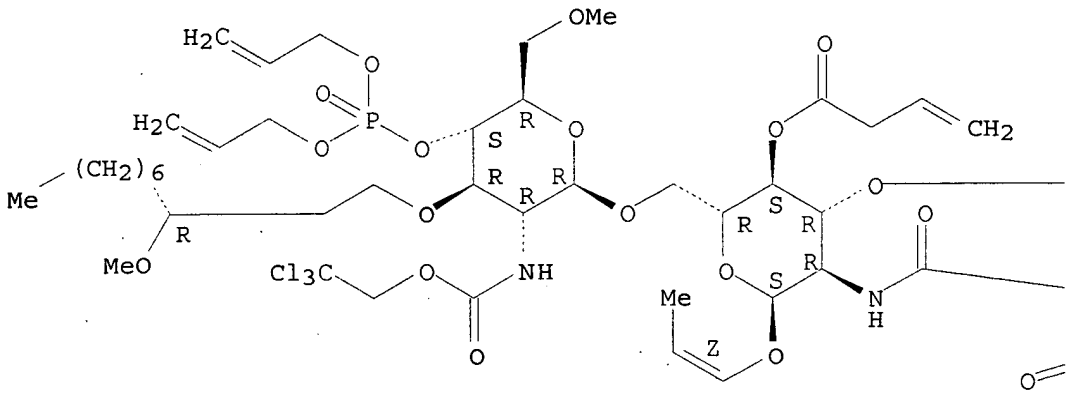
Absolute stereochemistry.
Double bond geometry as shown.



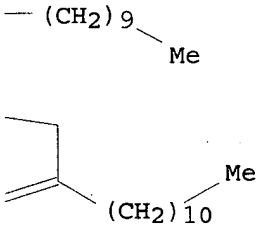
RN 234088-12-1 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



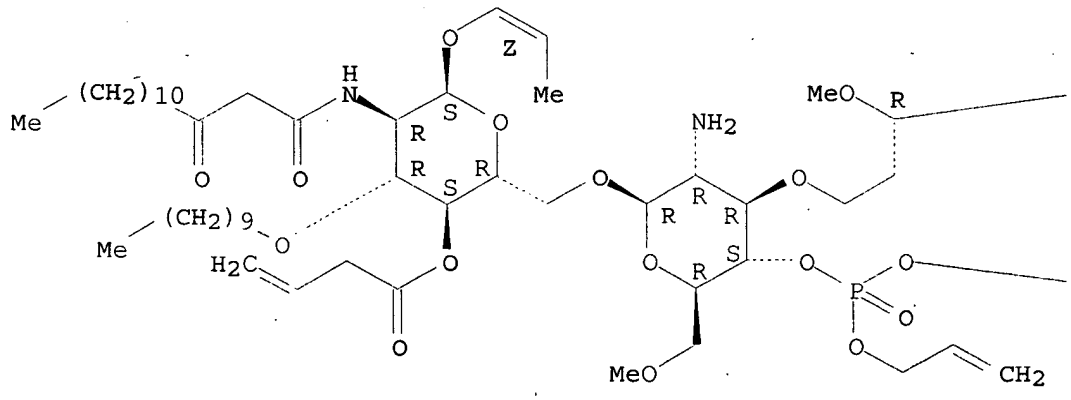
PAGE 1-B



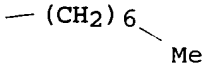
RN 234088-13-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

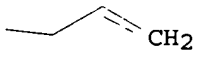
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

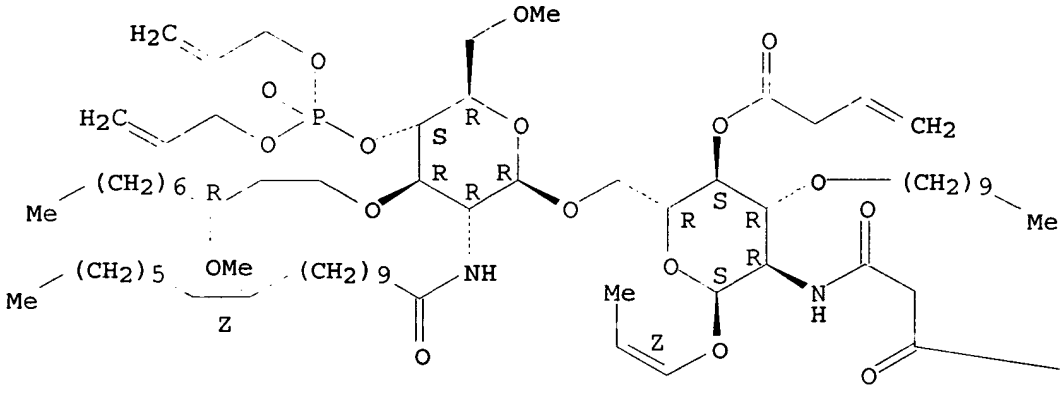


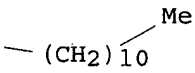


RN 234088-14-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



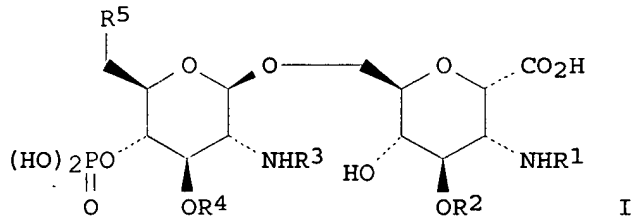


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:301727 HCAPLUS
DOCUMENT NUMBER: 136:335233
TITLE: Ether-type lipid A carboxylate analogs for inhibiting macrophage functions
INVENTOR(S): Kazama, Yukiko; Shiozaki, Masao; Kurakata, Shinichi; Kanai, Saori
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 55 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002121137	A	20020423	JP 2001-237591	20010806
PRIORITY APPLN. INFO.:			JP 2000-239271 A	20000808

OTHER SOURCE(S): MARPAT 136:335233
GI



I

AB Ether-type lipid A carboxylate analogs (I; R1, R3 = C1-20 alkanoyl; R2, R4 = (substituted)C1-20 alkyl; R5 = H, halogen, OH, C1-6 alkoxy) and their pharmacol. acceptable salts are claimed for inhibiting macrophage functions and are useful for prevention and treatment of inflammatory diseases, autoimmune disease, and septicemia.

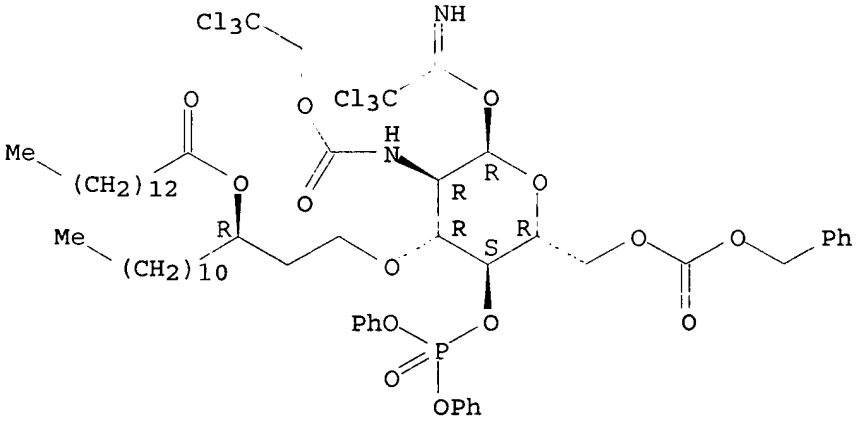
IT 288403-08-7P 288403-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(ether-type lipid A carboxylate analogs for inhibiting macrophage functions)

RN 288403-08-7 HCAPLUS

CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

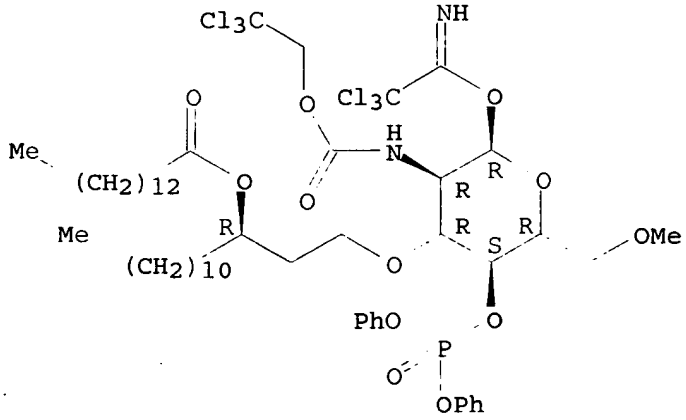
Absolute stereochemistry.



RN 288403-19-0 HCAPLUS

CN α-D-Glucopyranose, 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:763021 HCAPLUS

DOCUMENT NUMBER: 135:304099

TITLE: Preparation of 1-carboxymethyl analogues of lipid A

INVENTOR(S): Watanabe, Yukiko; Shiozaki, Masao

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2

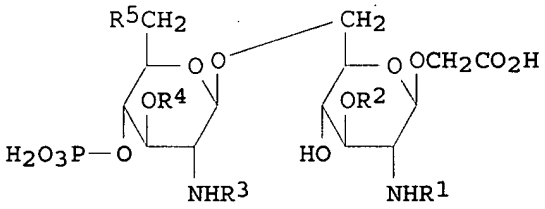
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077133	A1	20011018	WO 2001-JP3005	20010406
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
JP 2001348396	A	20011218	JP 2001-107614	20010405
PRIORITY APPLN. INFO.:			JP 2000-104700 A	20000406
OTHER SOURCE(S):	MARPAT 135:304099			

GI



I

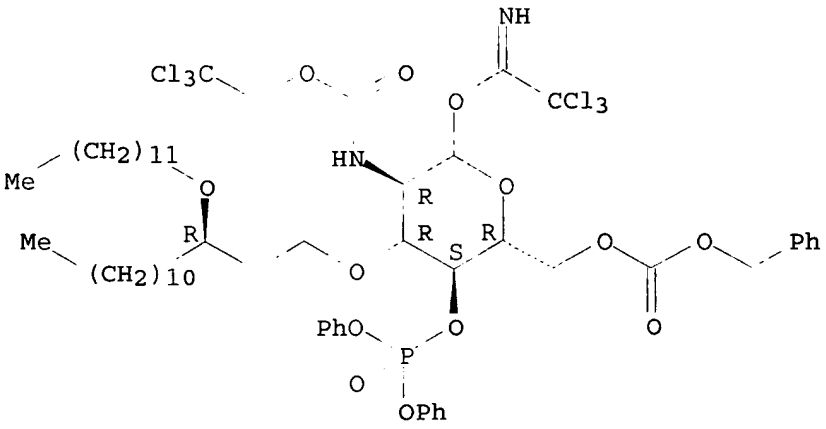
AB Hydroxymethyl 6-O-(4-O-phosphono-D-glucosaminyl)glucosaminide derivs. represented by the general formula [I; R1, R3 = (un)substituted C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl; R2, R4 = (un)substituted C1-20 alkyl, C2-20 alkenyl, or C2-20 alkynyl; R5 = H, halo, OH, C1-6 alkoxy, C2-6 alkenyloxy, or C2-6 alkynyloxy each optionally having oxo group] or pharmacol. acceptable salts or esters thereof are prepared Also claimed are drugs including anti-inflammatory drugs, drugs for autoimmune diseases, immunosuppressants, or antiseptic drugs containing the compds. I as the active ingredient. These compds. possess excellent effect on inhibiting macrophage activity. Thus, deprotection of (allyloxycarbonyl)methyl 6-O-[2-deoxy-4-O-diallylphosphono-2-formamido-6-O-methyl-3-O-[(R)-3-[(Z)-7-tetradecenyloxy]tetradecyl]-β-D-glucopyranosyl]-2-deoxy-3-O-dodecyl-2-(3-oxotetradecanamido)-α-D-glucopyranoside by treatment with Ph3P, Et3N, formic acid, and tetrakistriphenylphosphine palladium in THF at 50° for 5 h gave carboxymethyl 6-O-[2-deoxy-4-O-phosphono-2-formamido-6-O-methyl-3-O-[(R)-3-[(Z)-7-tetradecenyloxy]tetradecyl]-β-D-glucopyranosyl]-2-deoxy-3-O-dodecyl-2-(3-oxotetradecanamido)-α-D-glucopyranoside (II). II showed IC50 of 0.005 nM for inhibiting the lipopolysaccharide-stimulated production of TNFα in human monocyte U937 cells.

IT 366805-14-3P 366805-42-7P 366805-43-8P
366805-45-0P 366805-46-1P 366805-47-2P
366805-48-3P 366805-50-7P 366805-63-2P
366805-64-3P 366805-65-4P 366805-68-7P
366805-69-8P 366805-70-1P 366805-71-2P
366805-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carboxymethyl analogs of lipid A having macrophage activity-inhibitory activity as anti-inflammatory drugs, drugs for autoimmune diseases, immunosuppressants, or antiseptic drugs)

RN 366805-14-3 HCAPLUS

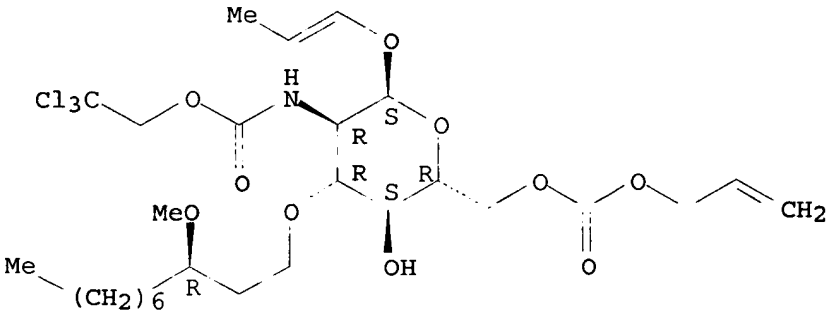
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-(dodecyloxy)tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



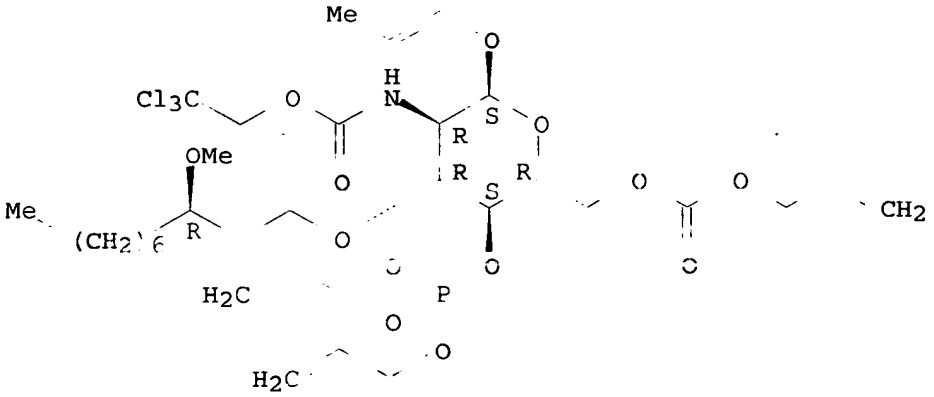
RN 366805-42-7 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 366805-43-8 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

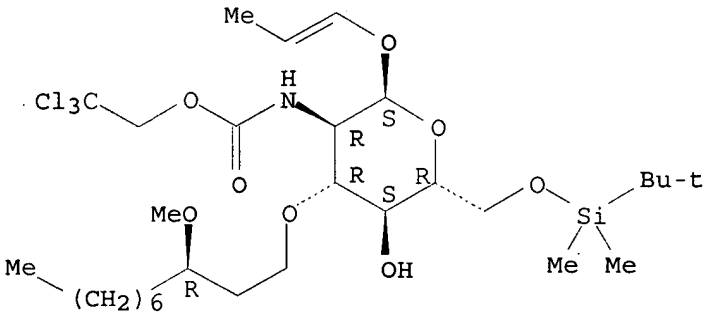
Absolute stereochemistry.
Double bond geometry unknown.



RN 366805-45-0 HCAPLUS

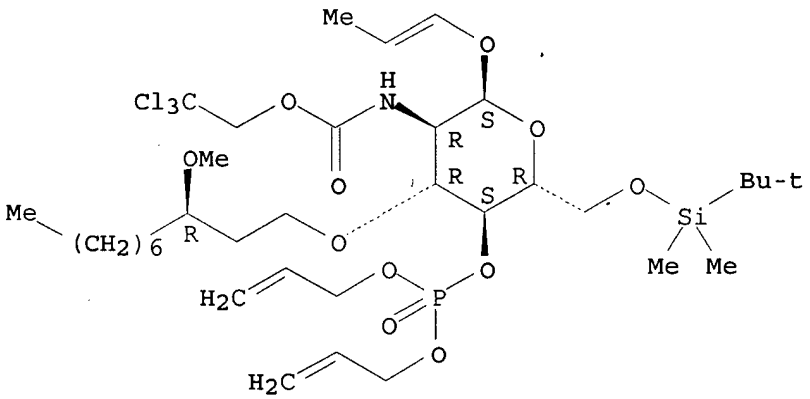
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



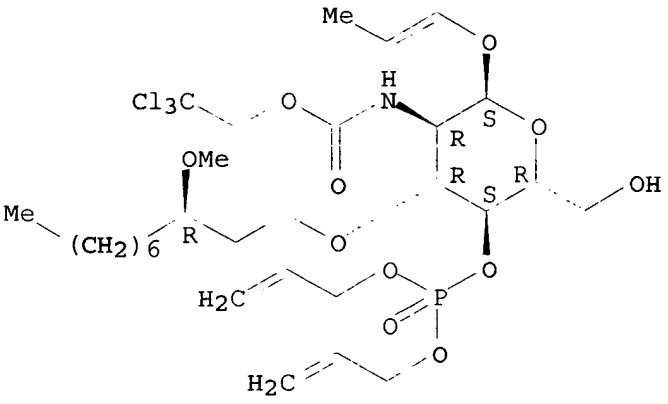
RN 366805-46-1 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



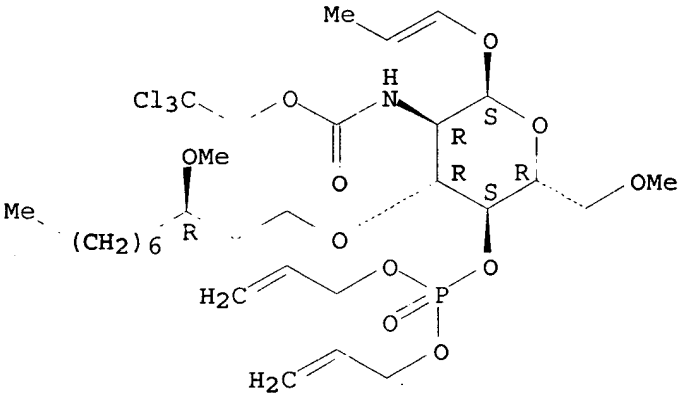
RN 366805-47-2 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



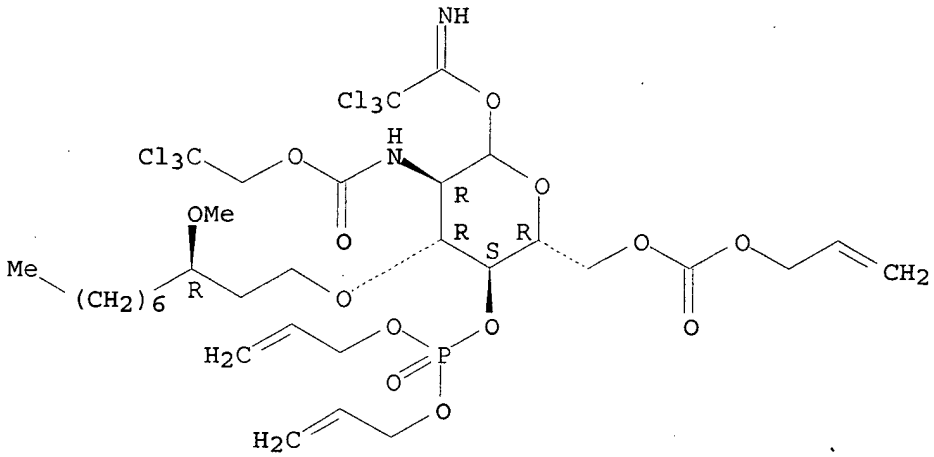
RN 366805-48-3 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



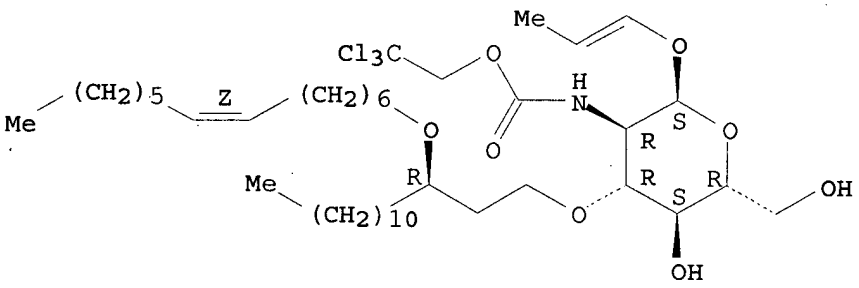
RN 366805-50-7 HCAPLUS
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 366805-63-2 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

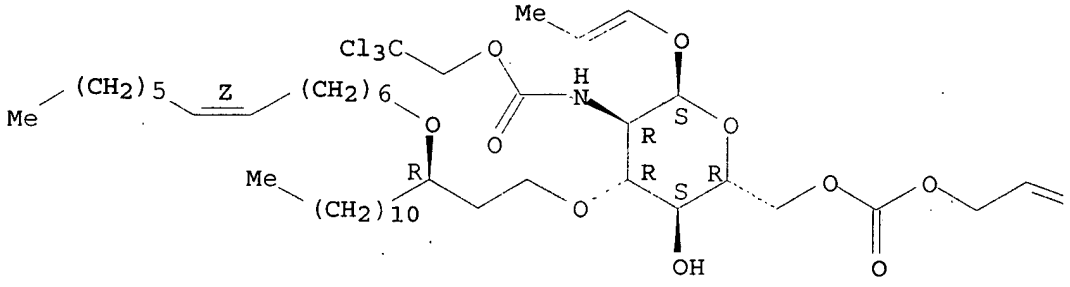
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-64-3 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



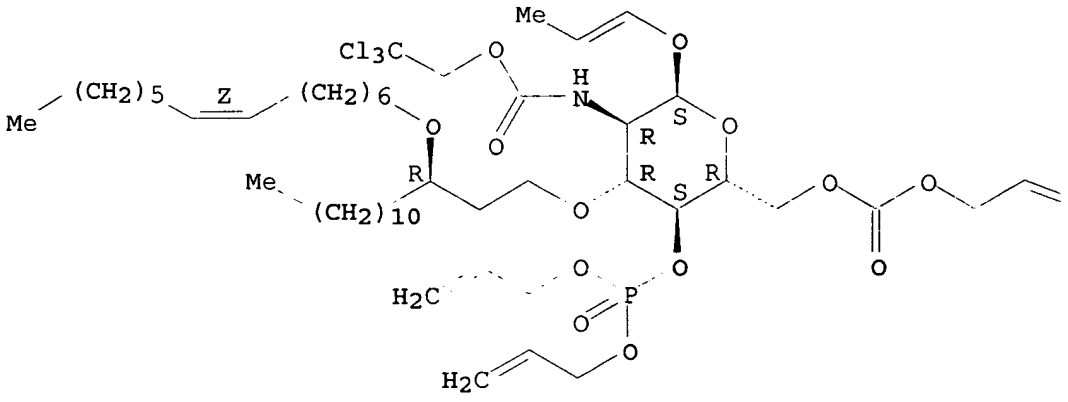
PAGE 1-B

$=CH_2$

RN 366805-65-4 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A

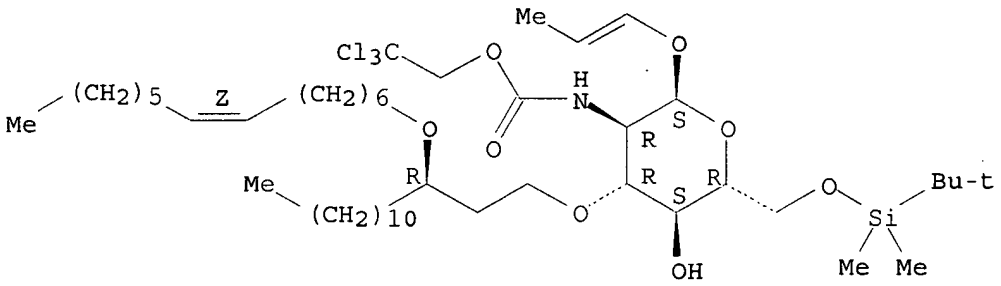


PAGE 1-B

$=CH_2$

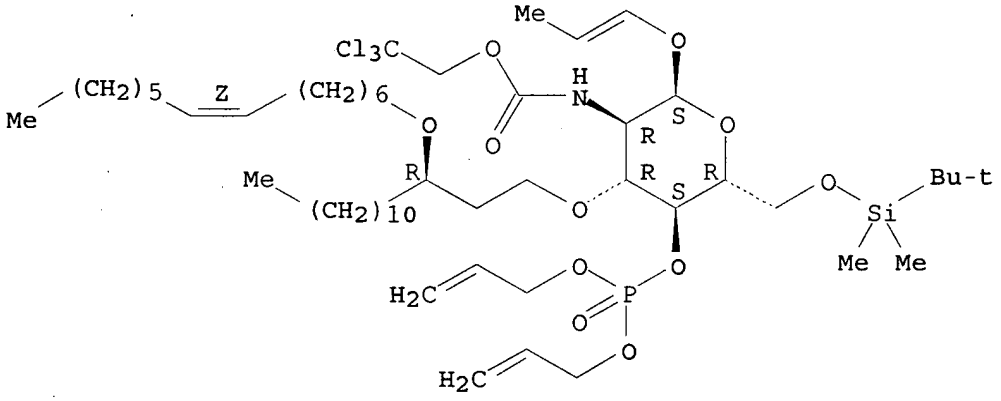
RN 366805-68-7 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



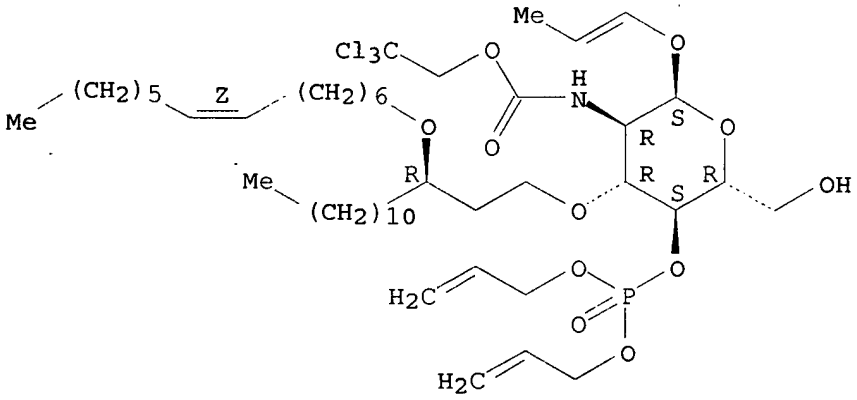
RN 366805-69-8 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-70-1 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

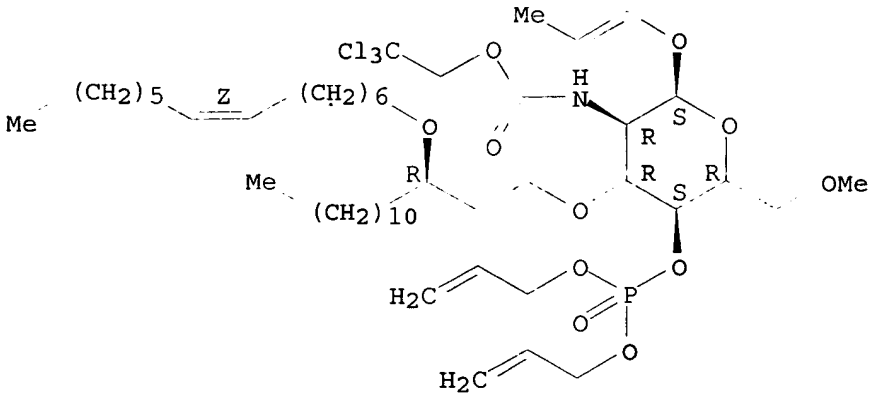
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-71-2 HCAPLUS
CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-

7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

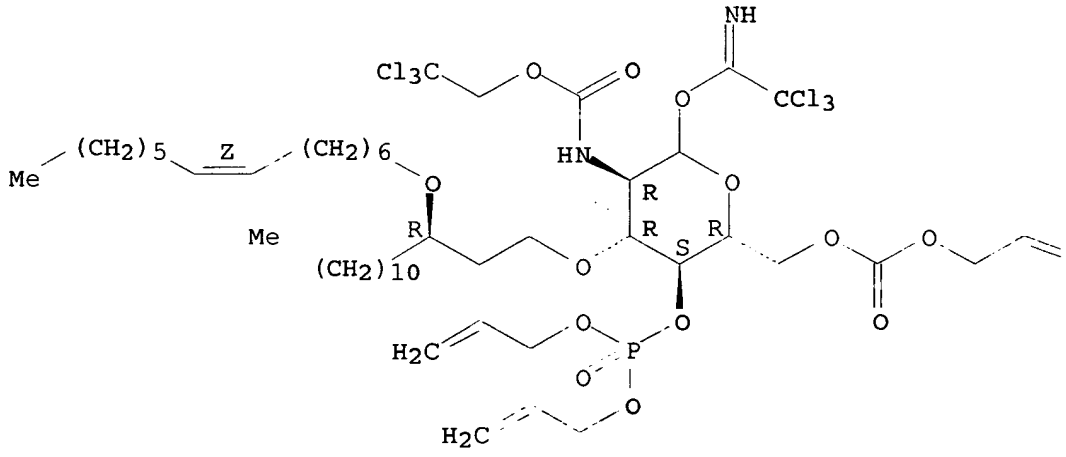
Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 366805-74-5 HCAPLUS
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

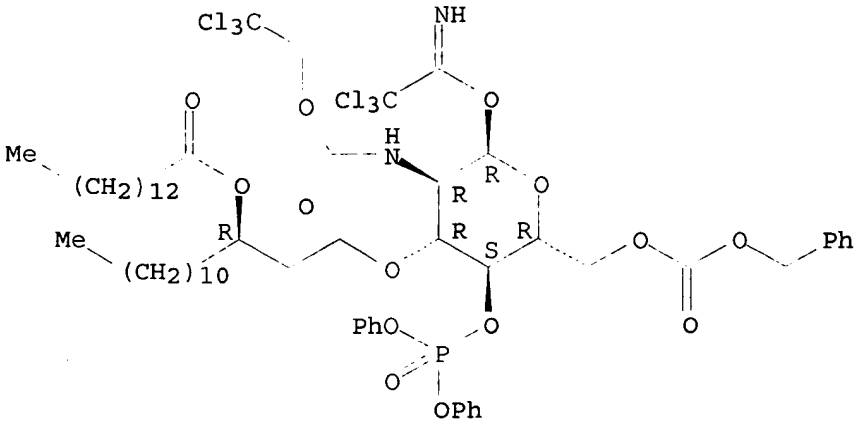
L11 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:491364 HCAPLUS
DOCUMENT NUMBER: 135:288992
TITLE: Synthesis of lipid A type pyran carboxylic acids with ether chains and their biological activities
AUTHOR(S): Watanabe, Y.; Mochizuki, T.; Shiozaki, M.; Kanai, S.; Kurakata, S.-i.; Nishijima, M.
CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Shinagawa-ku, Tokyo, 140-8710, Japan
SOURCE: Carbohydrate Research (2001), 333(3), 203-231
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:288992

AB Glycolipid carboxylate lipid A type pyran having ether chains at both the C-3' and C-4 positions were prepared as TNFα inhibitors and their bio-activities toward human U937 cells. Nine compds. were synthesized and their biol. activities were evaluated.

IT 288403-08-7P 288403-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Synthesis of lipid A type pyran carboxylic acids with ether chains as TNFα inhibitors)

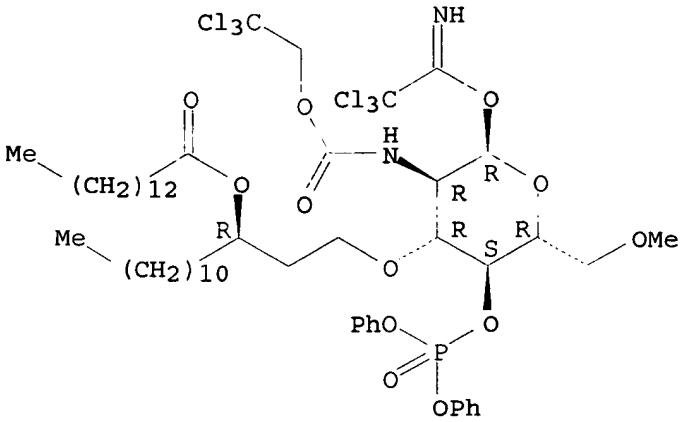
RN 288403-08-7 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 288403-19-0 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:396678 HCAPLUS
DOCUMENT NUMBER: 135:528
TITLE: Prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of antiendotoxin drugs
INVENTOR(S): Rossignol, Daniel P.; Vermeulen, Mary W.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037843	A1	20010531	WO 2000-US32177	20001122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6417172	B1	20020709	US 1999-449601	19991123
CA 2392356	A1	20010531	CA 2000-2392356	20001122
EP 1248629	A1	20021016	EP 2000-980723	20001122
EP 1248629	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514862	T	20030422	JP 2001-539457	20001122
AT 287719	T	20050215	AT 2000-980723	20001122
HK 1051490	A1	20050422	HK 2003-102773	20030416
PRIORITY APPLN. INFO.:			US 1999-449601	A2 19991123
			US 1995-461675	A2 19950605
			US 1996-658656	A1 19960605
			US 1999-293856	A2 19990416
			WO 2000-US32177	W 20001122

OTHER SOURCE(S): MARPAT 135:528

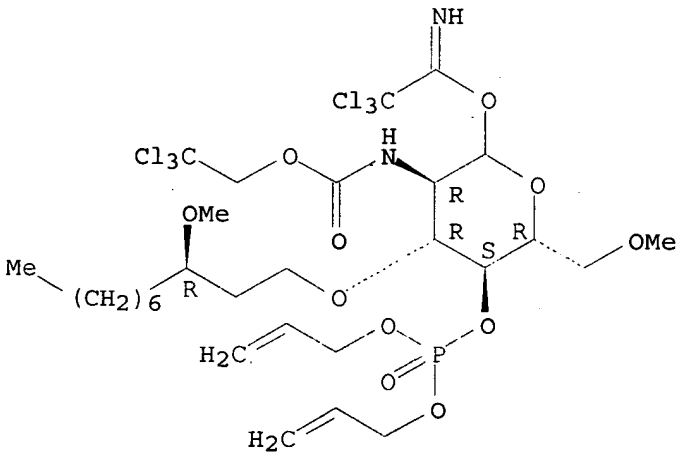
AB The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient antiendotoxin compds. by inhalation.

IT 185954-85-2P 185955-17-3P 185955-18-4P
185955-19-5P 185955-22-0P 185955-26-4P
185955-28-6P 185955-29-7P 234088-12-1P
234088-13-2P 234088-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of antiendotoxin drugs such as lipid A analogs in relation to inhibition of cytokine production)

RN 185954-85-2 HCAPLUS

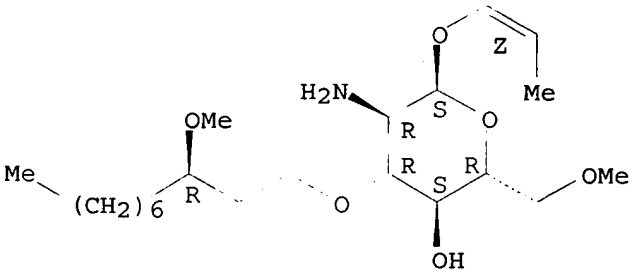
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



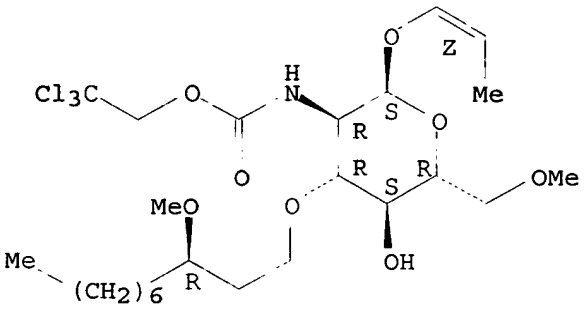
RN 185955-17-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



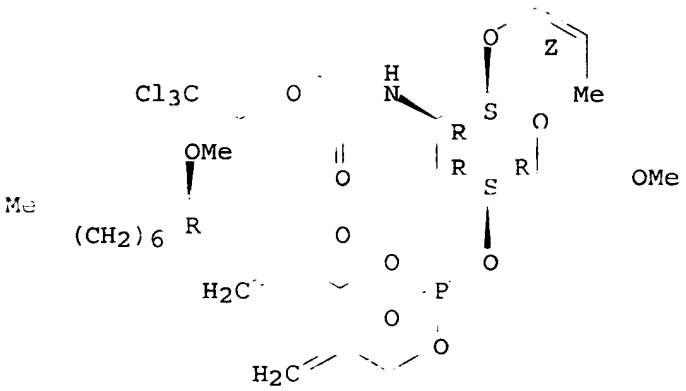
RN 185955-18-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



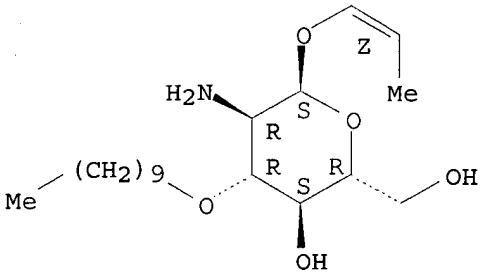
RN 185955-19-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



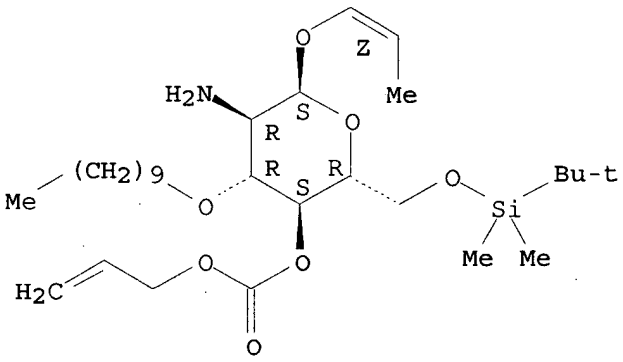
RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



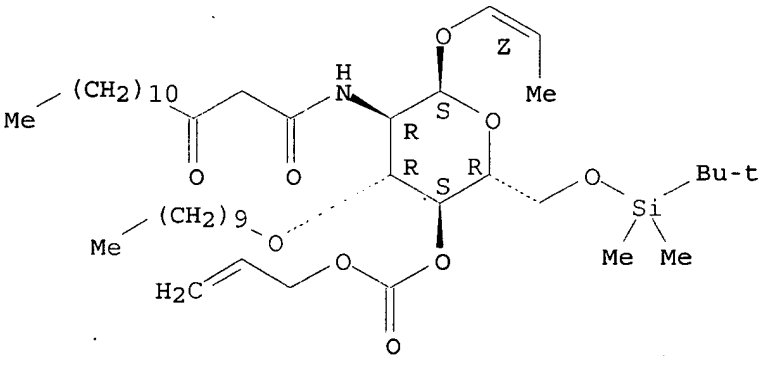
RN 185955-26-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



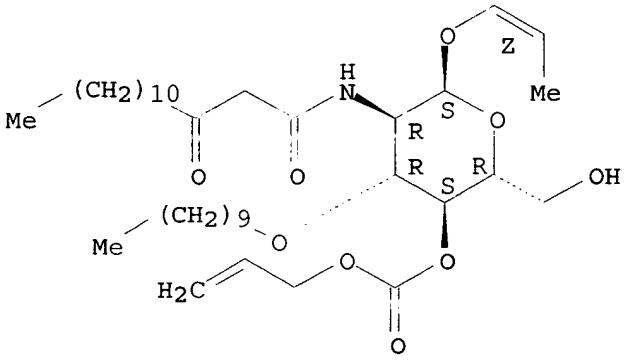
RN 185955-28-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-29-7 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

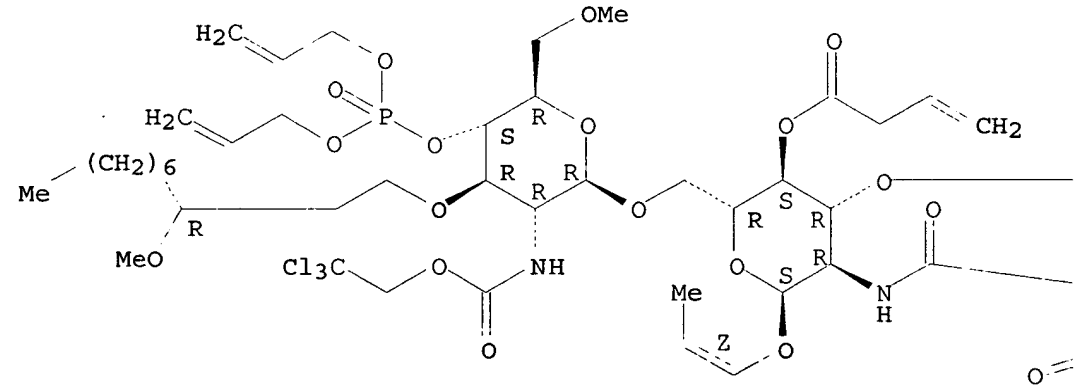
Absolute stereochemistry.
Double bond geometry as shown.



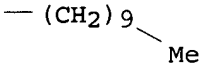
RN 234088-12-1 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

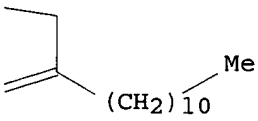
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

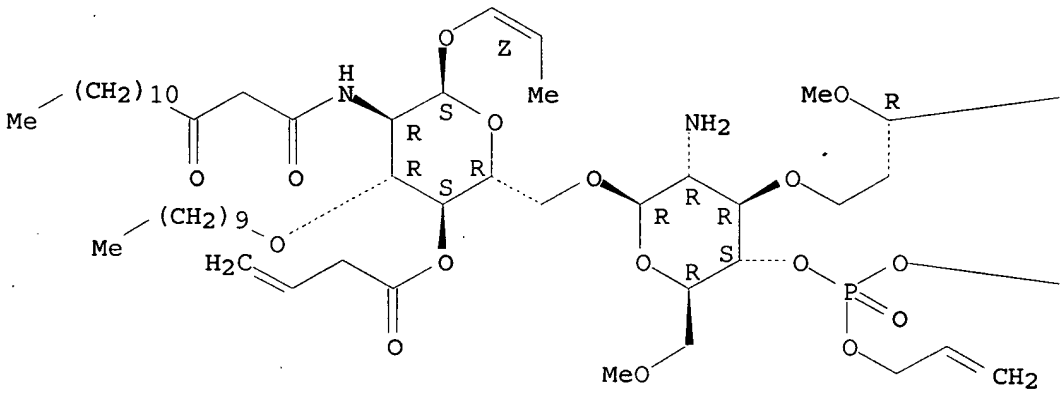




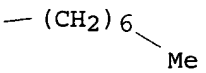
RN 234088-13-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

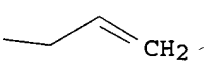
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

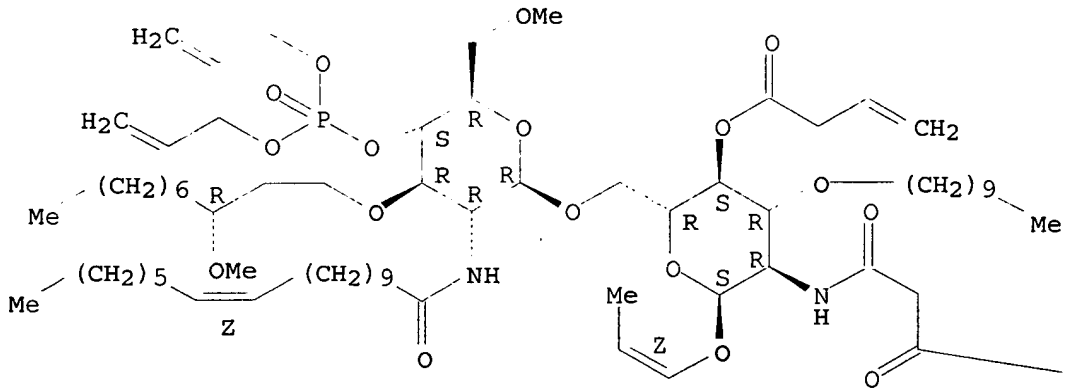




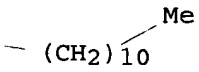
RN 234088-14-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

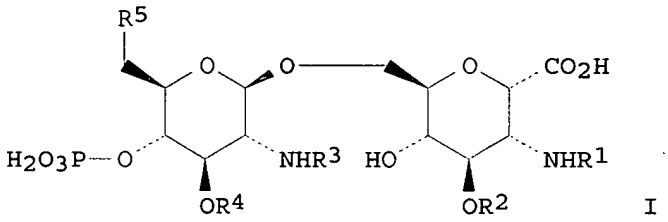
L11 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:573808 HCAPLUS
DOCUMENT NUMBER: 133:193417
TITLE: Preparation of ether type lipid A-1-carboxylic acid analogues as macrophage inhibitors
INVENTOR(S): Kazama, Yukiko; Shiozaki, Masao; Kurakata, Shinichi; Kanai, Saori
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047595	A1	20000817	WO 2000-JP726	20000210
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000024599	A	20000829	AU 2000-24599	20000210
AU 757507	B2	20030220		
JP 2000297096	A	20001024	JP 2000-33628	20000210
CA 2362246	A1	20010810	CA 2000-2362246	20000210
EP 1152007	A1	20011107	EP 2000-902888	20000210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 2000008146	A	20020115	BR 2000-8146	20000210
HU 200105115	A2	20020429	HU 2001-5115	20000210
TR 200102325	T2	20020821	TR 2001-2325	20000210
TW 527358	B	20030411	TW 2000-89102206	20000210
ZA 2001006547	A	20021108	ZA 2001-6547	20010808
NO 2001003884	A	20011009	NO 2001-3884	20010809
US 2002161221	A1	20021031	US 2001-925815	20010809
US 6511965	B2	20030128		

PRIORITY APPLN. INFO.: JP 1999-32532 A 19990210
WO 2000-JP726 W 20000210

OTHER SOURCE(S): MARPAT 133:193417
GI



I

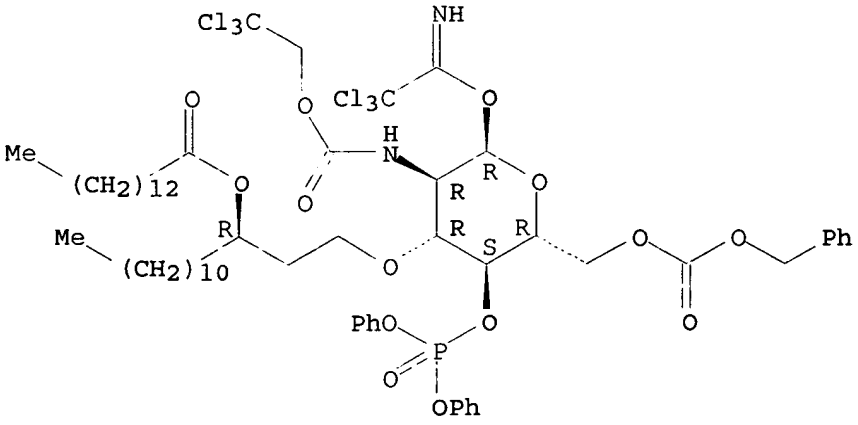
AB Compds. represented by general formula [I; wherein R1 and R3 are each C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl which may be substituted with one or more members selected from the group consisting of halogeno, hydroxyl, oxo, C1-20 (oxo)alkoxy, C2-20 (oxo)alkenyloxy, C2-20 (oxo)alkynyloxy, C1-20 (oxo)alkanoyloxy, C3-20 (oxo)alkenoyloxy, or C3-20 (oxo)alkynoyloxy; R2 and R4 are each C1-20 alkyl, C2-20 alkenyl, or C2-20 alkynyl which may be substituted with one or more members selected from the above group; and R5 is hydrogen, halogeno, hydroxyl, C1-6 (oxo)alkoxy, C2-6 (oxo)alkenyloxy, or C2-6 (oxo)alkynyloxy], which have excellent macrophage inhibiting effects and are thus useful in the prevention or treatment of inflammation, autoimmune diseases or septicemia (no data), are prepared Thus, 6-O-benzyloxycarbonyl-2-deoxy-4-O-diphenylphosphono-3-O-[(R)-3-tetradecanoyloxytetradecyl]-2-[(2,2,2-trichloroethoxycarbonyl)amino]-α-D-glucopyranosyl 2,2,2-trichloroacetimidate was glycosidated with diphenylmethyl 2,6-anhydro-3-[(R)-3-benzyloxytetradecanamido]-4-O-[(R)-3-benzyloxytetradecyl]-3-deoxy-D-glycer-D-idoheptonate in the presence of trimethylsilyl trifluoromethanesulfonate and mol. sieve 4A in CH2Cl2 at -78° for 2 h, followed by deprotection of 2,2,2-trichloroethoxycarbonyl with zinc treatment, N-acetylation with Ac2O in pyridine, hydrogenolysis over 20% Pd(OH)2/C, and hydrogenolysis over PtO gave I [R1 = (R)-3-hydroxytetradecanoyl, R2 = (R)-hydroxytetradecyl,

R3 = Ac, R4 = (R)-3-tetradecanoyloxytetradecyl, R5 = OH].

IT 288403-08-7P 288403-19-0P 288403-45-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ether type lipid A-carboxylic acid analogs as macrophage inhibitors for prevention or treatment of inflammation, autoimmune diseases or septicemia)

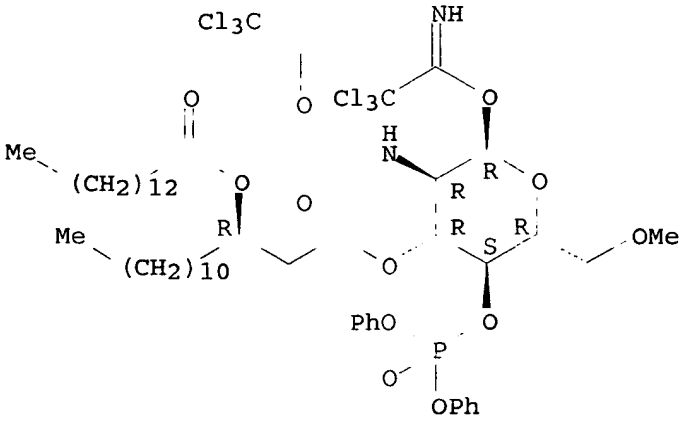
RN 288403-08-7 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



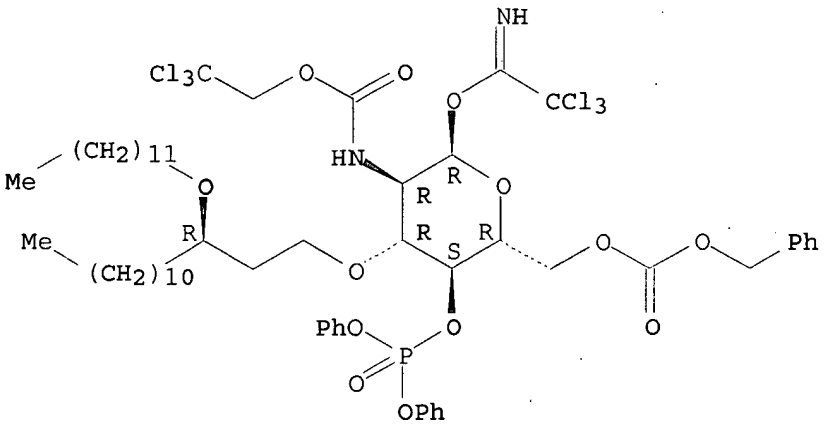
RN 288403-19-0 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 288403-45-2 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-(dodecyloxy)tetradecyl]-6-O-[(phenylmethoxy)carbonyl]-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:505657 HCAPLUS
DOCUMENT NUMBER: 131:130224
TITLE: Substituted liposaccharides useful in the treatment and prevention of endotoxemia
INVENTOR(S): Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata, Tsutomu
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5935938	A	19990810	US 1996-658656	19960605
US 5681824	A	19971028	US 1995-461677	19950605
US 5750664	A	19980512	US 1995-461675	19950605
CA 2223140	A1	19961212	CA 1996-2223140	19960605
ZA 9604666	A	19970311	ZA 1996-4666	19960605
CN 1192216	A	19980902	CN 1996-195890	19960605
CN 1067082	B	20010613		
PT 853627	T	20040531	PT 1996-923234	19960605
ES 2214543	T3	20040916	ES 1996-923234	19960605
US 6184366	B1	20010206	US 1999-293856	19990416
US 6417172	B1	20020709	US 1999-449601	19991123
US 2002028927	A1	20020307	US 2001-774541	20010130
US 2003144503	A1	20030731	US 2002-144670	20020513
US 2003134805	A1	20030717	US 2002-167222	20020611
US 6683063	B2	20040127		

PRIORITY APPLN. INFO.:

US 1995-461675	A2	19950605
US 1996-658656	A1	19960605
US 1999-293856	A2	19990416
US 1999-449601	A1	19991123
US 2001-774541	B1	20010130

OTHER SOURCE(S): MARPAT 131:130224
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

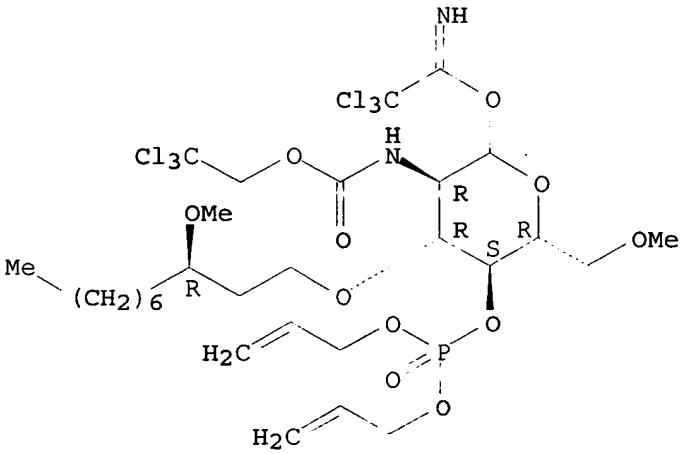
AB Novel substituted liposaccharides I (R1 = acyl; R2 = C5 to C15 alkyl; R3 = C5 to C18 acyl-alkenyl or acyl-alkynyl; R4 = C4 to C20 alkoxy-substituted alkyl; RA = CH2O-X where X is H or alkyl group; A1,A2 = OH, PO4H2, O-alkyl-OPO3H2, etc.) useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock are prepared Also provided are processes for preparing the compds., e.g. II, and intermediates useful therein. The aminodeoxy disaccharide analogs inhibit tumor necrosis factor production in vivo, exhibiting IC50s between 1.5 nM and 159 nM.

IT 185954-85-2P 185955-17-3P 185955-18-4P
185955-19-5P 185955-22-0P 234088-09-6P
234088-10-9P 234088-11-0P 234088-12-1P
234088-13-2P 234088-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted lipodisaccharides useful in the treatment and prevention of endotoxemia)

RN 185954-85-2 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

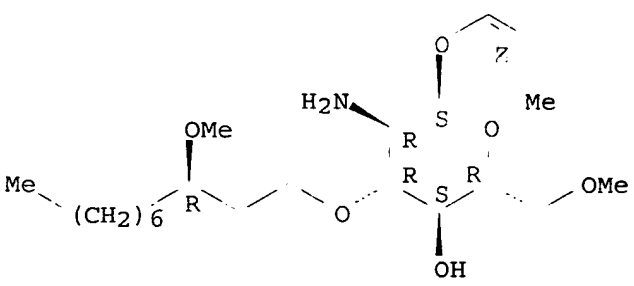
Absolute stereochemistry.



RN 185955-17-3 HCAPLUS

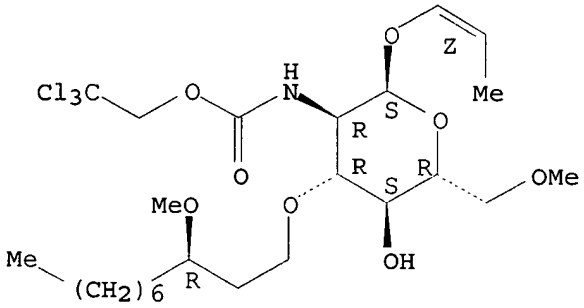
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



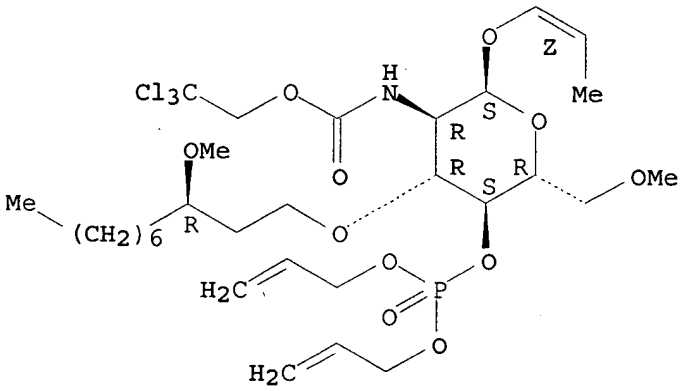
RN 185955-18-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



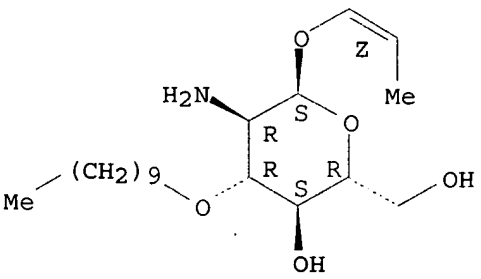
RN 185955-19-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



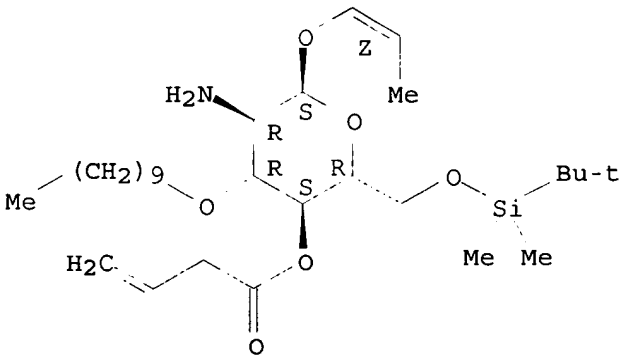
RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



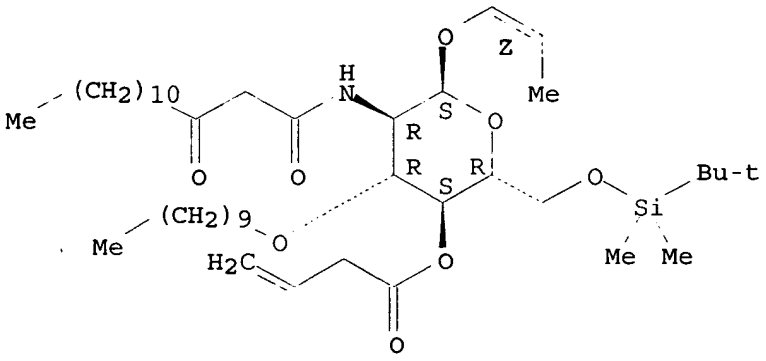
RN 234088-09-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



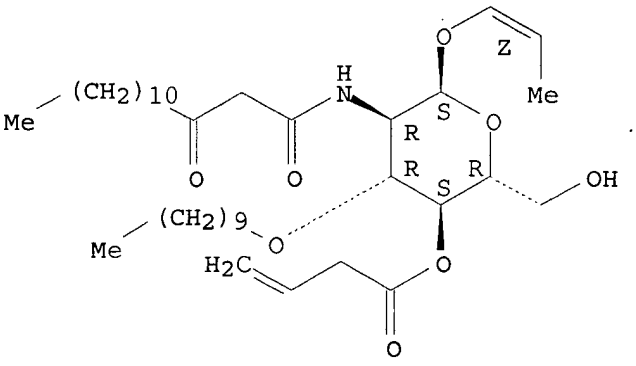
RN 234088-10-9 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 234088-11-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

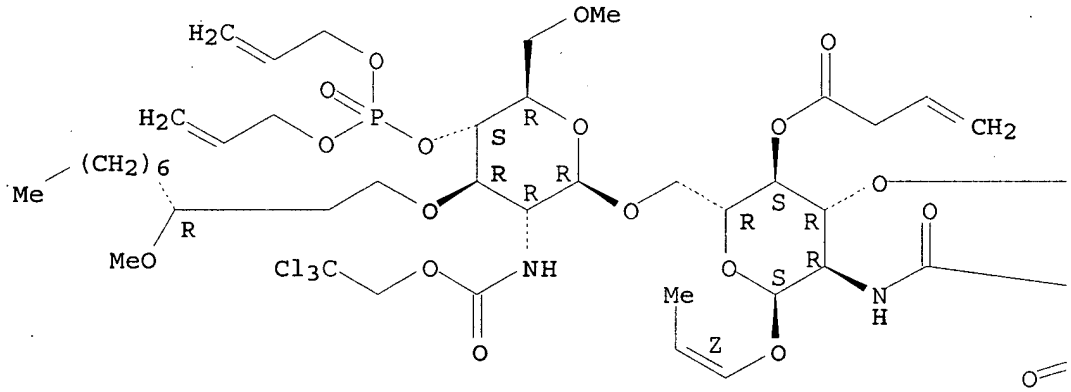
Absolute stereochemistry.
Double bond geometry as shown.



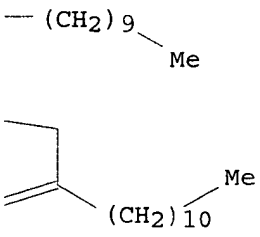
RN 234088-12-1 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



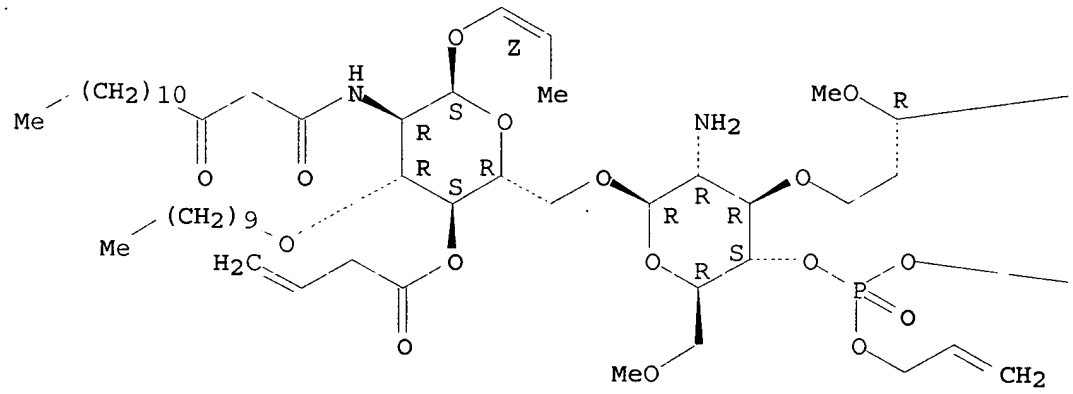
PAGE 1-B



RN 234088-13-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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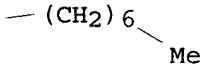
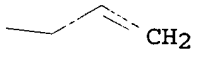
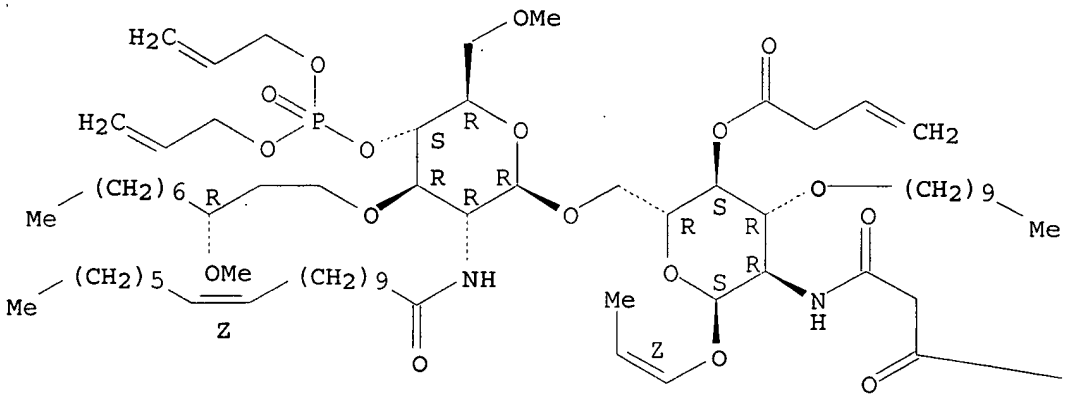




RN 234088-14-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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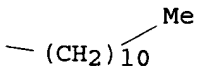


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:344486 HCAPLUS
DOCUMENT NUMBER: 126:317571
TITLE: Preparation of disaccharide lipid A analogs for treating alcoholic liver disease
INVENTOR(S): Rossignol, Daniel P.; Thurman, Ronald G.; Christ, William J.; Lewis, Michael D.
PATENT ASSIGNEE(S): Eisai Research Institute, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

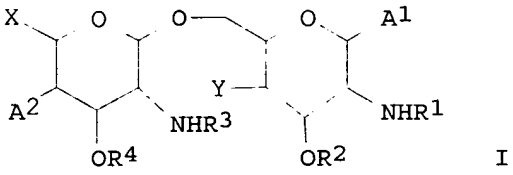
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711708	A1	19970403	WO 1996-US15861	19960927

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI

AU 9672543	A	19970417	AU 1996-72543	19960927
US 5952309	A	19990914	US 1996-720131	19960927
PRIORITY APPLN. INFO.:			US 1995-4577P	P 19950929
			US 1995-4795P	P 19951002

OTHER SOURCE(S): MARPAT 126:317571
GI



I

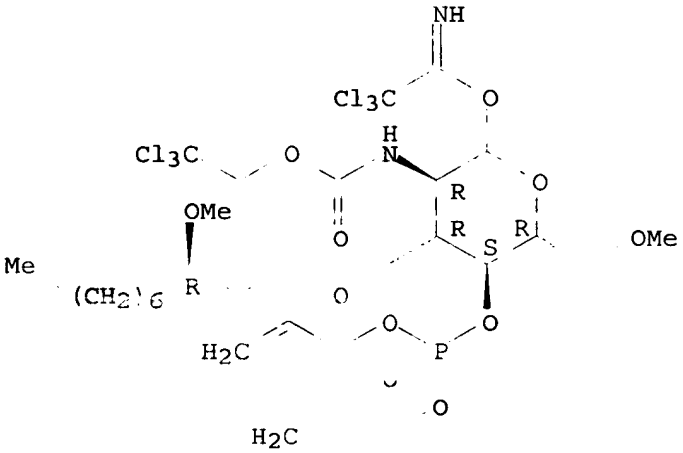
AB Title lipid A analogs I (R1-R4 = unsatd. lipid acyl; A1, A2 = OPO3H2, OZOPO3H2, ZPO3H2, OZCO2H; Z = alkyl; X = alkyl alkoxy; Y = H, OH, halo, alkoxy, acyloxy) were prepared as endotoxin antagonists for treating alc. liver disease. These antagonists compds. are found to inhibit the swift increase in al. metabolism which typically accompanies ingestion of alc. and which may lead to the pathophysiol. abnormalities associated with alc. liver disease. Thus, disaccharide I [R1 = R3 = COCH2CO(CH2)10Me; R2 = CH2CH2CH(OH)(CH2)6Me, A1 = A2 = OPO(ONa)2; X = MeOCH2; Y = OH] was prepared and tested for the inhibition of tumor necrosis factor (TNF) in vivo in mice (ED50 = 5 μg per mouse).

IT 185954-85-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminodeoxy disaccharide lipid A analogs for treating alc. liver disease)

RN 185954-85-2 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



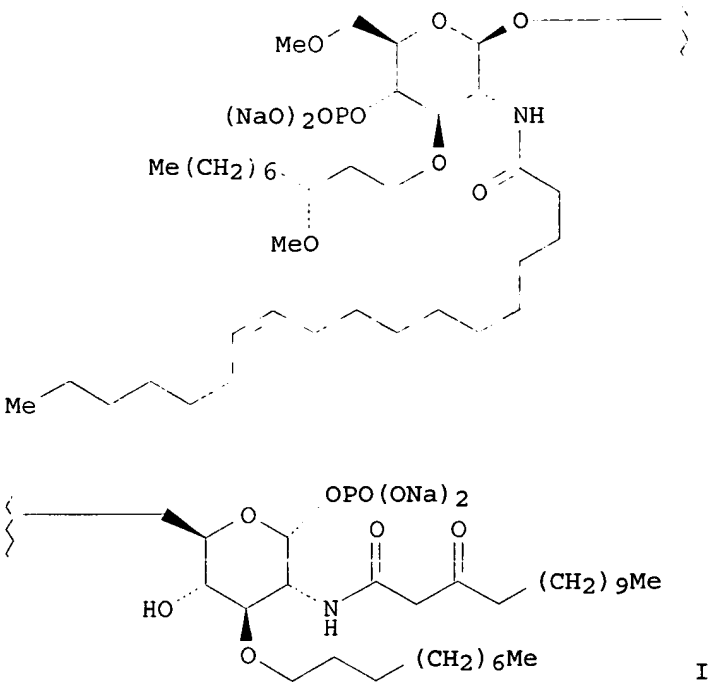
L11 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:94093 HCAPLUS

DOCUMENT NUMBER: 126:104365
TITLE: Preparation of substituted liposaccharide analogs useful in the treatment and prevention of endotoxemia
INVENTOR(S): Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata, Tsutomu
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639411	A1	19961212	WO 1996-US9578	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5681824	A	19971028	US 1995-461677	19950605
US 5750664	A	19980512	US 1995-461675	19950605
CA 2223140	A1	19961212	CA 1996-2223140	19960605
AU 9663802	A	19961224	AU 1996-63802	19960605
AU 707779	B2	19990722		
ZA 9604666	A	19970311	ZA 1996-4666	19960605
EP 853627	A1	19980722	EP 1996-923234	19960605
EP 853627	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1192216	A	19980902	CN 1996-195890	19960605
CN 1067082	B	20010613		
JP 11506793	T	19990615	JP 1996-501868	19960605
RU 2170738	C2	20010720	RU 1998-100107	19960605
AT 258185	T	20040215	AT 1996-923234	19960605
PT 853627	T	20040531	PT 1996-923234	19960605
ES 2214543	T3	20040916	ES 1996-923234	19960605
NO 9705644	A	19980204	NO 1997-5644	19971204
NO 310239	B1	20010611		

PRIORITY APPLN. INFO.: US 1995-461675 A1 19950605
WO 1996-US9578 W 19960605

OTHER SOURCE(S): MARPAT 126:104365
GI



I

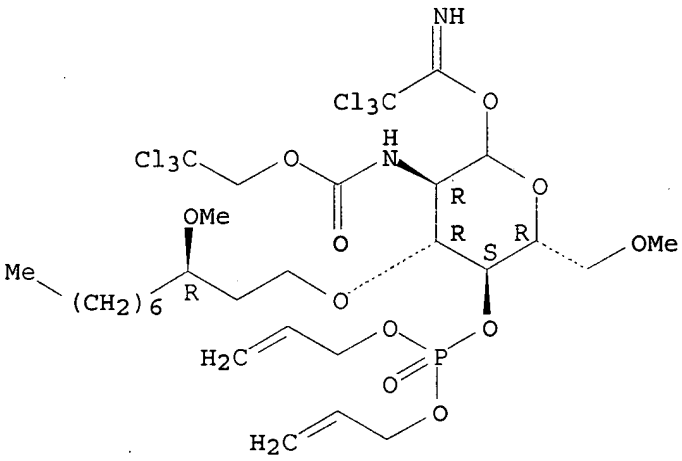
AB Novel substituted liposaccharides in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia, and various forms of septic shock and methods of using these agents are provided. Also provided are method of preparing these agents and intermediates useful therein. Thus, total preparation of amidodeoxy oligosaccharide I is reported. I inhibited tumor-necrosis factor production in vivo in mice (ED50 = 5 and 10.6 μg/ mouse).

IT 185954-85-2P 185955-17-3P 185955-18-4P
185955-19-5P 185955-22-0P 185955-26-4P
185955-28-6P 185955-29-7P 185955-30-0P
185955-31-1P 185955-32-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted liposaccharide analogs useful in the treatment and prevention of endotoxemia)

RN 185954-85-2 HCAPLUS

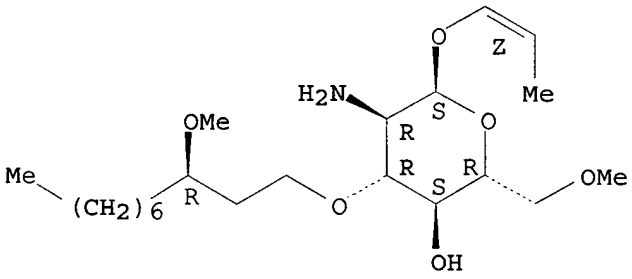
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



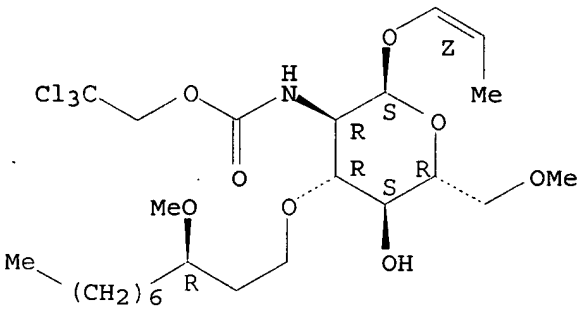
RN 185955-17-3 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



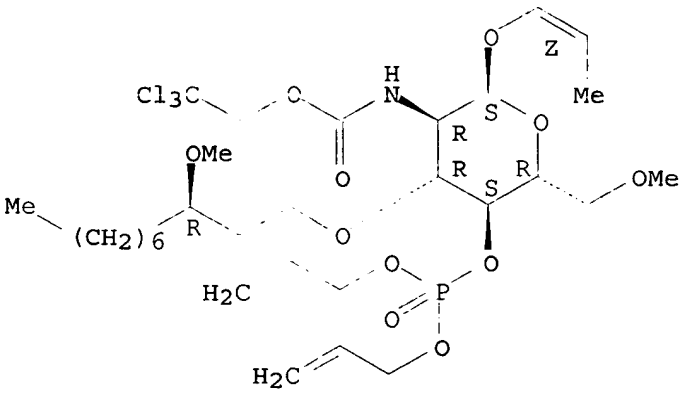
RN 185955-18-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



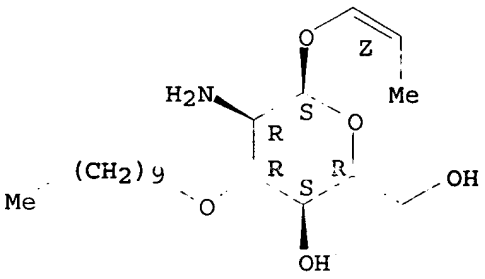
RN 185955-19-5 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



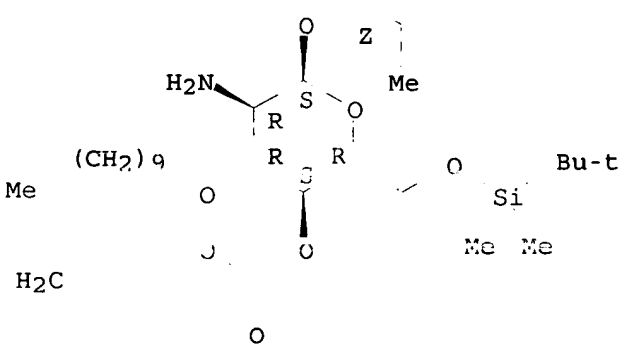
RN 185955-22-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-26-4 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

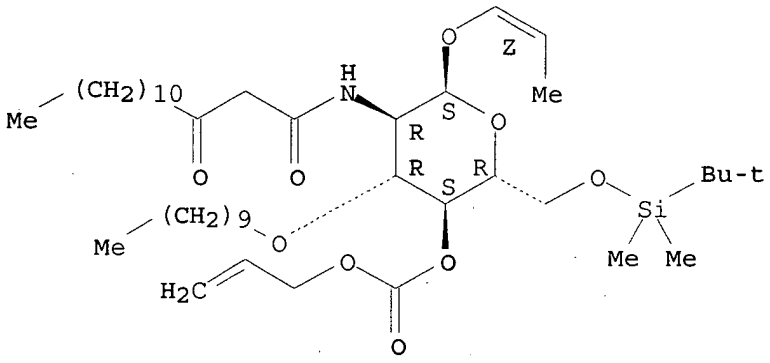
Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-28-6 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-

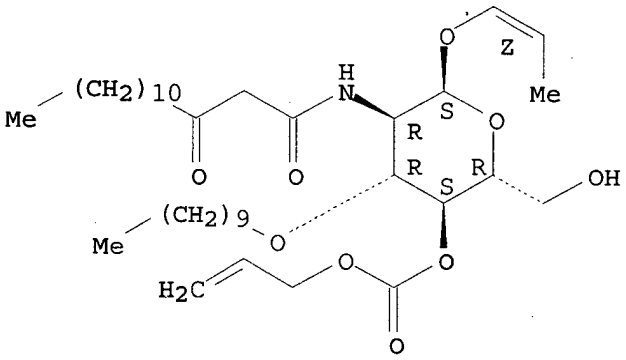
dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-,
4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-29-7 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

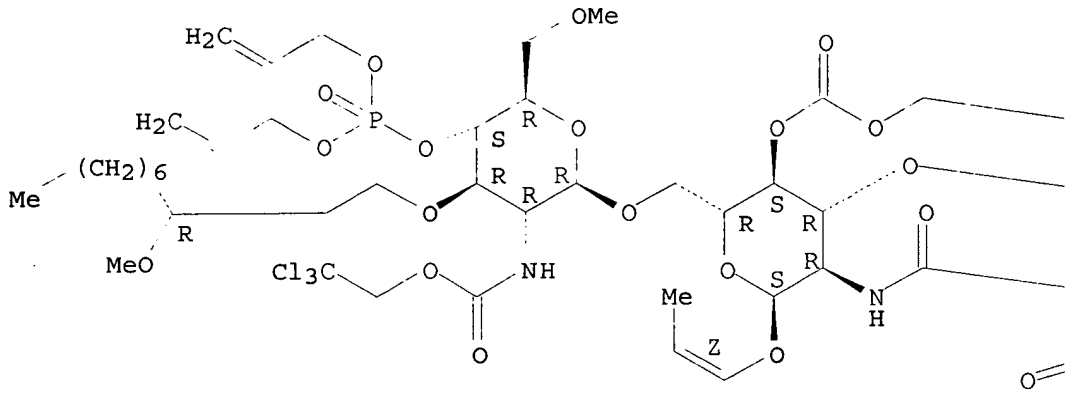
Absolute stereochemistry.
Double bond geometry as shown.



RN 185955-30-0 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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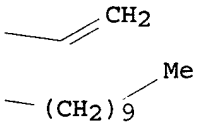
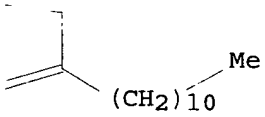
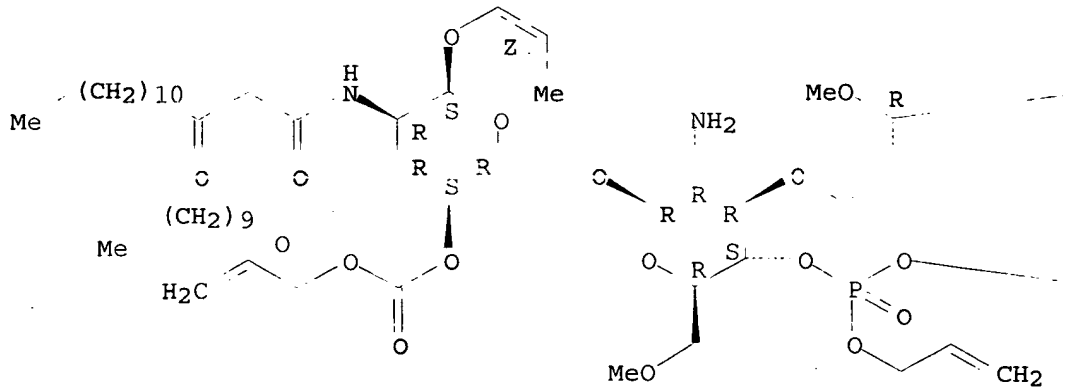




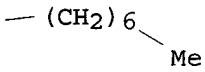
RN 185955-31-1 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

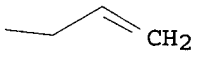
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

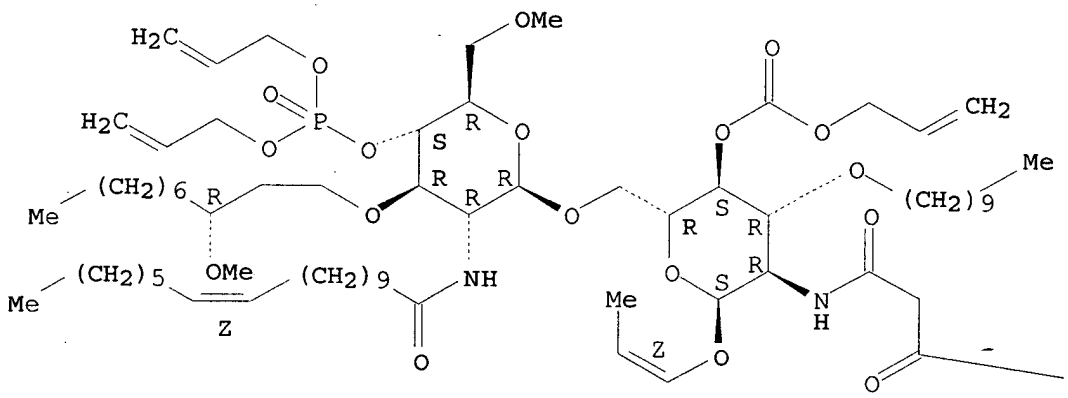


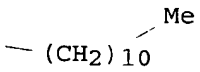


RN 185955-32-2 HCAPLUS
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[(11Z)-1-oxo-11-octadecenyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

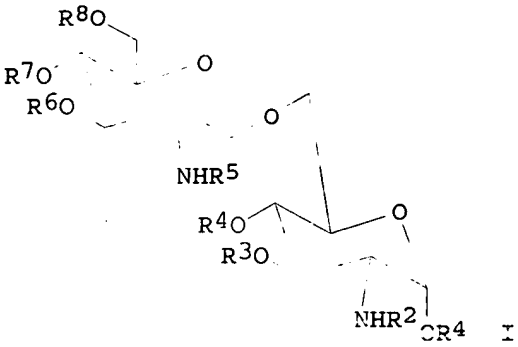




L11 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:632768 HCAPLUS
DOCUMENT NUMBER: 115:232768
TITLE: Preparation of macrophage-activating lipid A 3-ether analogs as antitumor agents
INVENTOR(S): Shiosaki, Masao; Ishida, Noboru; Kobayashi, Tomoo; Hiraoka, Tetsuo; Akamatsu, Minoru; Nishijima, Masahiro
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03135990	A	19910610	JP 1989-273524	19891020
JP 2839916	B2	19981224		
PRIORITY APPLN. INFO.:			JP 1989-273524	19891020

OTHER SOURCE(S): MARPAT 115:232768
GI



AB 6-O-(β-D-Glucosaminyl)-α-glucosamine derivs. [I; one of R1, R7 = H, P(O)(OR9)2, or HO-protecting group and the other = P(O)(OR9)2; R9 = H, HO-protecting group; R2,R5,R6 = aliphatic acyl optionally containing halo, (un)protected HO, acyloxy; R3 = alkyl optionally containing halo, (un)protected HO, or acyloxy; R4,R8 = H, (un)protected HO] are prepared as

antitumor agents (no data). Thus, glycosidation of allyl 2-deoxy-2-[(3'R)-3'-benzyloxymyristoylamino]-3-O-[(3''R)-3''-benzyloxytetradecanyl]-4-O-benzyl-α-D-glucopyranoside (preparation given) with 2-trifluoroacetamido-2-deoxy-3,4,6-triacetyl-D-glucopyranosyl bromide (preparation given) in the presence of Hg(CN)2 and CaSO4 in CHCl3 under reflux followed by O-deacetylation with NH3 in THF/MeOH, 4,6-O-isopropylidenation with Me3C(OMe)2 in DMF containing pyridinium p-toluenesulfonate, and N-trifluorodeacetylation with 1N aqueous NaOH/EtOH gave I [R1 = allyl, R2 = (3R)-3-benzyloxymyristoyl, R3 = (3R)-3-benzyloxytetradecanoyl, R4 = PhCH2, R5 - R6 = H; R7R8 = CMe2]. N-Acylation of the latter with (3R)-3-dodecanoyloxymyristic acid in the presence of DCC and 4-dimethylaminopyridine followed by O-acylation with (3R)-3-myristoyloxymyristic acid in the presence of DCC, deisopropylidenation, etherification with PhCH2OCH2Cl in refluxing CH2Cl2 containing Me2NCONMe2, and phosphorylation with (PhO)2P(O)Cl in the presence of 4-dimethylaminopyridine in CH2Cl2 gave I [R1-R4 = same as above; R5 = (3R)-3-dodecanoyloxymyristoyl, R6 = (3R)-3-myristoyloxymyristoyl, R7 = P(O)(OPh)2, R8 = CH2OCH2Ph]. Dealkylation of the latter with cyclooctadiene-bis(methyldiphenylphosphine)tridium hexafluorophosphate/I-aqueous pyridine followed by phosphorylation with (PhCH2O)2P(O)Cl in the presence of BuLi in THF and hydrogenolysis over 10% Pd/C and then PtO2 in MeOH/THF gave I [R1 = R7 = P(O)(OH)2, R2 = (3R)-3-hydroxymyristoyl, R3 = (3R)-3-hydroxytetradecanoyl, R4 = R8 = H, R5 = (3R)-3-dodecanoyloxymrystoyl, R6 = (3R)-3-myristoyloxymyristoyl].

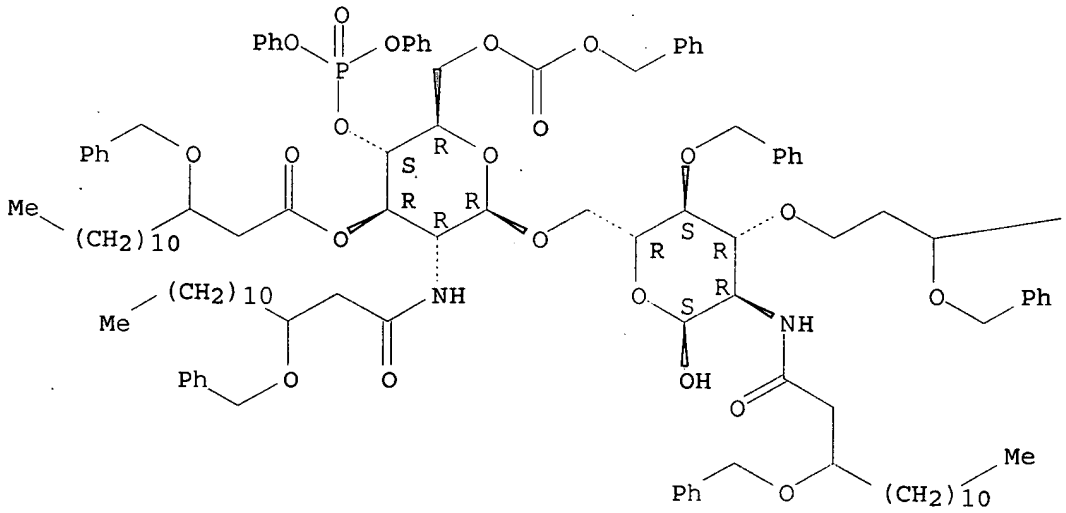
IT 137014-94-9P 137182-70-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antitumor lipid A analog)

RN 137014-94-9 HCAPLUS

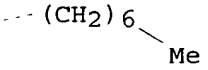
CN α-D-Glucopyranose, 2-deoxy-6-O-[2-deoxy-4-O-(diphenoxyphosphinyl)-3-O-[1-oxo-3-(phenylmethoxy)tetradecyl]-2-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-6-O-[(phenylmethoxy)carbonyl]-β-D-glucopyranosyl]-2-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-3-O-[3-(phenylmethoxy)decyl]-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

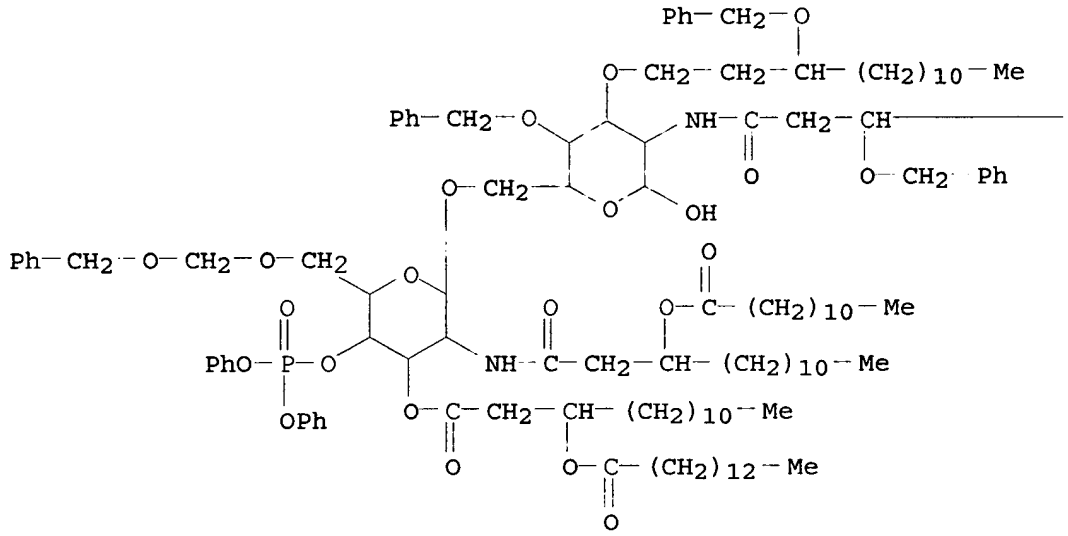


PAGE 1-B

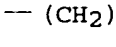


RN 137182-70-8 HCAPLUS
CN α-D-Glucopyranose, 2-deoxy-6-O-[2-deoxy-4-O-(diphenoxyphosphinyl)-2-[[1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]-3-O-[1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-6-O-[(phenylmethoxy)methyl]-β-D-glucopyranosyl]-2-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-3-O-[3-(phenylmethoxy)tetradecyl]-4-O-(phenylmethyl)-, [2(R),3(R),6[2(R),3(R)]]-(9CI) (CA INDEX NAME)

PAGE 1-A

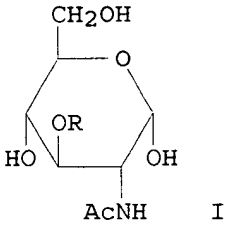


PAGE 1-B



L11 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:187126 HCAPLUS
DOCUMENT NUMBER: 108:187126
TITLE: Surfactants. X. 2-Acetamido-3-O-alkyl-2-deoxy-D-glucopyranose
AUTHOR(S): Vega Perez, J. M.; Ruiz Rodriguez, F. J.

CORPORATE SOURCE: Fac. Farm., Univ. Sevilla, Seville, 41071, Spain
SOURCE: Anales de Quimica, Serie C: Quimica Organica y Bioquimica (1986), 82(3), 263-5
CODEN: AQSBD6; ISSN: 0211-1357
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
OTHER SOURCE(S): CASREACT 108:187126
GI

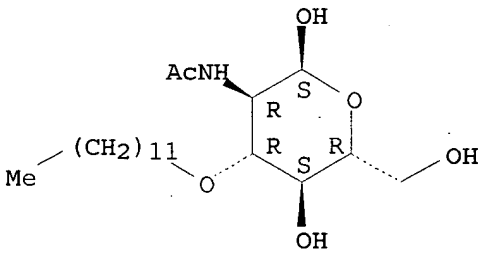


I

AB Alkylation of benzyl 2-acetamido-4,6-O-benzylidene-2-deoxy-α-D-glucopyranoside with n-octyl, n-dodecyl, or n-hexadecyl bromide (NaH, dioxane or KOH, DMSO) gave 70-93% the corresponding 3-O-alkyl derivs., which on sequential debenzylidenation (HCl, Me2CO) and debenzylation (H, Pd, MeOH) gave the title compds. I (R = octyl, dodecyl, hexadecyl).

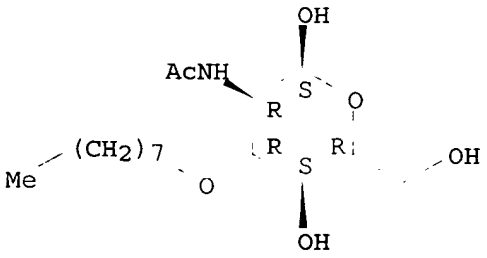
IT 110527-18-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)
RN 110527-18-9 HCAPLUS
CN α-D-Glucopyranose, 2-(acetylamino)-2-deoxy-3-O-dodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 110527-17-8P 110527-19-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 110527-17-8 HCAPLUS
CN α-D-Glucopyranose, 2-(acetylamino)-2-deoxy-3-O-octyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 110527-19-0 HCAPLUS
CN α-D-Glucopyranose, 2-(acetylamino)-2-deoxy-3-O-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.